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U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM

Requestor's Name: Emily Bernhardt

Serial Number: 09/896278

Date: 2/

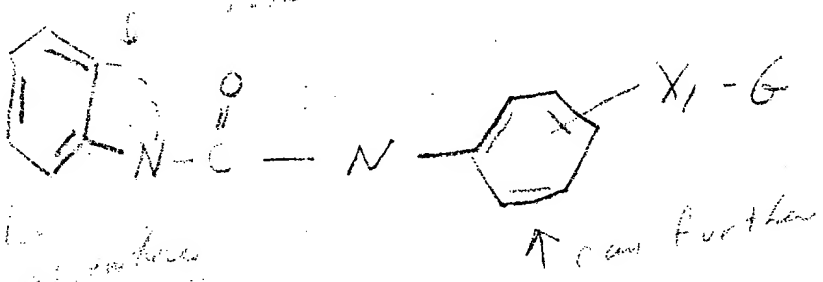
Phone:

Art Unit: 1624

RM 4E15

Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevent citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevent claim(s).



X_1 = bond or X_1

G =

Y = NOR C

See Claim 1

Point of Contact:
Susan Hanley
Technical Info. Specialist
CM1 12C14 Tel: 305-4053

L1

2/28

STAFF USE ONLY

Date completed: 3/7

Searcher: Hanley

Terminal time:

Elapsed time:

CPU time:

Total time:

Number of Searches:

Number of Databases:

Search Site

- ____ STIC
- ____ CM-1
- ____ Pre-S

Type of Search

- ____ N.A. Sequence
- ____ A.A. Sequence
- ____/____ Structure
- ____ Bibliographic

Vendors

- ____ IG
- ____ STN
- ____ Dialog
- ____ APS
- ____ Geninfo
- ____ SDC
- ____ DARC/Questel
- ____ Other

PTO-1590 (9-90)

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=> d his

     (FILE 'HOME' ENTERED AT 18:05:11 ON 07 MAR 2002)

     FILE 'HCAPLUS' ENTERED AT 18:05:21 ON 07 MAR 2002
L1           107 S LAVIELLE G?/AU
L2           332 S MULLER O?/AU
L3           456 S MILLAN M?/AU
L4            54 S  BROCCO M?/AU
L5            31 S DEKEYNE A?/AU
L6           880 S L1-5
L7             1 S L6 AND DIPHENYLUREA
                 SELECT RN L7 1

     FILE 'REGISTRY' ENTERED AT 18:07:17 ON 07 MAR 2002
L8            58 S E1-58

     FILE 'HCAPLUS' ENTERED AT 18:07:49 ON 07 MAR 2002
L9             1 S L7 AND L8

     FILE 'REGISTRY' ENTERED AT 18:10:08 ON 07 MAR 2002
L10              STR
L11              SCREEN 1994 AND 1839 AND 2004
L12            1 S L10 AND L11
L13              STR L10
L14            0 S L13 AND L11
L15          218 S L13 AND L11 FUL
                 SAVE L15 BER278P/A
L16              STR L13
L17            2 S L16 SSS SAM SUB=L15
L18          118 S L16 SSS FUL SUB=L15

     FILE 'REGISTRY' ENTERED AT 18:53:29 ON 07 MAR 2002

     FILE 'HCAPLUS' ENTERED AT 18:53:39 ON 07 MAR 2002
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L20           22 S L19 NOT L9

     FILE 'CAOLD' ENTERED AT 18:57:36 ON 07 MAR 2002
L21            0 S L18

     FILE 'BEILSTEIN' ENTERED AT 18:57:55 ON 07 MAR 2002
L22            9 S L13 FUL
L23            4 S L15
L24            5 S L22 NOT L23
L25            4 S L16 SSS FUL SUB=L23
L26            4 S L25 AND PRE/FA
```

Inventor Search

1 cite of 58 cpds displayed

218 cpds in parent search

118 cpds in subset search

23 cites for L18 cpds (includes Appl.'s work)

22 cites

no cites

4 compounds

S/R for all searches


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=> d que l19
L11             SCR 1994 AND 1839 AND 2004
L13             STR
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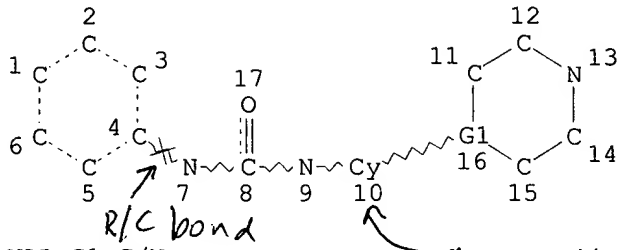
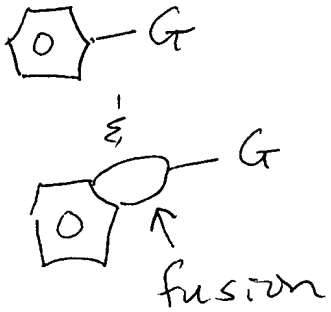


any unsaturated cyclo; this accounts for

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GGCAT   IS UNS  AT  10
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC  11
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STEREO ATTRIBUTES: NONE
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L16             STR  subset s/r
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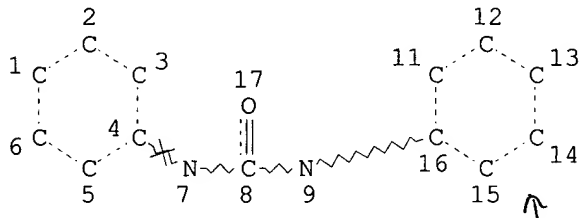
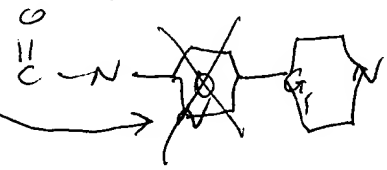


Cy must be a benzene ring that is fusable (getting rid of hetero



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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC  11
NUMBER OF NODES IS  16

STEREO ATTRIBUTES: NONE
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L19           23 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L18
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Inventor search

BERNHARDT 09/896,278

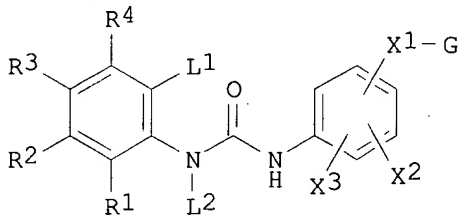
=> d ibib abs hitstr

L9 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:31047 HCAPLUS
DOCUMENT NUMBER: 136:85671
TITLE: Preparation of **diphenylurea** derivatives and their use as .alpha.2/5-HT2c antagonists
INVENTOR(S): **Lavielle, Gilbert; Muller, Olivier ; Millan, Mark; Dekeyne, Anne; Brocco, Mauricette**
PATENT ASSIGNEE(S): Les Laboratoires Servier, Fr.
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1170288	A2	20020109	EP 2001-401712	20010629
EP 1170288	A3	20020116		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
FR 2810979	A1	20020104	FR 2000-8378	20000629
JP 2002037778	A2	20020206	JP 2001-195947	20010628
US 2002025965	A1	20020228	US 2001-896278	20010629

PRIORITY APPLN. INFO.: FR 2000-8378 A 20000629
OTHER SOURCE(S): MARPAT 136:85671
GI

↑ Apps' FP.



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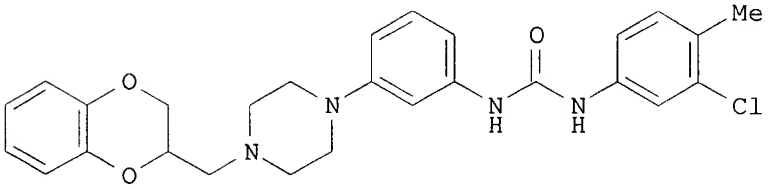


II

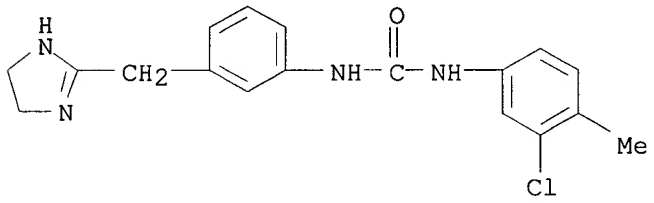
AB Title compds. I [R1-4 = H, halo, alkyl, alkoxy,hydroxy,alkylthio, mercapto, cyano, etc. or two of the substituents together with the atoms to which they are connected may form a (hetero)arom. cycle; L1-2 = H or together = CH2CH2; X1-2 and the carbons to which they are attached form a

(hetero)cycloalkyl group; X3 = H, halo, alkyl, alkoxy, OH, NO2, CN, NH2, etc.; G = (amino)alkyl-imidazol(in)yl, piperidin-4-yl or piperazinyl] were prepd. E.g. 3-[4-((2,3-Dihydro-1,4-benzodioxin-2-yl)methyl)-1-piperazinyl]aniline (prepn. given) was reacted with 3-chloro-4-methylphenylisocyanate (PhMe, reflux, 2 h) to give urea II isolated as the hydrochloride salt, m.p. 180-185.degree.C. II had pKi = 6.7 for the .alpha.2 receptor. I are useful for the treatment of sleep disorders, depression, sexual dysfunction, etc.

IT **387864-92-8P**, N-(3-Chloro-4-methylphenyl)-N'-[3-((4,5-dihydro-1H-imidazol-2-yl)methyl)phenyl]urea hydrochloride **387864-96-2P**, N-(3-Chloro-4-methylphenyl)-N'-[3-[1-(4,5-dihydro-1H-imidazol-2-yl)ethyl]phenyl]urea hydrochloride **387865-03-4P**, N-(3-Chloro-4-methylphenyl)-N'-[3-[1-(4,5-dihydro-1H-imidazol-2-yl)-1-methylethyl]phenyl]urea hydrochloride **387865-09-0P**, N-(3-Chloro-4-methylphenyl)-N'-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]urea hydrochloride **387865-23-8P**, N-(3-Chloro-4-methylphenyl)-N'-[4-methyl-3-(4-methyl-1-piperazinyl)phenyl]urea hydrochloride **387865-28-3P**, N-(3-Chloro-4-methylphenyl)-N'-[3-[4-((2,3-dihydro-1,4-benzodioxin-2-yl)methyl)-1-piperazinyl]phenyl]urea hydrochloride **387865-34-1P**, N-(3-Chloro-4-methylphenyl)-N'-[3-((4,5-dihydro-1H-imidazol-2-yl)amino)-4-methylphenyl]urea hydrochloride **387865-39-6P**, N-(3-Chloro-4-methylphenyl)-N'-[3-((4,5-dihydro-1H-imidazol-2-yl)amino)phenyl]urea hydrochloride **387865-45-4P**, N-[4-Chloro-3-((4,5-dihydro-1H-imidazol-2-yl)amino)phenyl]-N'-(3-chloro-4-methylphenyl)urea hydrochloride **387865-51-2P**, N-(3-Chloro-4-methylphenyl)-N'-[3-[4-((2,3-dihydro-1,4-benzodioxin-2-yl)methyl)-1-piperazinyl]-4-methoxyphenyl]urea hydrochloride **387865-56-7P**, 6-Chloro-5-fluoro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-1-indolinecarboxamide **387865-60-3P**, N-[3-[4-(2,3-Dihydro-1,4-benzodioxin-2-ylmethyl)-1-piperazinyl]phenyl]-1,2-dihydro-3H-benzo[e]indole-3-carboxamide dihydrochloride **387865-63-6P 387865-66-9P**, N-[3-[4-((2,3-Dihydro-1,4-benzodioxin-2-yl)methyl)-1-piperazinyl]-4-methoxyphenyl]-N'-(3,4-dimethylphenyl)urea dihydrochloride **387865-70-5P**, N-(3-Chloro-4-fluorophenyl)-N'-[3-[4-((2,3-dihydro-1,4-benzodioxin-2-yl)methyl)-1-piperazinyl]-4-methoxyphenyl]urea hydrochloride **387865-72-7P**, N-[3-[4-((2,3-Dihydro-1,4-benzodioxin-2-yl)methyl)-1-piperazinyl]phenyl]-N'-(3,4-dimethylphenyl)urea hydrochloride **387865-74-9P**, N-(3-Chloro-4-fluorophenyl)-N'-[3-[4-((2,3-dihydro-1,4-benzodioxin-2-yl)methyl)-1-piperazinyl]phenyl]urea hydrochloride **387865-76-1P 387865-80-7P**, N-(3-Chloro-4-methylphenyl)-N'-[2-(1H-imidazol-4-yl)indan-5-yl]urea hydrochloride **387865-83-0P**, N-[2-(1H-Imidazol-4-yl)indan-5-yl]-N'-(4-methylsulfanylphenyl)urea hydrochloride **387865-85-2P**, N-(3,4-Dimethylphenyl)-N'-[2-(1H-imidazol-4-yl)indan-5-yl]urea hydrochloride **387865-87-4P**, N-(3-Chloro-4-methylphenyl)-N'-[2-(4,5-dihydro-1H-imidazol-2-yl)-1,2,3,4-tetrahydro-7-isoquinolinyl]urea hydrochloride **387865-91-0P**, N-(3-Chloro-4-methylphenyl)-N'-[3-[2-(1H-imidazol-4-yl)ethyl]phenyl]urea hydrochloride **387865-94-3P**, N-(3-Chloro-4-methylphenyl)-N'-[3-[2-(4,5-dihydro-1H-imidazol-2-yl)ethyl]phenyl]urea hydrochloride **387865-96-5P**, N-(3-Chloro-4-methylphenyl)-N'-[8-(1H-imidazol-4-yl)-5,6,7,8-tetrahydro-2-naphthalenyl]urea hydrochloride **387865-98-7P**, N-(3-Chloro-4-methylphenyl)-N'-[7-(1H-imidazol-4-yl)-5,6,7,8-tetrahydro-2-naphthalenyl]urea hydrochloride **387866-00-4P**, N-(3-Chloro-4-methylphenyl)-N'-[4-(1H-imidazol-4-yl)chroman-6-yl]urea hydrochloride **387866-02-6P**, N-(3-Chloro-4-methylphenyl)-N'-[3-[4-((2,3-dihydro-1,4-benzodioxin-2-yl)methyl)-1-piperazinyl]phenyl]urea **387866-03-7P**, N-[4-Chloro-3-((4,5-dihydro-1H-imidazol-2-yl)amino)phenyl]-N'-(3-chloro-4-methylphenyl)urea **387866-04-8P**,

N-(3-Chloro-4-methylphenyl)-N'-[2-(1H-imidazol-4-yl)indan-5-yl]urea
387866-05-9P, N-[3-[4-((2,3-Dihydro-1,4-benzodioxin-2-yl)methyl)-1-piperazinyl]phenyl]-N'-(3,4-dimethylphenyl)urea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; prepn. of **diphenylurea** derivs. and their use as .alpha.2/5-HT2c antagonists)

RN 387864-92-8 HCAPLUS
CN Urea, N-(3-chloro-4-methylphenyl)-N'-[3-[(4,5-dihydro-1H-imidazol-2-yl)methyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 387864-96-2 HCAPLUS
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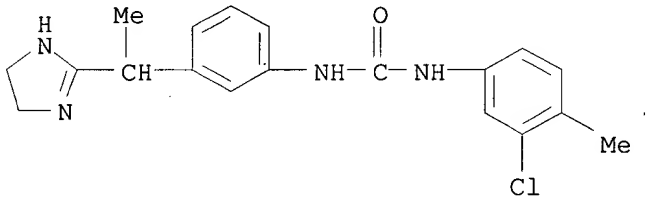

● HCl

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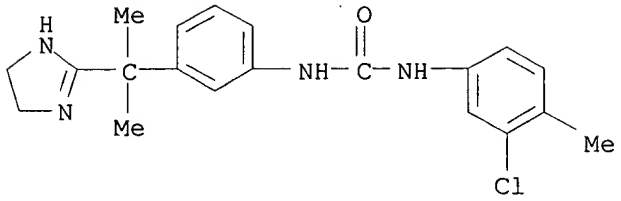
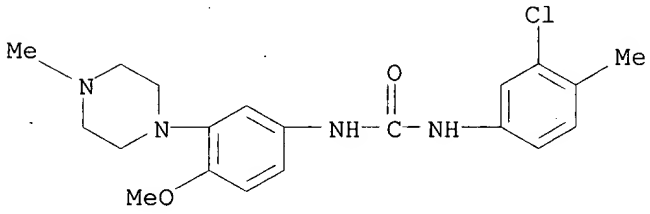


● HCl

RN 387865-09-0 HCAPLUS
CN Urea, N-(3-chloro-4-methylphenyl)-N'-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 387865-23-8 HCAPLUS
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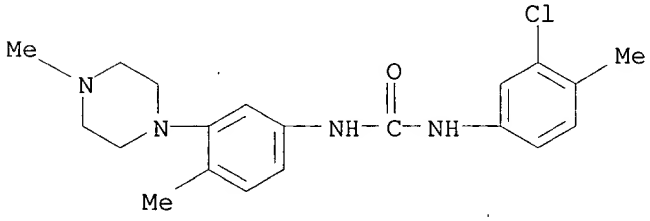

● HCl

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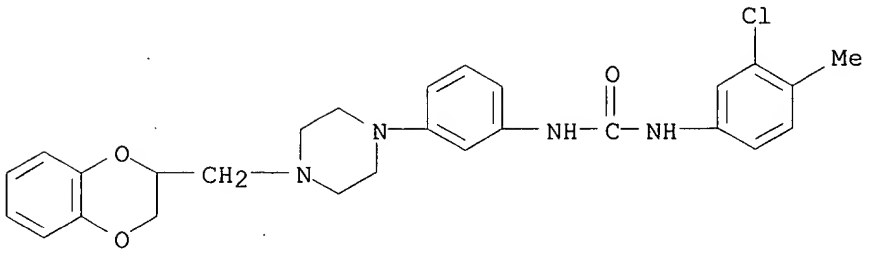

● HCl

RN 387865-34-1 HCAPLUS
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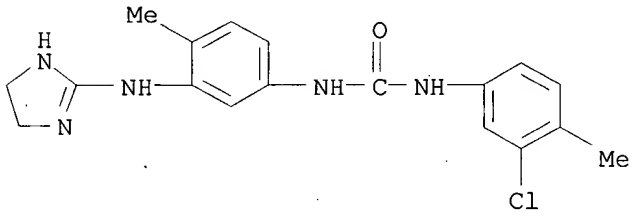
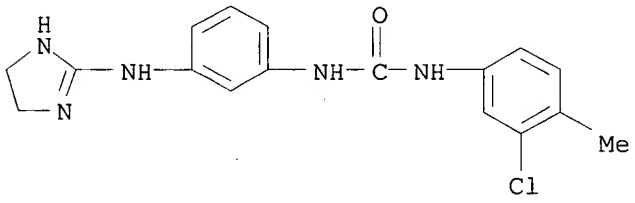


● HCl

RN 387865-39-6 HCAPLUS
CN Urea, N-(3-chloro-4-methylphenyl)-N'-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

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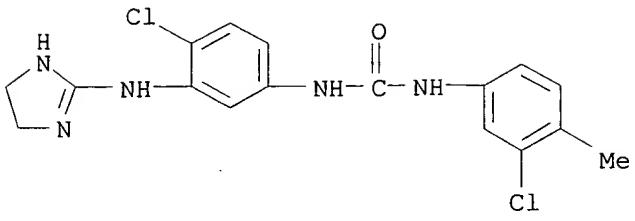
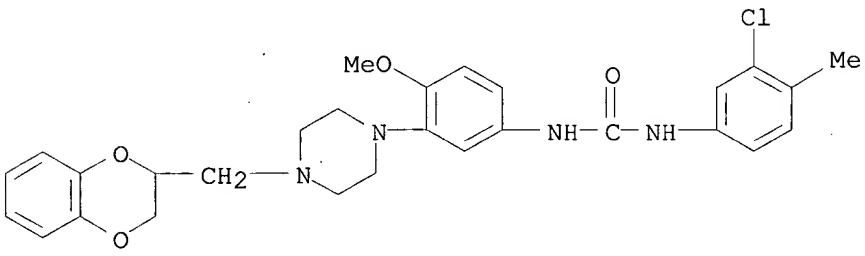


● HCl

RN 387865-51-2 HCAPLUS
CN Urea, N-(3-chloro-4-methylphenyl)-N'-[3-[4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-piperazinyl]-4-methoxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 387865-56-7 HCAPLUS
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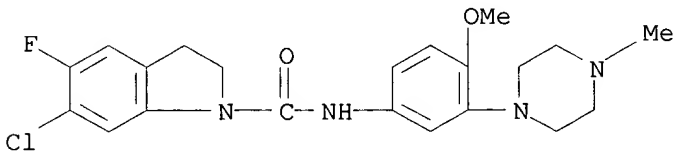
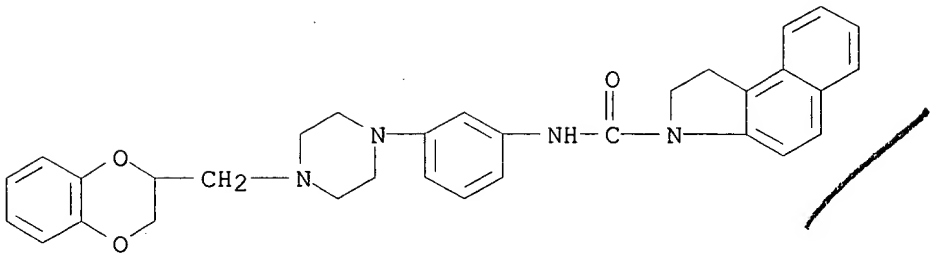


RN 387865-60-3 HCAPLUS
CN 3H-Benz[e]indole-3-carboxamide, N-[3-[4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-piperazinyl]phenyl]-1,2-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

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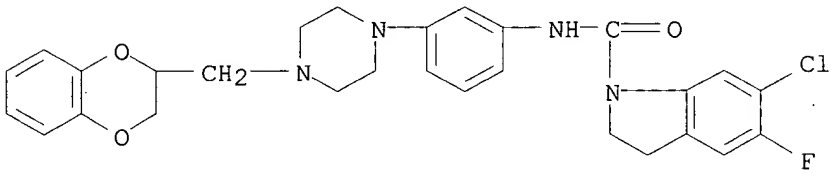
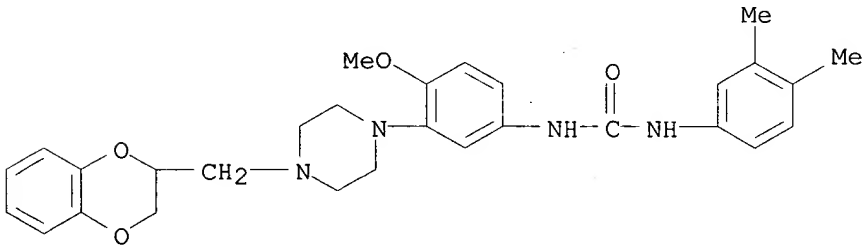


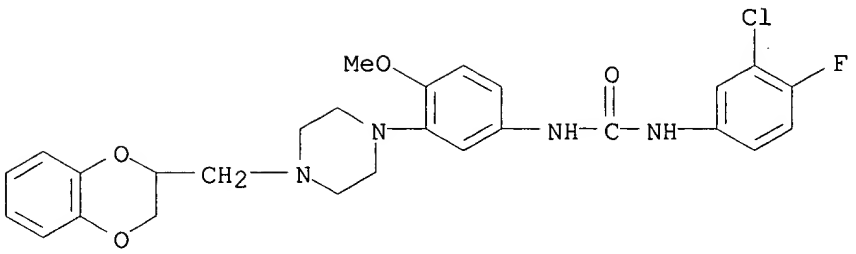
●2 HCl

RN 387865-66-9 HCAPLUS
CN Urea, N-[3-[4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-piperazinyl]-4-methoxyphenyl]-N'-(3,4-dimethylphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)



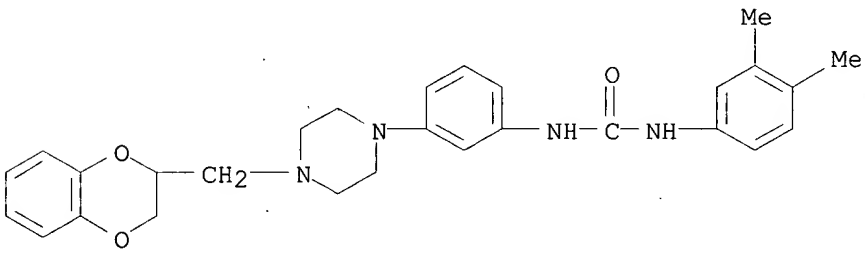
●2 HCl

RN 387865-70-5 HCAPLUS
CN Urea, N-(3-chloro-4-fluorophenyl)-N'-[3-[4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-piperazinyl]-4-methoxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



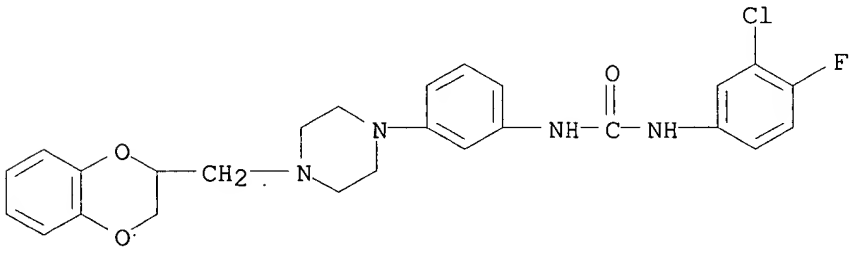
● HCl

RN 387865-72-7 HCAPLUS
CN Urea, N-[3-[4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-piperazinyl]phenyl]-N'-(3,4-dimethylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

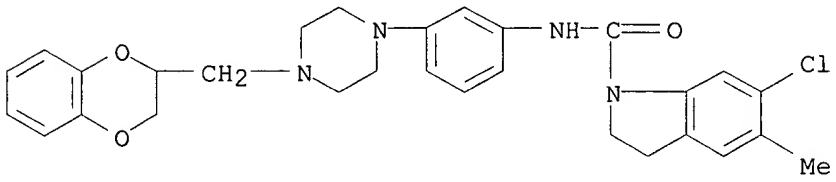
RN 387865-74-9 HCAPLUS
CN Urea, N-(3-chloro-4-fluorophenyl)-N'-[3-[4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-piperazinyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

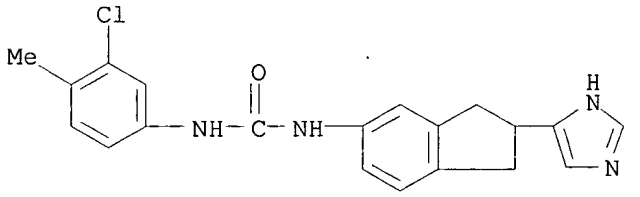
RN 387865-76-1 HCAPLUS
CN 1H-Indole-1-carboxamide, 6-chloro-N-[3-[4-[(2,3-dihydro-1,4-benzodioxin-2-

yl)methyl]-1-piperazinyl]phenyl]-2,3-dihydro-5-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

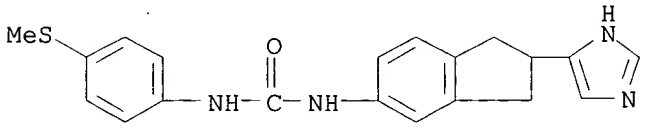
RN 387865-80-7 HCAPLUS
CN Urea, N-(3-chloro-4-methylphenyl)-N'-[2,3-dihydro-2-(1H-imidazol-4-yl)-1H-inden-5-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



NE

● HCl

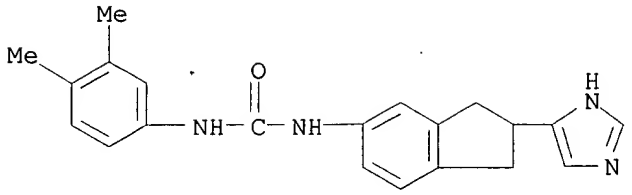
RN 387865-83-0 HCAPLUS
CN Urea, N-[2,3-dihydro-2-(1H-imidazol-4-yl)-1H-inden-5-yl]-N'-[4-(methylthio)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



NE

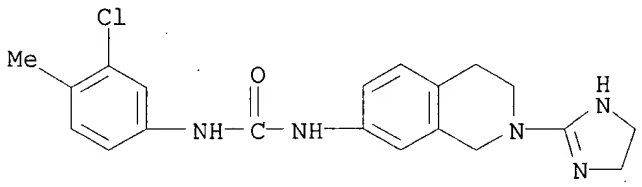
● HCl

RN 387865-85-2 HCAPLUS
CN Urea, N-[2,3-dihydro-2-(1H-imidazol-4-yl)-1H-inden-5-yl]-N'-(3,4-dimethylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



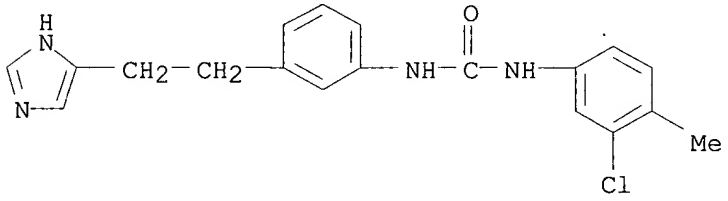
● HCl

RN 387865-87-4 HCAPLUS
CN Urea, N-(3-chloro-4-methylphenyl)-N'-[2-(4,5-dihydro-1H-imidazol-2-yl)-1,2,3,4-tetrahydro-7-isoquinolinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



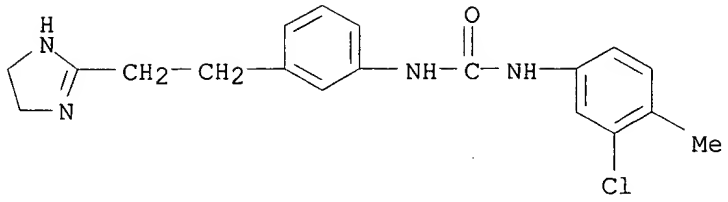
● HCl

RN 387865-91-0 HCAPLUS
CN Urea, N-(3-chloro-4-methylphenyl)-N'-[3-[2-(1H-imidazol-4-yl)ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



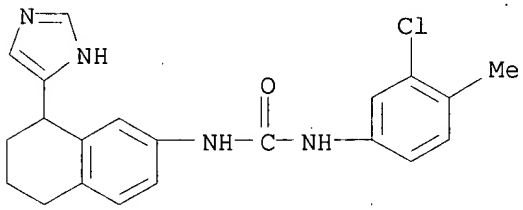
● HCl

RN 387865-94-3 HCAPLUS
CN Urea, N-(3-chloro-4-methylphenyl)-N'-[3-[2-(4,5-dihydro-1H-imidazol-2-yl)ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



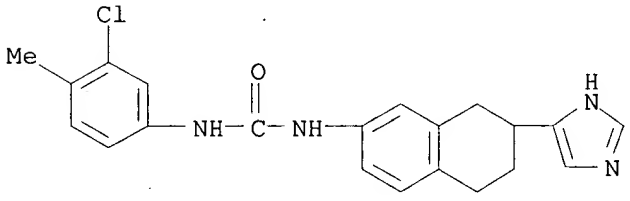
● HCl

RN 387865-96-5 HCAPLUS
CN Urea, N-(3-chloro-4-methylphenyl)-N'-[5,6,7,8-tetrahydro-8-(1H-imidazol-4-yl)-2-naphthalenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



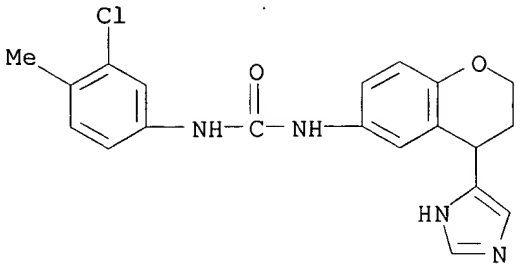
● HCl

RN 387865-98-7 HCAPLUS
CN Urea, N-(3-chloro-4-methylphenyl)-N'-[5,6,7,8-tetrahydro-7-(1H-imidazol-4-yl)-2-naphthalenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



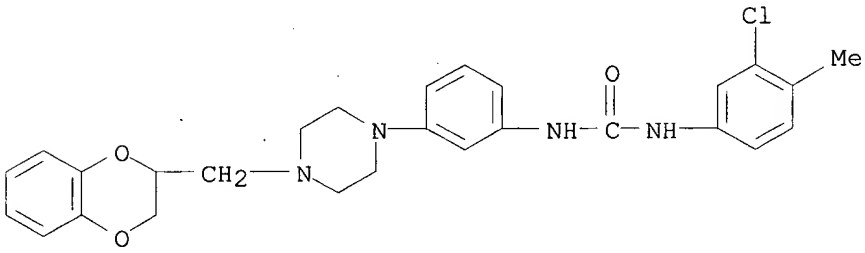
● HCl

RN 387866-00-4 HCAPLUS
CN Urea, N-(3-chloro-4-methylphenyl)-N'-[3,4-dihydro-4-(1H-imidazol-4-yl)-2H-1-benzopyran-6-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

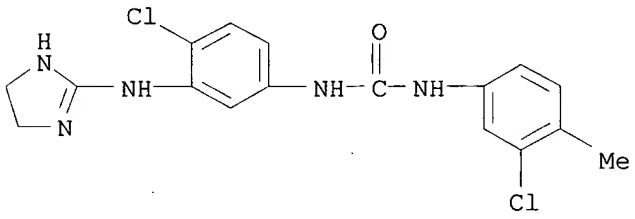


● HCl

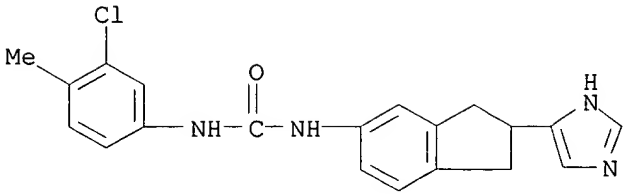
RN 387866-02-6 HCAPLUS
CN Urea, N-(3-chloro-4-methylphenyl)-N'-[3-[4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)



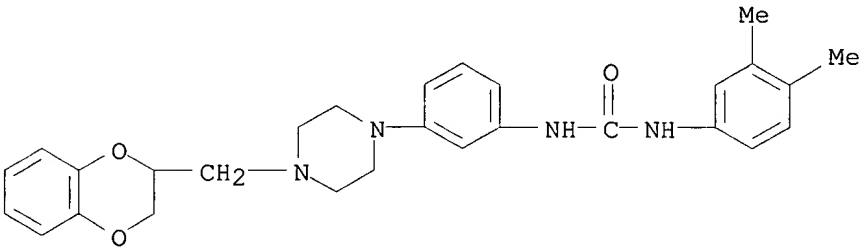
RN 387866-03-7 HCAPLUS
CN Urea, N-[4-chloro-3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]-N'-(3-chloro-4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 387866-04-8 HCAPLUS
CN Urea, N-(3-chloro-4-methylphenyl)-N'-[2,3-dihydro-2-(1H-imidazol-4-yl)-1H-inden-5-yl]- (9CI) (CA INDEX NAME)

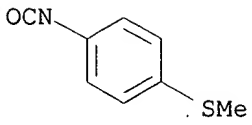


RN 387866-05-9 HCAPLUS
CN Urea, N-[3-[4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-piperazinyl]phenyl]-N'-(3,4-dimethylphenyl)- (9CI) (CA INDEX NAME)

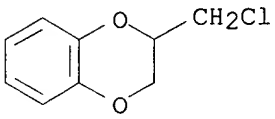


IT **1632-84-4**, 4-Methylthiophenyl isocyanate **2164-33-2**, 2-Chloromethyl-2,3-dihydro-1,4-benzodioxine **5811-00-7**, 1,2-Dihydrobenzo[e]indole **28479-22-3**, 3-Chloro-4-methylphenyl isocyanate **50529-33-4**, 3-Chloro-4-fluorophenylisocyanate **51163-27-0**, 3,4-Dimethylphenyl isocyanate **148546-78-5**, 4-Methoxy-3-(4-methyl-1-piperazinyl)phenylamine **148547-00-6**, 4-Methyl-3-(4-methyl-1-piperazinyl)aniline **150586-86-0**, (2-(1H-Imidazol-4-yl)indan-5-yl)amine **162100-44-9**, 6-Chloro-5-methyl-2,3-dihydroindole **183555-57-9** **205584-67-4**, 6-Chloro-5-fluoroindoline **387864-94-0**, 3-((4,5-Dihydro-1H-imidazol-2-yl)methyl)aniline **387865-01-2**, 3-[1-(4,5-Dihydro-1H-imidazol-2-yl)ethyl]aniline **387865-06-7**, 3-[1-(4,5-Dihydro-1H-imidazol-2-yl)-1-methylethyl]aniline **387865-31-8**, 3-[4-((2,3-Dihydro-1,4-benzodioxin-2-yl)methyl)-1-piperazinyl]aniline **387865-42-1** **387865-48-7**, N-[3-[[[(2-Aminoethyl)amino]carbothioyl]amino]-4-chlorophenyl]-N'-(3-chloro-4-methylphenyl)urea **387865-54-5**, 4-[5-[[(3-Chloro-4-methylanilino)carbonyl]amino]-2-methoxyphenyl]-1-piperazinecarboxylic acid tert-butyl ester **387865-58-9** **387865-68-1** **387865-89-6**, (2-(4,5-Dihydro-1H-imidazol-2-yl)-1,2,3,4-tetrahydroisoquinolin-7-yl)amine **387865-93-2**, 3-[2-(1H-Imidazol-4-yl)ethyl]phenylamine **387865-95-4**, 3-[2-(4,5-Dihydro-1H-imidazol-2-yl)ethyl]phenylamine **387865-97-6**, (8-(1H-Imidazol-4-yl)-4a,5,6,7,8,8a-hexahydronaphthalen-2-yl)amine **387865-99-8**, (7-(1H-Imidazol-4-yl)-5,6,7,8-tetrahydronaphthalen-2-yl)amine **387866-01-5**, (4-(1H-Imidazol-4-yl)chroman-6-yl)amine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. of **diphenylurea** derivs. and their use as .alpha.2/5-HT2c antagonists)

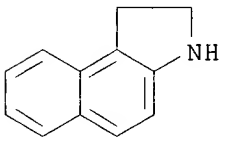
RN 1632-84-4 HCAPLUS
CN Benzene, 1-isocyanato-4-(methylthio)- (9CI) (CA INDEX NAME)



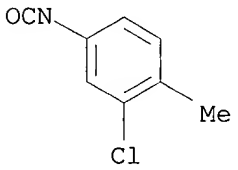
RN 2164-33-2 HCAPLUS
CN 1,4-Benzodioxin, 2-(chloromethyl)-2,3-dihydro- (9CI) (CA INDEX NAME)



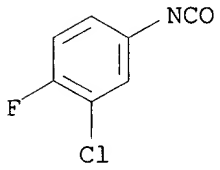
RN 5811-00-7 HCAPLUS
CN 1H-Benz[e]indole, 2,3-dihydro- (9CI) (CA INDEX NAME)



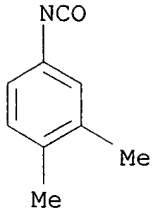
RN 28479-22-3 HCAPLUS
CN Benzene, 2-chloro-4-isocyanato-1-methyl- (9CI) (CA INDEX NAME)



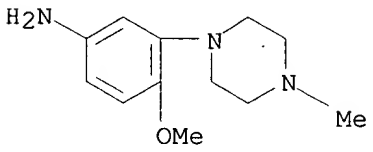
RN 50529-33-4 HCAPLUS
CN Benzene, 2-chloro-1-fluoro-4-isocyanato- (9CI) (CA INDEX NAME)



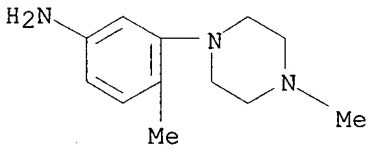
RN 51163-27-0 HCAPLUS
CN Benzene, 4-isocyanato-1,2-dimethyl- (9CI) (CA INDEX NAME)



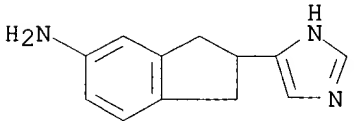
RN 148546-78-5 HCAPLUS
CN Benzenamine, 4-methoxy-3-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



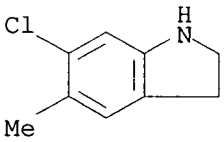
RN 148547-00-6 HCAPLUS
CN Benzenamine, 4-methyl-3-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



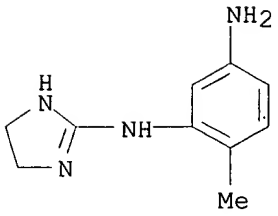
RN 150586-86-0 HCAPLUS
CN 1H-Inden-5-amine, 2,3-dihydro-2-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



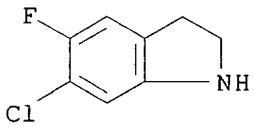
RN 162100-44-9 HCAPLUS
CN 1H-Indole, 6-chloro-2,3-dihydro-5-methyl- (9CI) (CA INDEX NAME)



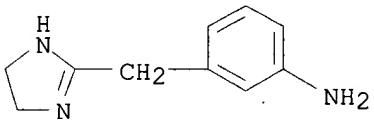
RN 183555-57-9 HCAPLUS
CN 1,3-Benzenediamine, N3-(4,5-dihydro-1H-imidazol-2-yl)-4-methyl- (9CI) (CA INDEX NAME)



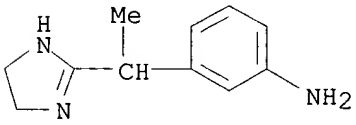
RN 205584-67-4 HCAPLUS
CN 1H-Indole, 6-chloro-5-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME)



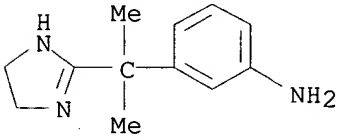
RN 387864-94-0 HCAPLUS
CN Benzenamine, 3-[(4,5-dihydro-1H-imidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



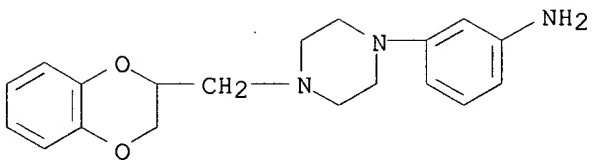
RN 387865-01-2 HCAPLUS
CN Benzenamine, 3-[1-(4,5-dihydro-1H-imidazol-2-yl)ethyl]- (9CI) (CA INDEX NAME)



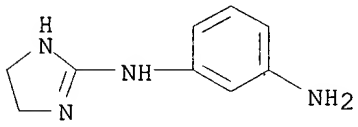
RN 387865-06-7 HCAPLUS
CN Benzenamine, 3-[1-(4,5-dihydro-1H-imidazol-2-yl)-1-methylethyl]- (9CI) (CA INDEX NAME)



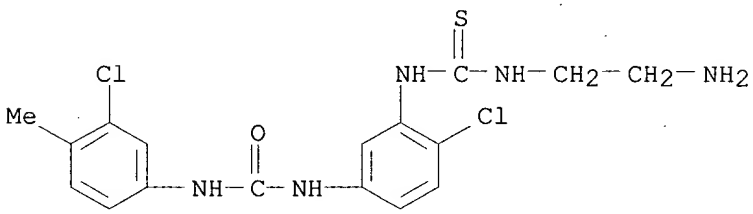
RN 387865-31-8 HCAPLUS
CN Benzenamine, 3-[4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



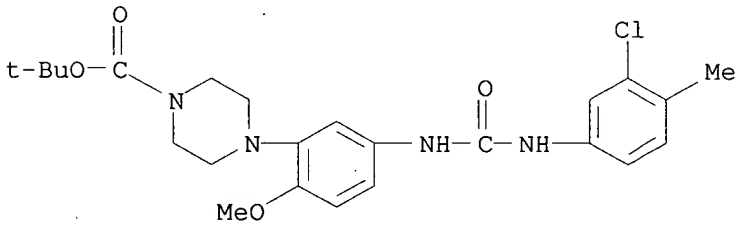
RN 387865-42-1 HCAPLUS
CN 1,3-Benzenediamine, N-(4,5-dihydro-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)



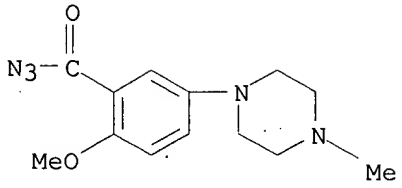
RN 387865-48-7 HCAPLUS
CN Urea, N-[3-[[[(2-aminoethyl)amino]thioxomethyl]amino]-4-chlorophenyl]-N'-(3-chloro-4-methylphenyl)- (9CI) (CA INDEX NAME)



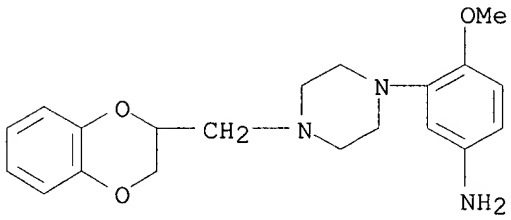
RN 387865-54-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[5-[[[(3-chloro-4-methylphenyl)amino]carbonyl]amino]-2-methoxyphenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



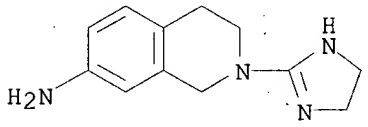
RN 387865-58-9 HCAPLUS
CN Benzoyl azide, 2-methoxy-5-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



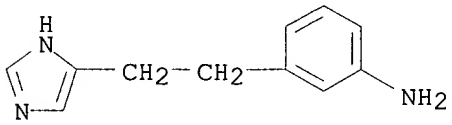
RN 387865-68-1 HCAPLUS
CN Benzenamine, 3-[4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-piperazinyl]-4-methoxy- (9CI) (CA INDEX NAME)



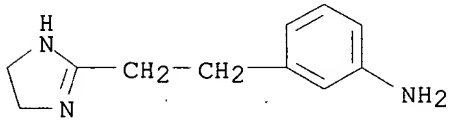
RN 387865-89-6 HCAPLUS
CN 7-Isoquinolinamine, 2-(4,5-dihydro-1H-imidazol-2-yl)-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



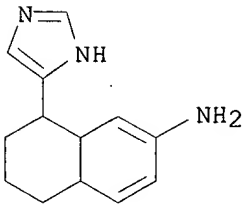
RN 387865-93-2 HCAPLUS
CN Benzenamine, 3-[2-(1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)



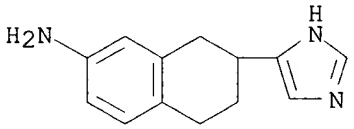
RN 387865-95-4 HCAPLUS
CN Benzenamine, 3-[2-(4,5-dihydro-1H-imidazol-2-yl)ethyl]- (9CI) (CA INDEX NAME)



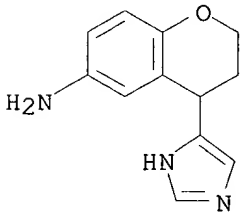
RN 387865-97-6 HCAPLUS
CN 2-Naphthalenamine, 4a,5,6,7,8,8a-hexahydro-8-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 387865-99-8 HCAPLUS
CN 2-Naphthalenamine, 5,6,7,8-tetrahydro-7-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 387866-01-5 HCAPLUS
CN 2H-1-Benzopyran-6-amine, 3,4-dihydro-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



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L26 ANSWER 1 OF 4 COPYRIGHT 2002 BEILSTEIN GDS MDLI

Beilstein Reg. No. (BRN): 6000388 Beilstein
Molecular Formula (MF): C19 H21 Cl2 N3 O2
Synonym (SY): 1-methyl-4-hydroxy-4-<2-<N-(4-chlorophenyl)carbamoyl>amino-5-chlorophenyl>piperidine
Autonom Name (AUN): 1-<4-chloro-2-(4-hydroxy-1-methyl-piperidin-4-yl)-phenyl>-3-(4-chloro-phenyl)-urea
Beilstein Reference (SO): 6-22
CAS Reg. No. (RN): 121060-58-0
Beilstein Pref. RN (BPR): 121060-58-0
Formula Weight (FW): 394.30
Lawson Number (LN): 27624; 14132; 2817; 1762

Ring System Data:

Number of Rings (CNR): 3
Ring Systems (CNRS): 3
Diff. Ring Systems (CNDRS): 2
Ring Heteros (CNRH): 1
Acyclic Heteros (CNAH): 6

Beilstein Ring Index (BRIX)	Ring System Formula (RF)	BRIX Count
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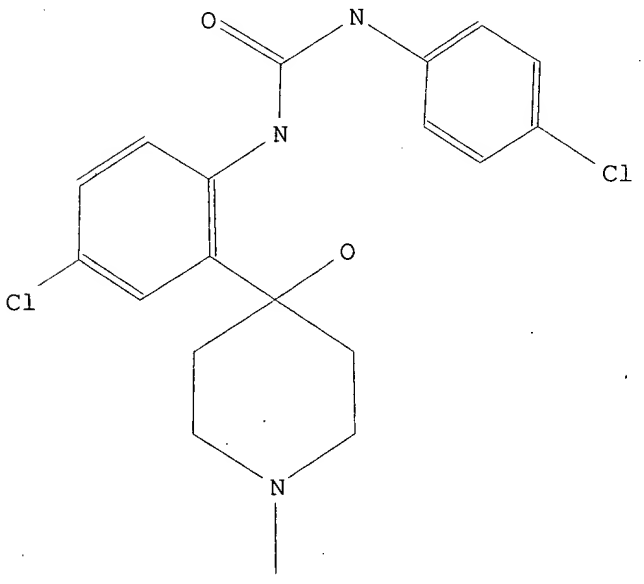
6.1.0-0.0-3.1	C6	2



Preparation:

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Start: BRN=386235 1-chloro-4-isocyanato-benzene, BRN=5949258 C12H17ClN2O
Yield: 91.30 %
Solv: ethyl acetate
Ambient Temperature
Reference(s):
1. TAKAI, HARUKI; OBASE, HIROYUKI; TERANISHI, MASAYUKI, Chem.Pharm.Bull., 36 <1988> 12, 4671-4677, LA: EN, CODEN: CPBTAL

=> d cost

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
CONNECT CHARGES	0.00	39.39
SEARCH CHARGES	0.00	180.95
DISPLAY CHARGES	22.28	118.50
	22.28	338.84
CAPLUS FEE (5%)	0.00	6.20
FULL ESTIMATED COST	22.28	345.04

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-14.25

IN FILE 'BEILSTEIN' AT 19:00:33 ON 07 MAR 2002

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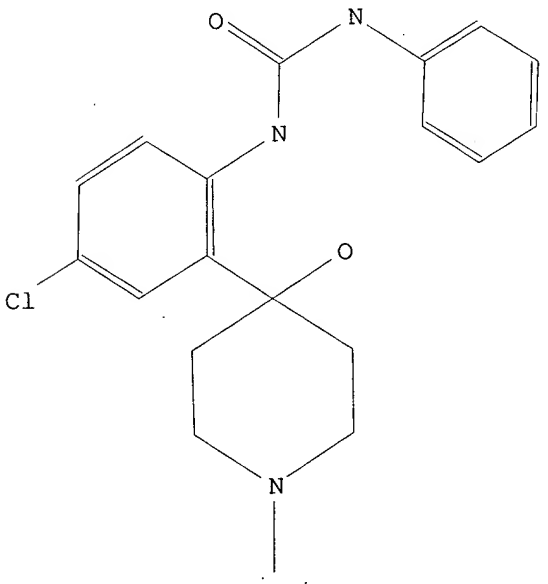
L26 ANSWER 2 OF 4 COPYRIGHT 2002 BEILSTEIN CDS MDLI

Beilstein Reg. No. (BRN): 5993669 Beilstein
Molecular Formula (MF): C19 H22 Cl N3 O2
Autonom Name (AUN): 1-<4-chloro-2-(4-hydroxy-1-methyl-piperidin-4-yl)-phenyl>-3-phenyl-urea
Beilstein Reference (SO): 6-22
CAS Reg. No. (RN): 85732-65-6
Beilstein Pref. RN (BPR): 85732-65-6
Formula Weight (FW): 359.85
Lawson Number (LN): 27624; 14131; 2817; 1762

Ring System Data:

Number of Rings (CNR): 3
Ring Systems (CNRS): 3
Diff. Ring Systems (CNDRS): 2
Ring Heteros (CNRH): 1
Acyclic Heteros (CNAH): 5

Beilstein Ring Index (BRIX)	Ring System Formula (RF)	BRIX Count
6.1.0-1.1-0.0	C5N	1
6.1.0-0.0-3.1	C6	2



Preparation:
PRE
Start: BRN=471391 isocyanatobenzene, BRN=5949258 C12H17ClN2O
Yield: 90.30 %
Solv: ethyl acetate

Ambient Temperature
Reference(s):
1. TAKAI, HARUKI; OBASE, HIROYUKI; TERANISHI, MASAYUKI, Chem.Pharm.Bull., 36 <1988> 12, 4671-4677, LA: EN, CODEN: CPBTAL

Melting Point:

Value (MP) (Cel)	Solv. (.SOL)	Ref.
179.00 - 181.00	ethyl acetate, methanol	1
179.00 - 181.00	ethyl acetate, methanol	1

Reference(s):
1. TAKAI, HARUKI; OBASE, HIROYUKI; TERANISHI, MASAYUKI, Chem.Pharm.Bull., 36 <1988> 12, 4671-4677, LA: EN, CODEN: CPBTAL

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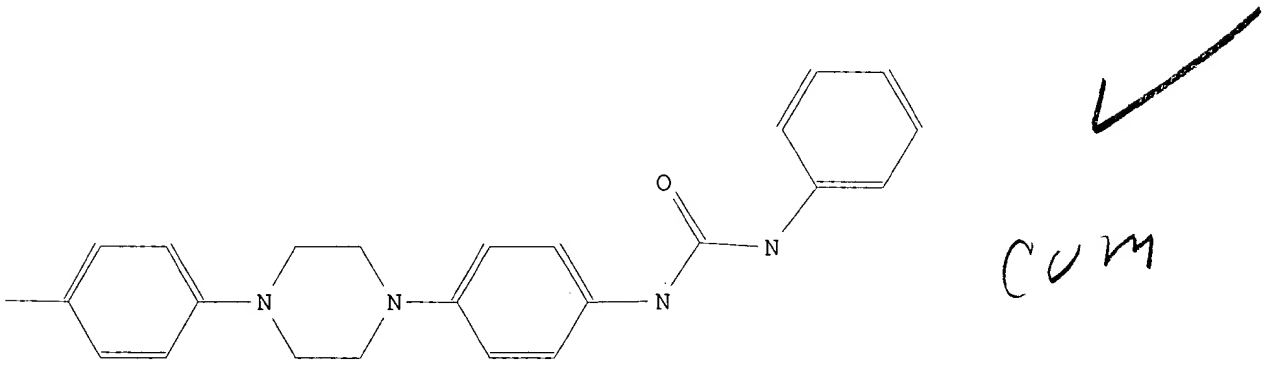
L26 ANSWER 3 OF 4 COPYRIGHT 2002 BEILSTEIN CDS MDLI

Beilstein Reg. No. (BRN): 857542 Beilstein
Molecular Formula (MF): C24 H26 N4 O
Chemical Name (CN): 1-phenyl-3-<4-(4-p-tolyl-piperazin-1-yl)-phenyl>-urea
Autonom Name (AUN): 1-phenyl-3-<4-(4-p-tolyl-piperazin-1-yl)-phenyl>-urea
Beilstein Reference (SO): 5-23-01-00391
CAS Reg. No. (RN): 74840-29-2
Beilstein Pref. RN (BPR): 74840-29-2
Formula Weight (FW): 386.50
Lawson Number (LN): 28000; 14508; 14141; 14131; 1762

Ring System Data:

Number of Rings (CNR): 4
Ring Systems (CNRS): 4
Diff. Ring Systems (CNDRS): 2
Ring Heteros (CNRH): 2
Acyclic Heteros (CNAH): 3

Beilstein Ring Index (BRIX)	Ring System Formula (RF)	BRIX Count
6.1.0-2.2-0.0	C4N2	1
6.1.0-0.0-3.1	C6	3



COM

Preparation:
PRE
Reference(s):
1. Husain et al., J.Indian Chem.Soc., 56 <1979>, 919, CODEN: JICSAH

Melting Point:

Value (MP) (Cel)	Ref.

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Reference(s):
1. Husain et al., J.Indian Chem.Soc., 56 <1979>, 919, CODEN: JICSAH

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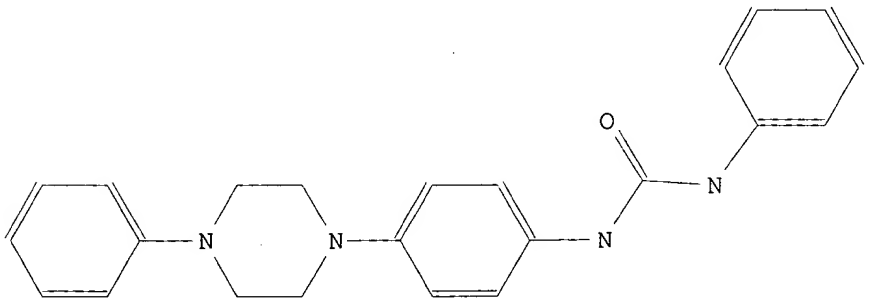
L26 ANSWER 4 OF 4 COPYRIGHT 2002 BEILSTEIN CDS MDLI

Beilstein Reg. No. (BRN): 852562 Beilstein
Molecular Formula (MF): C23 H24 N4 O
Chemical Name (CN): 1-phenyl-3-<4-(4-phenyl-piperazin-1-yl)-phenyl>-urea
Autonom Name (AUN): 1-phenyl-3-<4-(4-phenyl-piperazin-1-yl)-phenyl>-urea
Beilstein Reference (SO): 5-23-01-00389
CAS Reg. No. (RN): 74840-28-1
Beilstein Pref. RN (BPR): 74840-28-1
Formula Weight (FW): 372.47
Lawson Number (LN): 28000; 14508; 14131; 1762

Ring System Data:

Number of Rings (CNR): 4
Ring Systems (CNRS): 4
Diff. Ring Systems (CNDRS): 2
Ring Heteros (CNRH): 2
Acyclic Heteros (CNAH): 3

Beilstein Ring Index (BRIX)	Ring System Formula (RF)	BRIX Count
6.1.0-2.2-0.0	C4N2	1
6.1.0-0.0-3.1	C6	3



Preparation:
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Reference(s):
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Melting Point:

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Reference(s):
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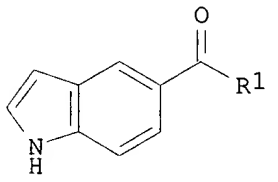
L20 ANSWER 1 OF 22 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:581832 HCAPLUS
DOCUMENT NUMBER: 135:166842
TITLE: Preparation of (1H-indol-5-yl)methanones, 2-(2-fluorophenyl)acetamides and 2-(pyrazol-1-yl)pyrimidines as InhA inhibitors
INVENTOR(S): Staveski, Mark M.; Sneddon, Scott F.; Yee, Christopher; Janjigian, Andrew
PATENT ASSIGNEE(S): Genzyme Corporation, USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001056974	A2	20010809	WO 2001-US40045	20010206

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-499183 A1 20000207
OTHER SOURCE(S): MARPAT 135:166842
GI



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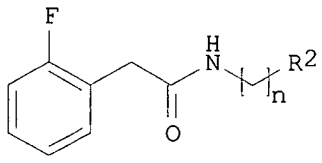

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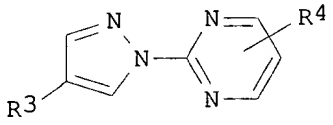


III

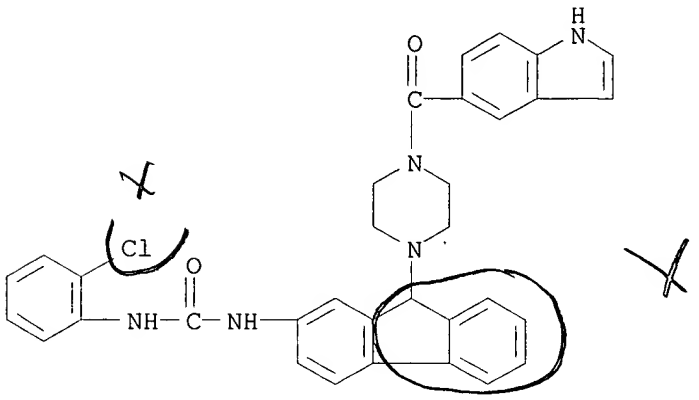
AB The title compds. [I-III, etc.; R1 = (un)substituted heteroaryl, piperazinyl, piperidinyl, etc.; R2 = OH, (un)substituted aryl, cycloalkyl, etc.; n = 1-2; R3 = (un)substituted Ph, heteroaryl; R4 = H, halo, alkyl, etc.] which inhibit the Mycobacterial enoyl-ACP reductase required for cell wall biosynthesis, and are useful for treating a bacterial infection in a patient, were prepd. Thus, reacting 2-fluorophenylacetic acid with 4-chlorophenethylamine in the presence of DMAP and EDCI in CH2Cl2 afforded II [R2 = 4-ClC6H4; n = 2] which showed 82% InhA inhibition at 40 .mu.M.

IT **353522-13-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (1H-indol-5-yl)methanones, 2-(2-fluorophenyl)acetamides and 2-(pyrazol-1-yl)pyrimidines as InhA inhibitors)

RN 353522-13-1 HCAPLUS

CN Piperazine, 1-[2-[[[(2-chlorophenyl)amino]carbonyl]amino]-9H-fluoren-9-yl]-4-(1H-indol-5-ylcarbonyl)- (9CI) (CA INDEX NAME)



L20 ANSWER 2 OF 22 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:795681 HCAPLUS

DOCUMENT NUMBER: 132:35606

TITLE: Preparation of multibinding piperidinylindole derivatives as therapeutic agents that modulate 5-HT receptors

INVENTOR(S): Marquess, Daniel; Griffin, John H.; Choi, Seok-Ki

PATENT ASSIGNEE(S): Advanced Medicine, Inc., USA

SOURCE: PCT Int. Appl., 190 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 23

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9964044	A1	19991216	WO 1999-US12751	19990607
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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AU 9946751	A1	19991230	AU 1999-46751	19990607
AU 9946752	A1	19991230	AU 1999-46752	19990607
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US 6288055 B1 20010911 US 2000-499476 20000207
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US 1998-92938 P 19980715
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WO 1999-US11786 W 19990604
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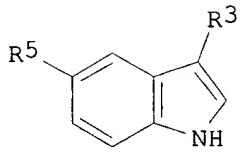
WO 1999-US12778 W 19990607
WO 1999-US12782 W 19990607
WO 1999-US12626 W 19990608
WO 1999-US12770 W 19990608
WO 1999-US12876 W 19990608
WO 1999-US12907 W 19990608
WO 1999-US12989 W 19990608
WO 1999-US12994 W 19990608
WO 1999-US12995 W 19990608
OTHER SOURCE(S): MARPAT 132:35606
GI



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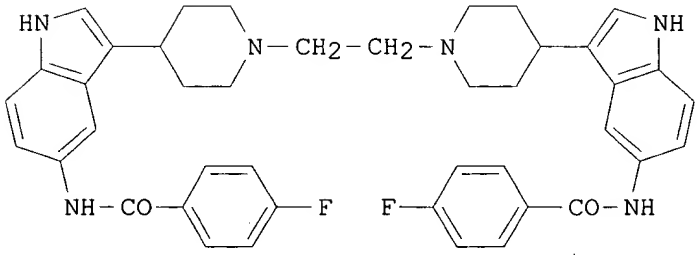


II

AB Novel multibinding piperidinylindole compds, LpXq [where L = a ligand capable of binding to a 5-HT receptor; X = a linker; p = 2-10; q = 1-2], that modulate 5-HT receptors are disclosed. Preferred ligands are of formula I [where R3 and R5 = independently point of attachment of the linker, H, alkyl, heterocyclic, heteroaryl(alkyl), amidoalkyl,

(di)alkylaminosulfonylalkyl, arylsulfonylalkyl, heterocyclosulfonylalkyl, arylcarbonylamino, alkylsulfonamido, or alkylsufonylalkyl]. Over 140 multibinding compds., formed from two piperidinylindole derivs. and a difunctional linker, were prepd. For example, condensation of 5-(4-fluorobenzoyl)amino-3-(piperidin-4-yl)-1H-indole with 1,2-dibromoethane at 72.degree. in DMF, after workup and chromatog., yielded the dimer II. Compds. of this invention are useful in the treatment of migraine, headache, itch, motion sickness, depression, emesis, memory loss, anxiolytic disorders, obesity, gastrointestinal disorders, and irritable bowel syndrome (no data). The multibinding compds. provide greater biol. and/or therapeutic effects than the aggregate of the unlinked ligands due to their multibinding properties (no data). Combinatorial arrays, methods of synthesis, and methods of assaying the dimeric and multimeric compds. are also embodied by the invention.

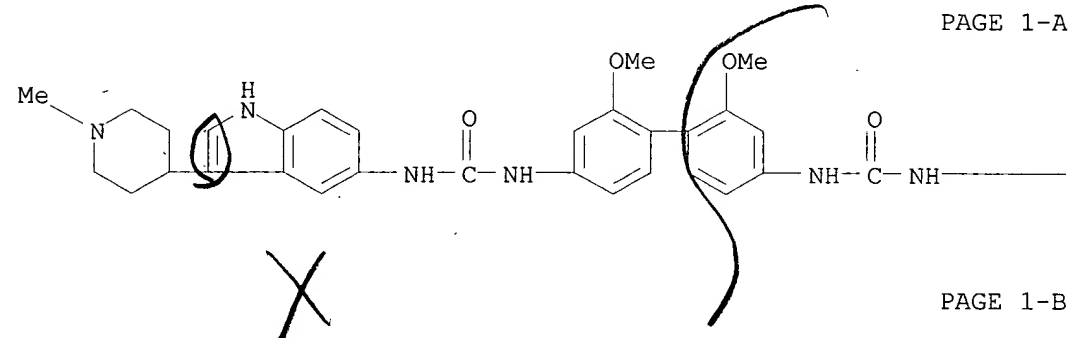
IT **252354-77-1P 252354-80-6P 252354-82-8P**
252354-83-9P 252354-84-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of multibinding piperidinylindole derivs. as therapeutic agents that modulate 5-HT receptors and are useful for the treatment of migraine)

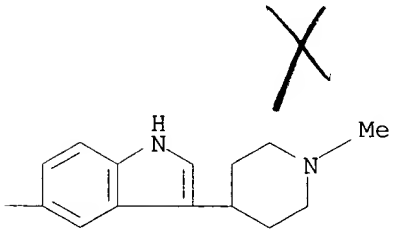
RN 252354-77-1 HCAPLUS

CN Urea, N,N''-(2,2'-dimethoxy[1,1'-biphenyl]-4,4'-diyl)bis[N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



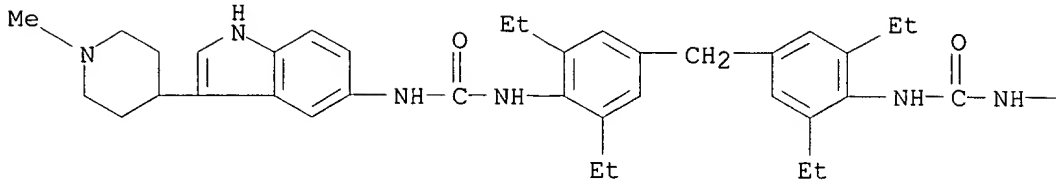
PAGE 1-B



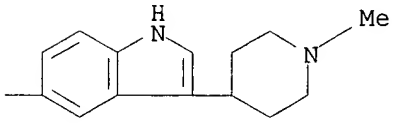
RN 252354-80-6 HCAPLUS

CN Urea, N,N''-[methylenebis(2,6-diethyl-4,1-phenylene)]bis[N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

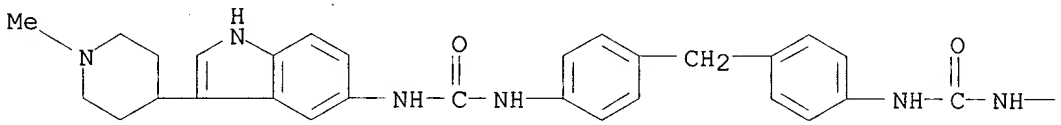


PAGE 1-B

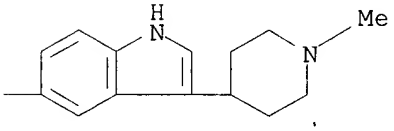


RN 252354-82-8 HCAPLUS
CN Urea, N,N''-(methylenedi-4,1-phenylene)bis[N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

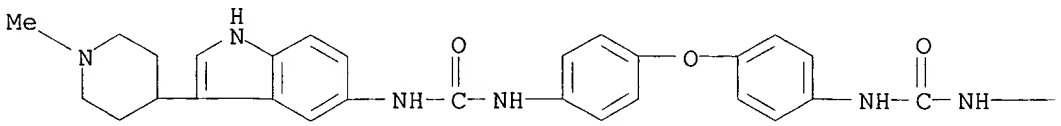


PAGE 1-B

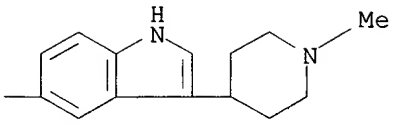


RN 252354-83-9 HCAPLUS
CN Urea, N,N''-(oxydi-4,1-phenylene)bis[N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

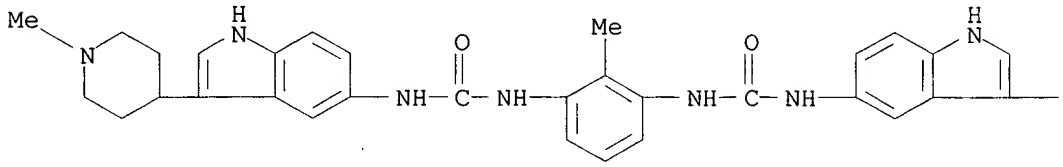


PAGE 1-B

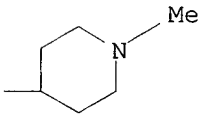


RN 252354-84-0 HCAPLUS
CN Urea, N,N''-(2-methyl-1,3-phenylene)bis[N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

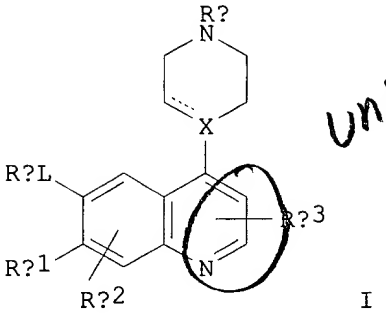
L20 ANSWER 3 OF 22 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:404951 HCAPLUS
DOCUMENT NUMBER: 131:58850
TITLE: Preparation of quinolinepiperazine and quinolinepiperidine derivatives and their use as combined 5-HT1A, 5-HT1B, and 5-HT1D receptor antagonists
INVENTOR(S): Gaster, Laramie Mary
PATENT ASSIGNEE(S): Smithkline Beecham Plc, UK
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931086	A1	19990624	WO 1998-EP7804	19981202
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1047691	A1	20001102	EP 1998-965729	19981202
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				

PRIORITY APPLN. INFO.: GB 1997-26364 A 19971212

GB 1997-26905 A 19971219
GB 1998-317 A 19980107
WO 1998-EP7804 W 19981202

OTHER SOURCE(S): MARPAT 131:58850
GI



Unsatd.

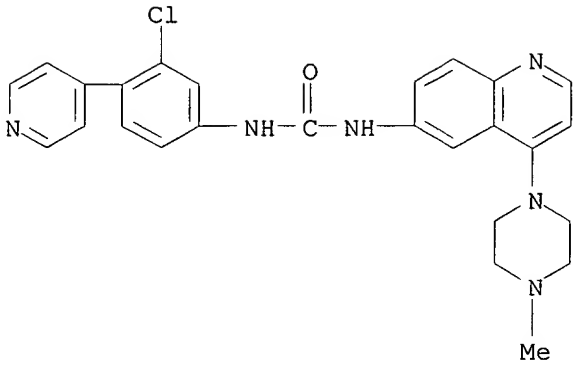
I

AB The title compds. I [Ra = substituted Ph, bicyclic aryl, heterocyclyl, etc.; L = YC(O)DG, C(O)DG, DGC(O) in which Y is -NH-, NR5 where R5 is C1-6alkyl, or Y is -CH2- or -O-; D is nitrogen, carbon or a CH group, or G is hydrogen or C1-6alkyl providing that D is nitrogen or a CH group, or G together with Rb1 forms a group W where W is (CR16R17)t where t is 2, 3 or 4 and R16 and R17 are independently hydrogen or C1-6alkyl or W is (CR16R17)u-J where u is 0, 1, 2 or 3 and J is oxygen, sulfur, CR16:CR17, CR16:N, :CR16O, :CR16S or :CR16NR17 provided that u is not 0 when J is oxygen or sulfur; X is nitrogen or carbon; Rb1, Rb2 and Rb3 are independently hydrogen, halogen, hydroxy, C1-6alkyl, C2-6alkenyl, C3-6cycloalkyl, trifluoromethyl, C1-6alkoxy or aryl, or Rb1 together with G forms a group W as defined above; Rc is hydrogen or C1-6alkyl] were prepd. E.g., N-[4-(4-methylpiperazin-1-yl)quinolin-6-yl]-N'-[5-(pyridin-4-yl)naphth-1-yl]urea was prepd. Some examples of I had pKi values > 8.5 at 5-HT1A, 5-HT1B, and 5-HT1D receptors.

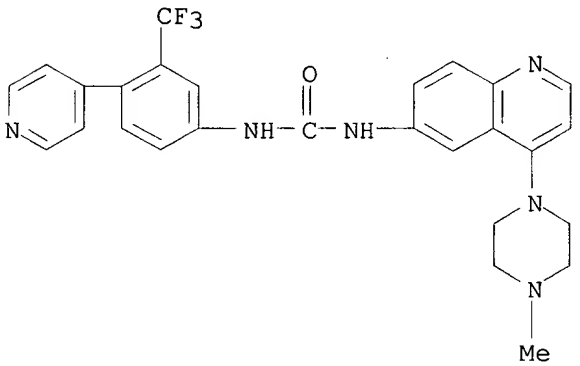
IT **227955-65-9P 227955-93-3P 227955-96-6P**
227956-03-8P 227956-04-9P 227956-05-0P
227956-24-3P 227956-25-4P 227956-26-5P
227956-27-6P 227956-28-7P 227956-29-8P
227956-30-1P 227956-31-2P 227956-32-3P
227956-33-4P 227956-34-5P 227956-36-7P
227956-37-8P 227956-38-9P 227956-40-3P
227956-41-4P 227956-42-5P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinolinepiperazine and quinolinepiperidine derivs. and their use as combined 5-HT1A, 5-HT1B, and 5-HT1D receptor antagonists)

RN 227955-65-9 HCAPLUS

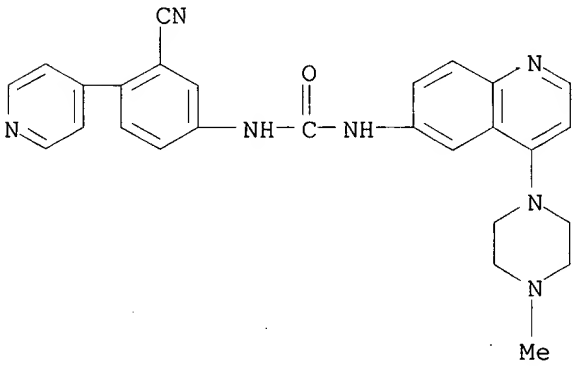
CN Urea, N-[3-chloro-4-(4-pyridinyl)phenyl]-N'-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]- (9CI) (CA INDEX NAME)



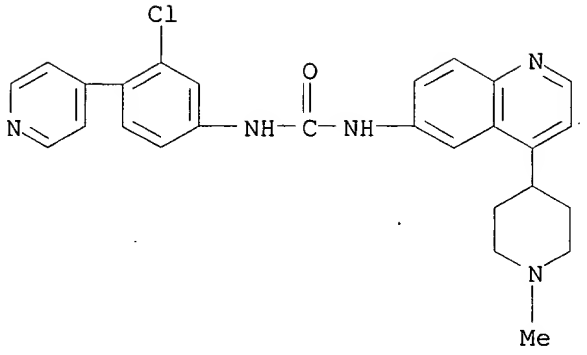
RN 227955-93-3 HCAPLUS
CN Urea, N-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]-N'-[4-(4-pyridinyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



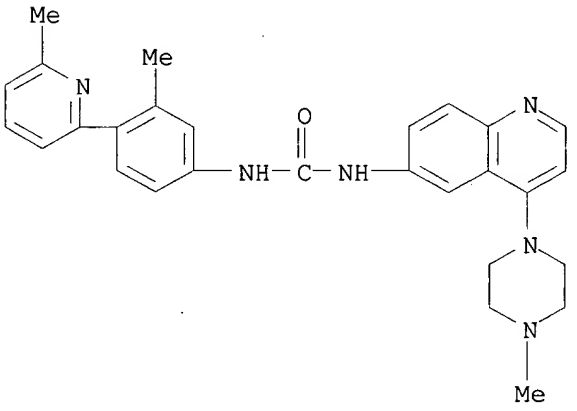
RN 227955-96-6 HCAPLUS
CN Urea, N-[3-cyano-4-(4-pyridinyl)phenyl]-N'-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]- (9CI) (CA INDEX NAME)



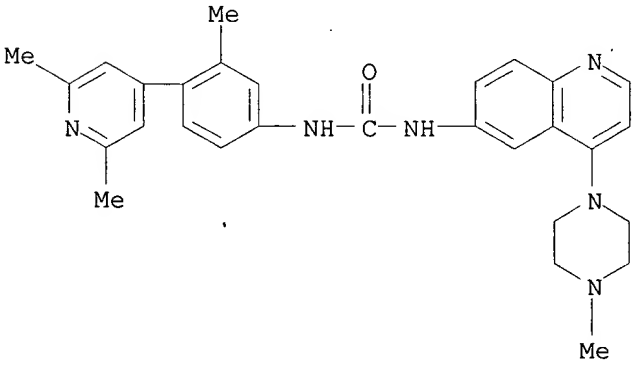
RN 227956-03-8 HCAPLUS
CN Urea, N-[3-chloro-4-(4-pyridinyl)phenyl]-N'-[4-(1-methyl-4-piperidinyl)-6-quinolinyl]- (9CI) (CA INDEX NAME)



RN 227956-04-9 HCAPLUS
CN Urea, N-[3-methyl-4-(6-methyl-2-pyridinyl)phenyl]-N'-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]- (9CI) (CA INDEX NAME)

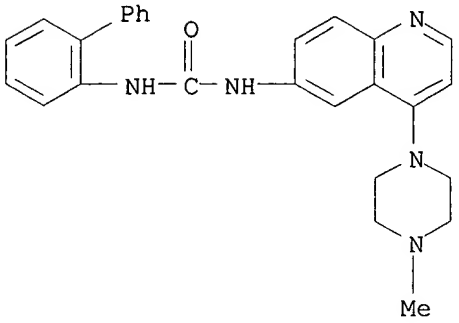


RN 227956-05-0 HCAPLUS
CN Urea, N-[4-(2,6-dimethyl-4-pyridinyl)-3-methylphenyl]-N'-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]- (9CI) (CA INDEX NAME)



RN 227956-24-3 HCAPLUS
CN Urea, N-[1,1'-biphenyl]-2-yl-N'-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]-

(9CI) (CA INDEX NAME)



RN 227956-25-4 HCAPLUS
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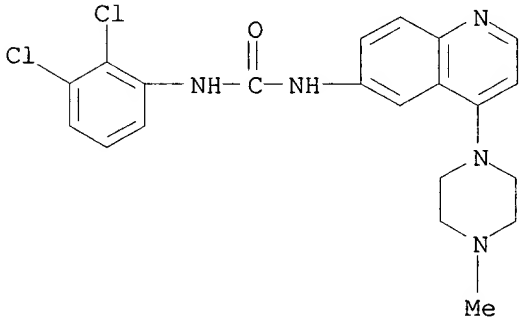
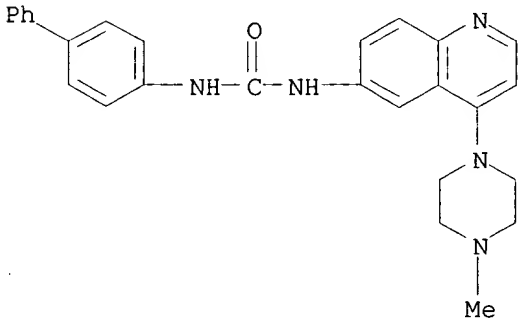
(9CI) (CA INDEX NAME)



RN 227956-26-5 HCAPLUS
CN Urea, N-[1,1'-biphenyl]-4-yl-N'-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]-
(9CI) (CA INDEX NAME)



RN 227956-27-6 HCAPLUS
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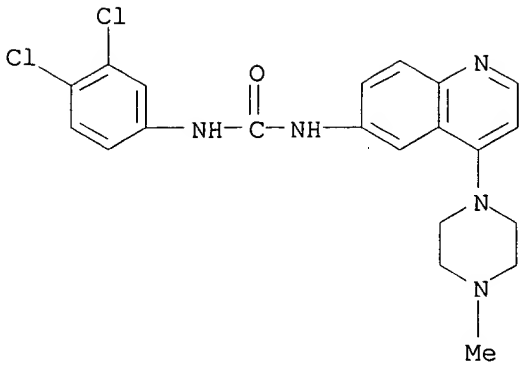
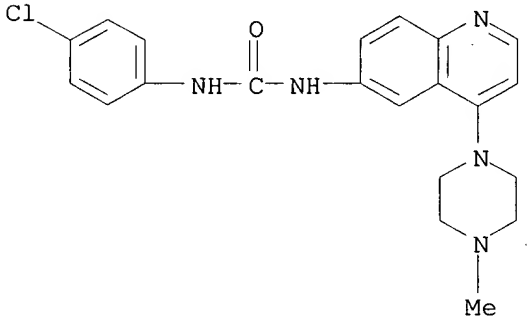
(9CI) (CA INDEX NAME)



RN 227956-28-7 HCAPLUS
CN Urea, N-(4-chlorophenyl)-N'-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]-
(9CI) (CA INDEX NAME)



RN 227956-29-8 HCAPLUS
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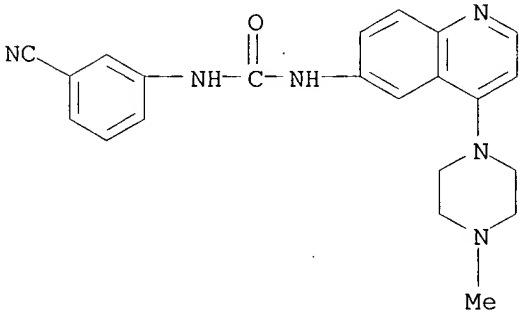
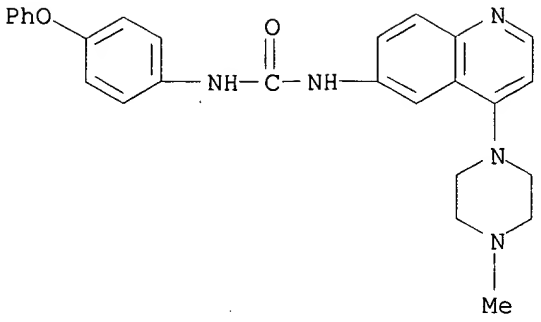
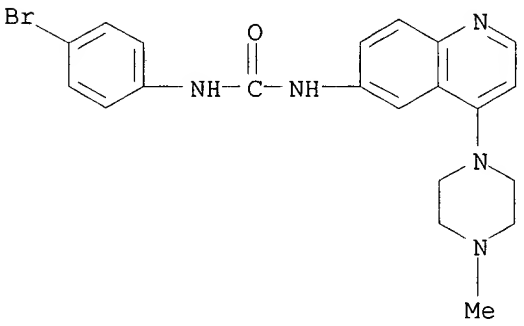
(9CI) (CA INDEX NAME)



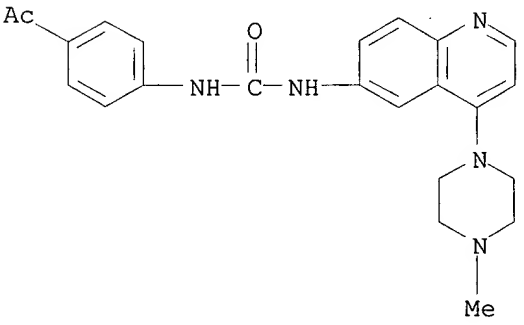
RN 227956-30-1 HCAPLUS
CN Urea, N-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]-N'-(4-phenoxyphenyl)-
(9CI) (CA INDEX NAME)



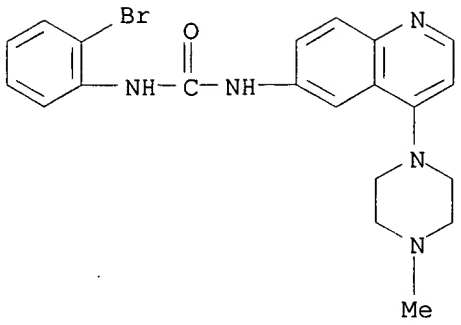
RN 227956-31-2 HCAPLUS
CN Urea, N-(4-bromophenyl)-N'-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]-
(9CI) (CA INDEX NAME)



RN 227956-32-3 HCAPLUS
CN Urea, N-(4-acetylphenyl)-N'-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]-
(9CI) (CA INDEX NAME)



RN 227956-33-4 HCAPLUS
CN Urea, N-(2-bromophenyl)-N'-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]-
(9CI) (CA INDEX NAME)



RN 227956-34-5 HCAPLUS
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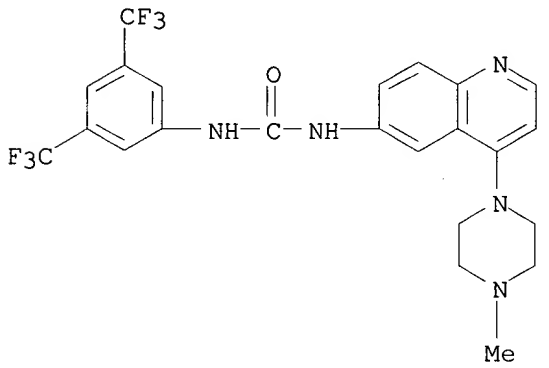
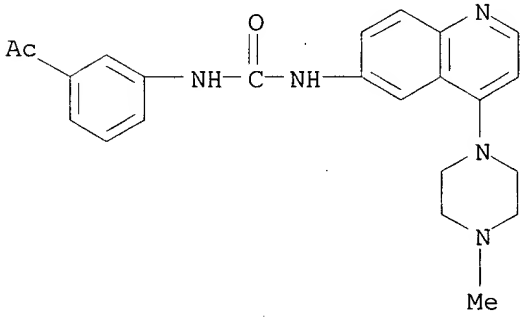


RN 227956-36-7 HCAPLUS
CN Urea, N-(3-acetylphenyl)-N'-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]- (9CI) (CA INDEX NAME)



RN 227956-37-8 HCAPLUS
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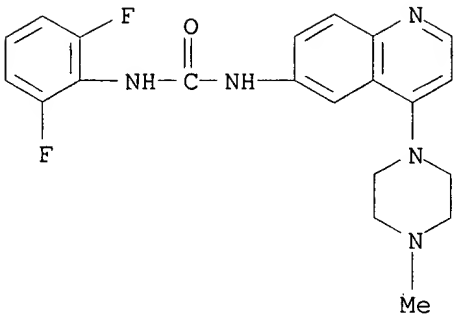

RN 227956-38-9 HCAPLUS
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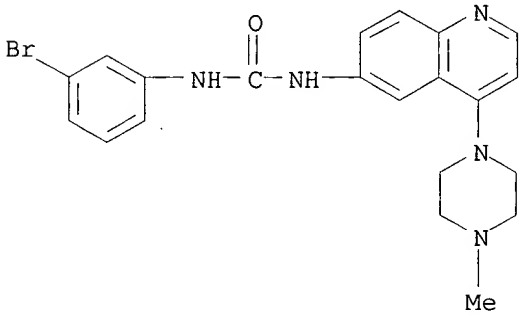
(9CI) (CA INDEX NAME)



RN 227956-40-3 HCAPLUS
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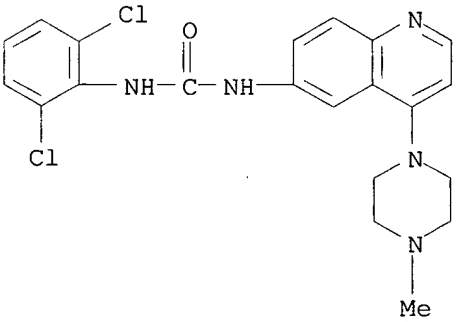
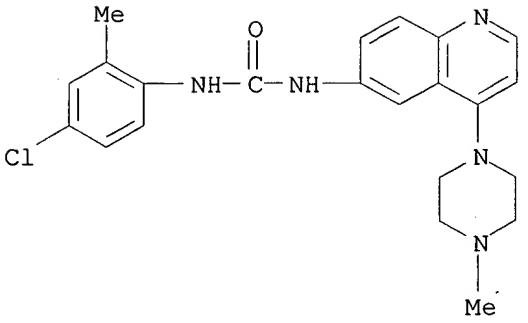
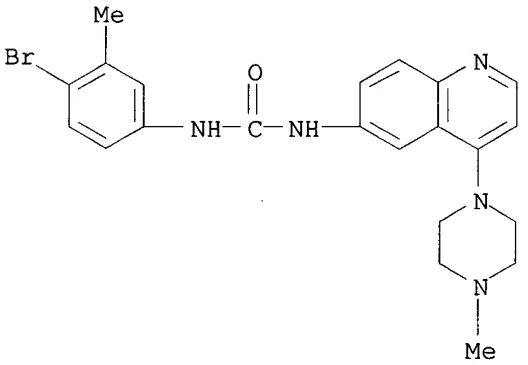
(9CI) (CA INDEX NAME)



RN 227956-41-4 HCAPLUS
CN Urea, N-(4-chloro-2-methylphenyl)-N'-[4-(4-methyl-1-piperazinyl)-6-
quinolinyl]- (9CI) (CA INDEX NAME)



RN 227956-42-5 HCAPLUS
CN Urea, N-(4-bromo-3-methylphenyl)-N'-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 22 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:126896 HCAPLUS
DOCUMENT NUMBER: 130:182356
TITLE: Preparation of bicyclic compounds as ligands for 5-HT1 receptors
INVENTOR(S): Gaster, Laramie Mary; Wyman, Paul Adrian; Flynn, Sean Thomas
PATENT ASSIGNEE(S): SmithKline Beecham PLC, UK
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9907700	A1	19990218	WO 1998-EP5116	19980806
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1003738	A1	20000531	EP 1998-946322	19980806

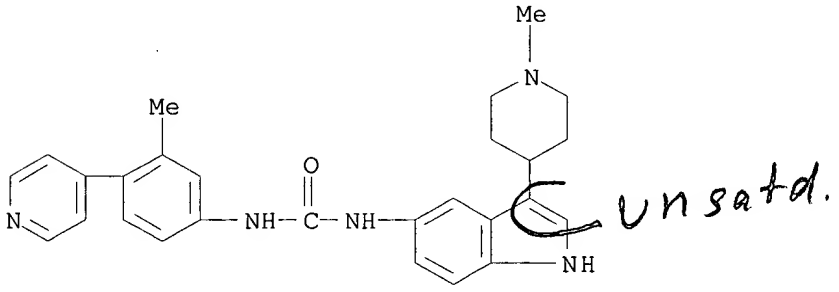
R: BE, CH, DE, ES, FR, GB, IT, LI, NL
JP 2001512727 T2 20010828 JP 2000-506204 19980806
PRIORITY APPLN. INFO.: GB 1997-16804 A 19970809
GB 1998-1633 A 19980126
WO 1998-EP5116 W 19980806
OTHER SOURCE(S): MARPAT 130:182356
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

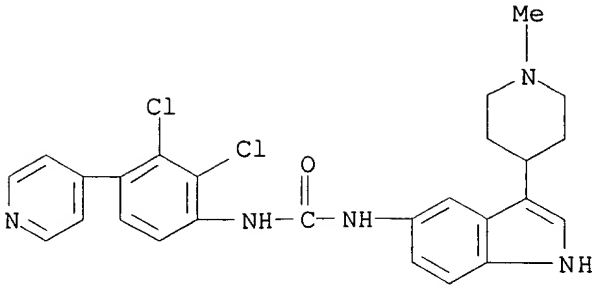
AB The title compds. [I; R11 = II (wherein P1 = Ph, bicyclic aryl, 5-7 membered heterocyclyl contg. 1-3 heteroatoms selected from O, N and S, etc.; R1 = H, halo, C1-6 alkyl, etc.; R2 = H, halo, C1-6 alkyl, etc.; a = 1-3), III (P2, P3 = P1; A = a bond, O, SOm (m = 0-2), etc.; R3 = R2; a, b = 1-3); L = YC(:V)DG (Y = NH, N(C1-6 alkyl), CH2, O; V = O, S; D = N, C, CH; G = H, C1-6 alkyl); Q = (un)substituted 5-7 membered carbocyclic or heterocyclic ring contg. 1-3 heteroatoms selected from O, N or S; R13 = 5-7 membered carbocyclic or heterocyclic ring contg. 1-3 heteroatoms selected from O, N or S; R12 = H, halo, OH, etc.], useful in the treatment of CNS disorders, e.g., anxiety and depression, were prepd. Thus, treatment of 4-(pyridin-4-yl)naphth-1-ylamine with triphosgene in CH2Cl2 in the presence of Et3N followed by the addn. of 5-amino-3-(1-methylpiperidin-4-yl)-1H-indole afforded the urea IV which showed pKi of > 8.0 at 5-HT1A, 5-HT1B and 5-HT1D receptors.

IT **220683-76-1P 220683-77-2P 220683-78-3P**
220683-82-9P 220683-85-2P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bicyclic compds. as ligands for 5-HT1 receptors)

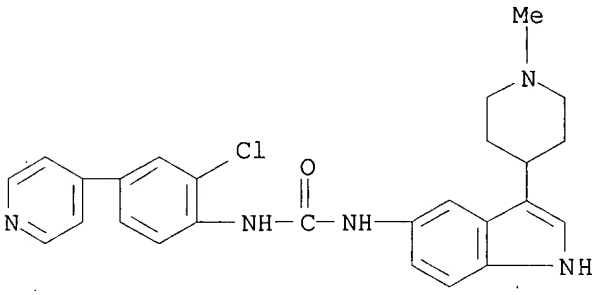
RN 220683-76-1 HCAPLUS
CN Urea, N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N'-[3-methyl-4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



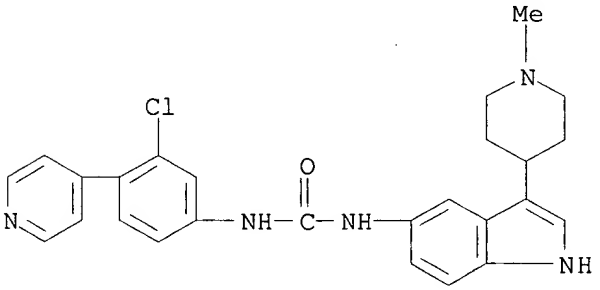
RN 220683-77-2 HCAPLUS
CN Urea, N-[2,3-dichloro-4-(4-pyridinyl)phenyl]-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



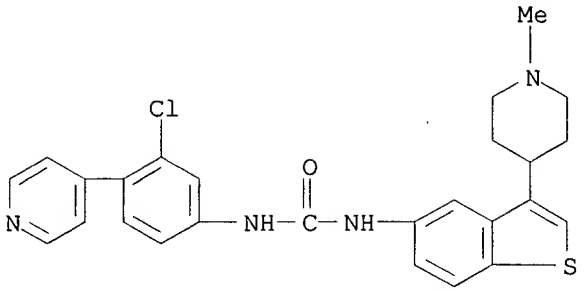
RN 220683-78-3 HCAPLUS
CN Urea, N-[2-chloro-4-(4-pyridinyl)phenyl]-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



RN 220683-82-9 HCAPLUS
CN Urea, N-[3-chloro-4-(4-pyridinyl)phenyl]-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



RN 220683-85-2 HCAPLUS
CN Urea, N-[3-chloro-4-(4-pyridinyl)phenyl]-N'-[3-(1-methyl-4-piperidinyl)benzo[b]thien-5-yl]- (9CI) (CA INDEX NAME)

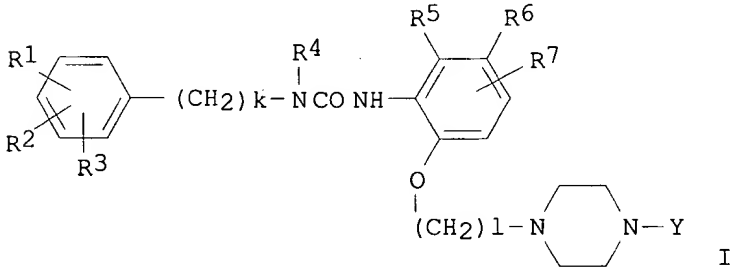


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 22 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:742520 HCAPLUS
DOCUMENT NUMBER: 130:13996
TITLE: Preparation of N-phenylalkyl-N'-(piperazinylalkoxy)phenylureas as hypolipemic agents and antiarteriosclerotics
INVENTOR(S): Inoue, Shinya; Tarao, Yoshihiro; Komatsu, Yoshiyuki; Suzuki, Kazuo; Takahashi, Chizuko
PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 101 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10306078	A2	19981117	JP 1997-117976	19970508

OTHER SOURCE(S): MARPAT 130:13996
GI



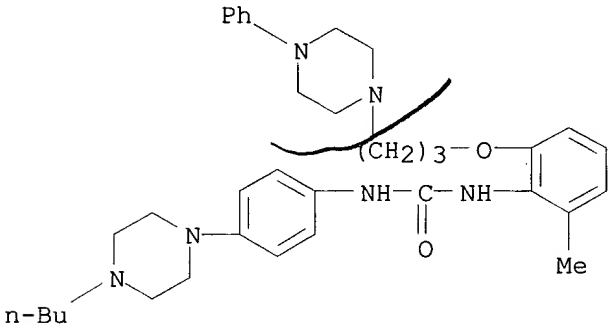
I

AB Title compds. I [R1-R3 = H, OH, C1-3 alkyl, C1-3 alkoxy, etc.; R4 = H, C1-7 alkyl, C3-7 cycloalkyl, Ar(CH2)p; Ar = C6-10 aryl; p = 1-3; R5-R7 = H, C1-3 alkoxy, C1-3 alkyl, NR8R9, etc.; R8, R9 = C1-3 alkyl; Y = C1-3 alkyl, 1-4 N-contg. 5- to 6-membered heterocycles, (substituted) C6-10 aryl; k = 0-3; l = 2-4], their salts, their hydrates, or their solvates are prepd. 2-[3-(4-Phenyl-1-piperazinyl)propoxy]-6-methylaniline (0.47 g) was treated with 0.31 g 4-(2-pyridylmethyloxy)phenylmethylamine in CH2Cl2 in the presence of (Cl3C)2CO3 and Et3N at room temp. for 1 h to give 0.66

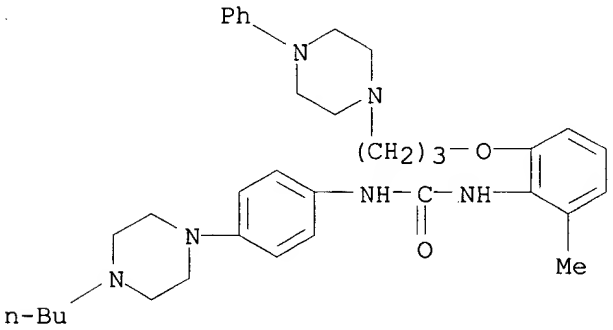
g N-[4-(2-pyridylmethyloxy)phenyl]methyl-N'-[2-[3-(4-phenyl-1-piperazinyl)propoxy]-6-methylphenyl]urea, which in vitro showed IC50 of 0.08 .mu.M against ACAT in Hep G2 cell from human liver cancer.

IT **216145-08-3P 216145-11-8P 216145-21-0P**
216145-22-1P 216145-24-3P 216145-25-4P
216145-27-6P 216145-28-7P 216145-29-8P
216145-30-1P 216145-33-4P 216145-34-5P
216145-40-3P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenylalkyl[(piperazinylalkoxy)phenyl]ureas as hypolipemic agents and antiarteriosclerotics)

RN 216145-08-3 HCAPLUS
CN Urea, N-[4-(4-butyl-1-piperazinyl)phenyl]-N'-[2-methyl-6-[3-(4-phenyl-1-piperazinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)

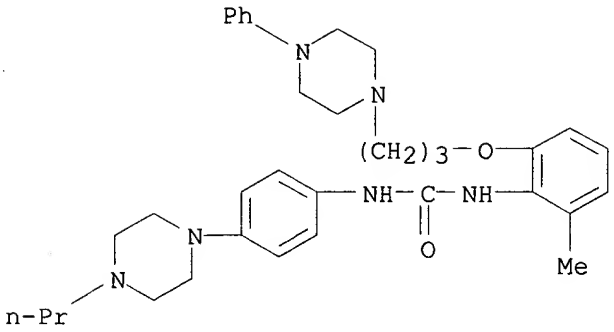


RN 216145-11-8 HCAPLUS
CN Urea, N-[4-(4-butyl-1-piperazinyl)phenyl]-N'-[2-methyl-6-[3-(4-phenyl-1-piperazinyl)propoxy]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



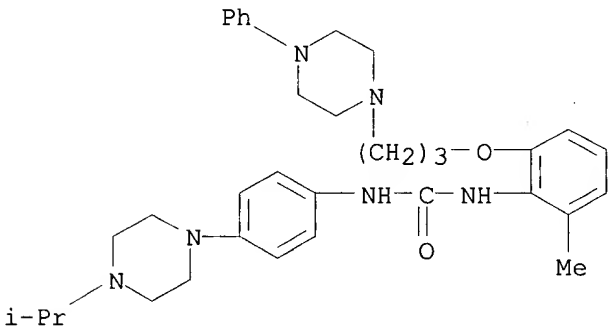
●2 HCl

RN 216145-21-0 HCAPLUS
CN Urea, N-[2-methyl-6-[3-(4-phenyl-1-piperazinyl)propoxy]phenyl]-N'-[4-(4-propyl-1-piperazinyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



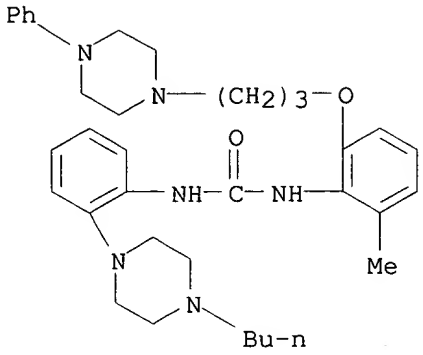
●2 HCl

RN 216145-22-1 HCAPLUS
CN Urea, N-[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]-N'-[2-methyl-6-[3-(4-phenyl-1-piperazinyl)propoxy]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



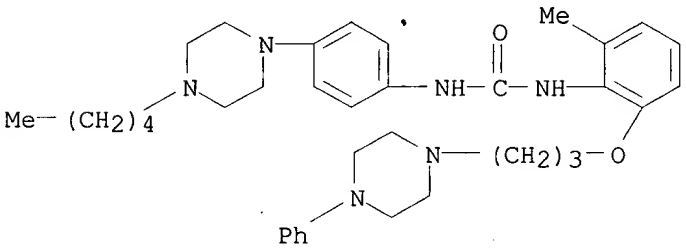
●2 HCl

RN 216145-24-3 HCAPLUS
CN Urea, N-[2-(4-butyl-1-piperazinyl)phenyl]-N'-[2-methyl-6-[3-(4-phenyl-1-piperazinyl)propoxy]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



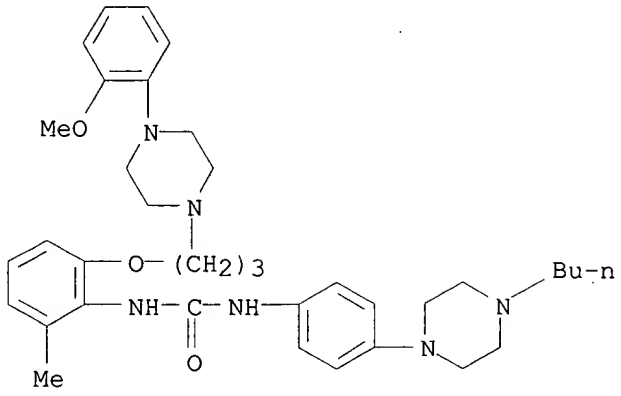
●2 HCl

RN 216145-25-4 HCAPLUS
CN Urea, N-[2-methyl-6-[3-(4-phenyl-1-piperazinyl)propoxy]phenyl]-N'-[4-(4-pentyl-1-piperazinyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



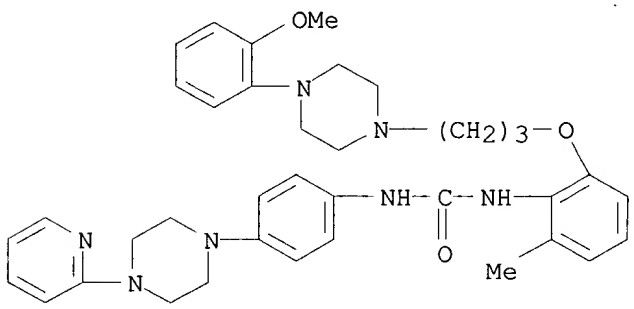
●2 HCl

RN 216145-27-6 HCAPLUS
CN Urea, N-[4-(4-butyl-1-piperazinyl)phenyl]-N'-[2-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propoxy]-6-methylphenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



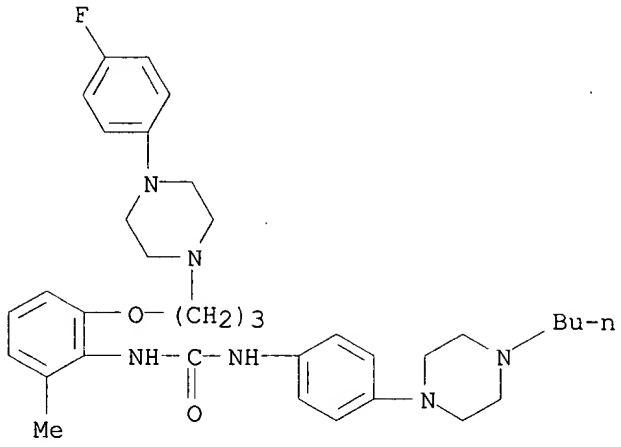
●2 HCl

RN 216145-28-7 HCAPLUS
CN Urea, N-[2-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propoxy]-6-methylphenyl]-N'-[4-[4-(2-pyridinyl)-1-piperazinyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



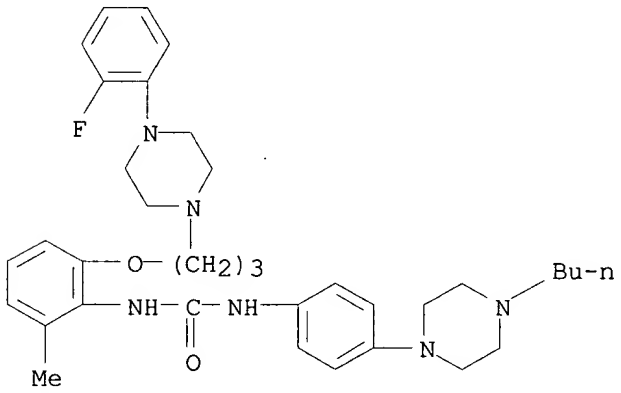
●2 HCl

RN 216145-29-8 HCAPLUS
CN Urea, N-[4-(4-butyl-1-piperazinyl)phenyl]-N'-[2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propoxy]-6-methylphenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



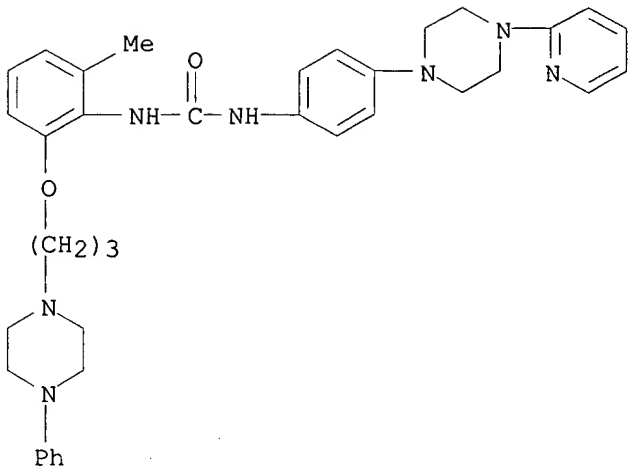
●2 HCl

RN 216145-30-1 HCAPLUS
CN Urea, N-[4-(4-butyl-1-piperazinyl)phenyl]-N'-[2-[3-[4-(2-fluorophenyl)-1-piperazinyl]propoxy]-6-methylphenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

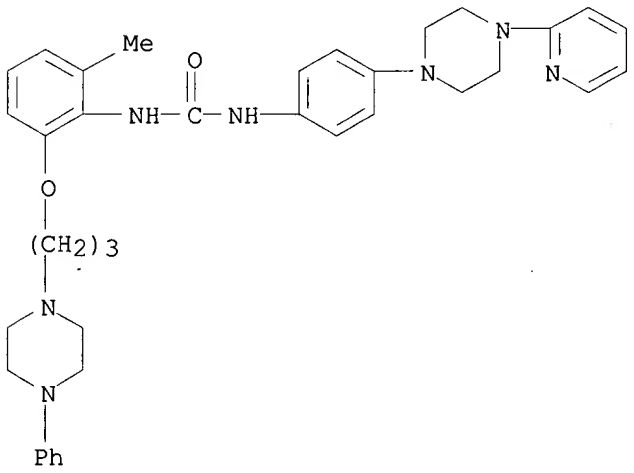


●2 HCl

RN 216145-33-4 HCAPLUS
CN Urea, N-[2-methyl-6-[3-(4-phenyl-1-piperazinyl)propoxy]phenyl]-N'-[4-[4-(2-pyridinyl)-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

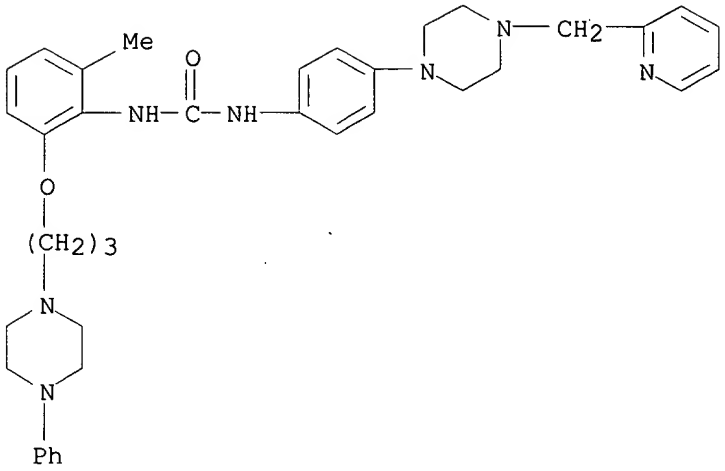


RN 216145-34-5 HCAPLUS
CN Urea, N-[2-methyl-6-[3-(4-phenyl-1-piperazinyl)propoxy]phenyl]-N'-[4-[4-(2-pyridinyl)-1-piperazinyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 216145-40-3 HCAPLUS
CN Urea, N-[2-methyl-6-[3-(4-phenyl-1-piperazinyl)propoxy]phenyl]-N'-[4-[4-(2-pyridinylmethyl)-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)



L20 ANSWER 6 OF 22 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:709065 HCAPLUS
DOCUMENT NUMBER: 129:330740
TITLE: Preparation of bicyclic aryl or bicyclic heterocyclic ring containing (4-methylpiperazin-1-yl)phenyl compounds having a combined 5HT1A, 5HT1B and 5HT1D receptor antagonistic activity
INVENTOR(S): Gaster, Laramie Mary; Wyman, Paul Adrian
PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9847885	A1	19981029	WO 1998-EP2265	19980414
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 975614	A1	20000202	EP 1998-919278	19980414
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2001526643	T2	20011218	JP 1998-544988	19980414
US 6159979	A	20001212	US 1999-403149	19991015

PRIORITY APPLN. INFO.: GB 1997-7876 A 19970418
GB 1998-1635 A 19980126
WO 1998-EP2265 W 19980414
OTHER SOURCE(S): MARPAT 129:330740
GI

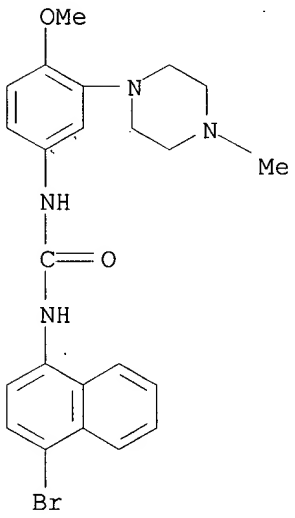
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = II, III (P1 = bicyclic aryl, bicyclic heterocyclic ring contg. 1-3 heteroatoms selected from O, N and S; P2, P3

= Ph, bicyclic aryl, 5-7 membered heterocyclic ring contg. 1-3 heteroatoms selected from O, N and S, or bicyclic heterocyclic group contg. 1-3 heteroatoms selected from O, N or S, providing that at least one of P2 and P3 = bicyclic aryl or bicyclic heterocyclic group; R11 = H, halo, C1-6 alkyl, etc.; R12, R13 = H, halo, C1-6 alkyl, etc.; a, b = 1-3; A = a bond, O, CH2, etc.); L = C(V)DG, DGC(V), YC(V)DG1; V = O, S; D = N, C, CH; G and G1 = H, C1-6 alkyl; Y = NH, NR5 (wherein R5 = C1-6 alkyl), CH2, O; X = N, C; R2, R3 = H, halo, OH, etc.; R4 = H, C1-6 alkyl], useful as CNS agents, were prepd. Thus, treatment of 4-(pyridin-4-yl)naphth-1-ylamine with triphosgene in the presence of Et3N in CH2Cl2 followed by the addn. of a soln. of 4-chloro-3-(4-methylpiperazin-1-yl)aniline in CH2Cl2 afforded 27% IV which showed pKi of > 8.0 at 5-HT1A, 5-HT1B and 5HT1D receptors.

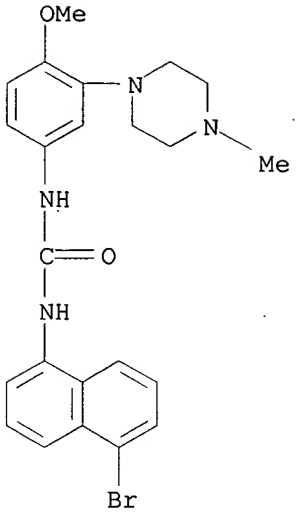
IT **215162-52-0P 215162-64-4P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bicyclic aryl or bicyclic heterocyclic ring contg. (4-methylpiperazin-1-yl)phenyl compds. having a combined 5HT1A, 5HT1B and 5HT1D receptor antagonistic activity)

RN 215162-52-0 HCAPLUS
CN Urea, N-(4-bromo-1-naphthalenyl)-N'-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)



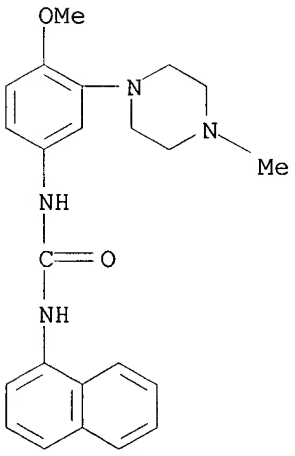
102

RN 215162-64-4 HCAPLUS
CN Urea, N-(5-bromo-1-naphthalenyl)-N'-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

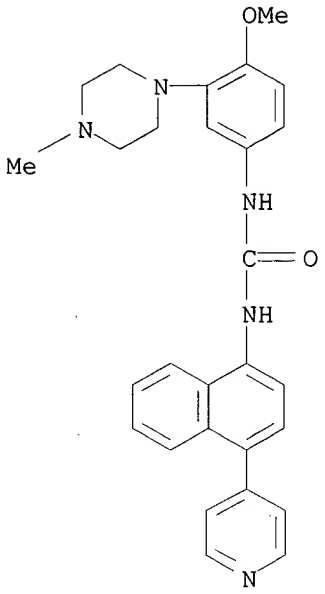


IT **215162-51-9P 215162-53-1P 215162-56-4P**
215162-58-6P 215162-59-7P 215162-60-0P
215162-62-2P 215162-63-3P 215162-66-6P
215162-67-7P 215162-68-8P 215162-70-2P
215162-71-3P 215162-72-4P 215162-74-6P
215162-78-0P 215162-85-9P 215162-89-3P
215162-90-6P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bicyclic aryl or bicyclic heterocyclic ring contg. (4-methylpiperazin-1-yl)phenyl compds. having a combined 5HT1A, 5HT1B and 5HT1D receptor antagonistic activity)

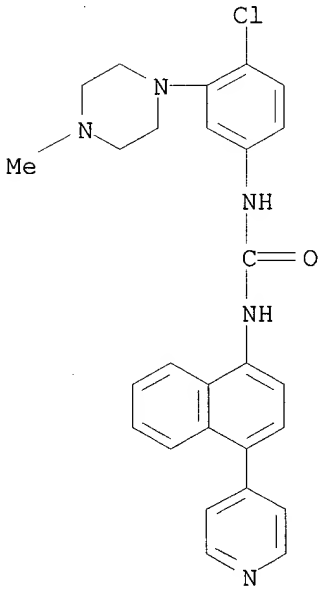
RN 215162-51-9 HCAPLUS
CN Urea, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-1-naphthalenyl- (9CI) (CA INDEX NAME)



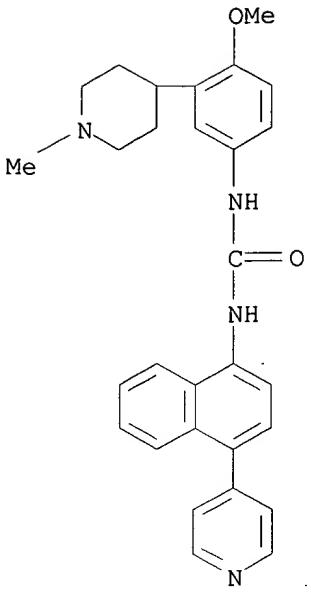
RN 215162-53-1 HCAPLUS
CN Urea, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-[4-(4-pyridinyl)-1-naphthalenyl]- (9CI) (CA INDEX NAME)



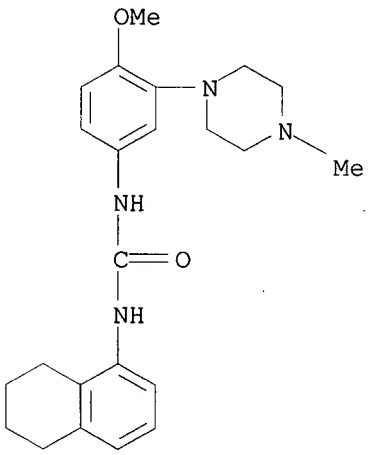
RN 215162-56-4 HCAPLUS
CN Urea, N-[4-chloro-3-(4-methyl-1-piperazinyl)phenyl]-N'-[4-(4-pyridinyl)-1-naphthalenyl]- (9CI) (CA INDEX NAME)



RN 215162-58-6 HCAPLUS
CN Urea, N-[4-methoxy-3-(1-methyl-4-piperidinyl)phenyl]-N'-[4-(4-pyridinyl)-1-naphthalenyl]- (9CI) (CA INDEX NAME)

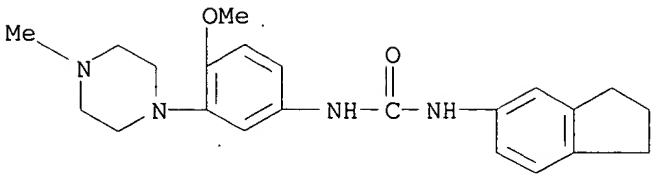


RN 215162-59-7 HCAPLUS
CN Urea, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



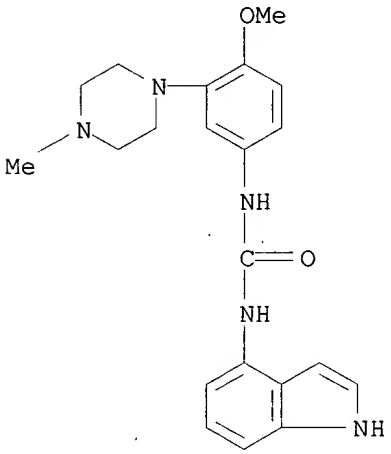
● HCl

RN 215162-60-0 HCAPLUS
CN Urea, N-(2,3-dihydro-1H-inden-5-yl)-N'-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

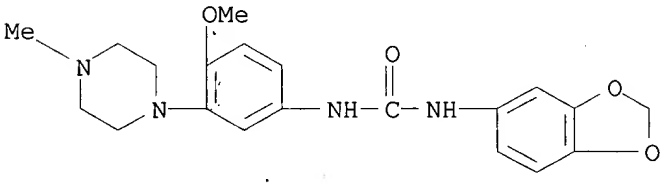


● HCl

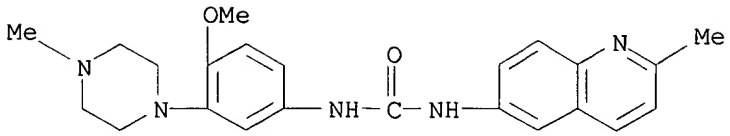
RN 215162-62-2 HCAPLUS
CN Urea, N-1H-indol-4-yl-N'-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)



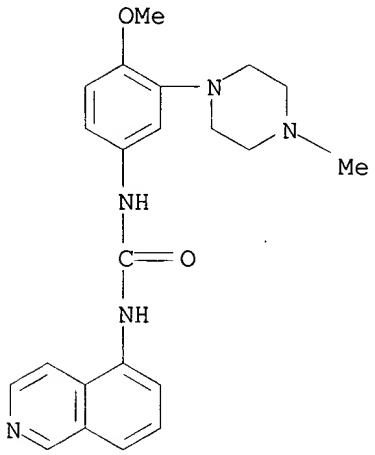
RN 215162-63-3 HCAPLUS
CN Urea, N-1,3-benzodioxol-5-yl-N'-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)



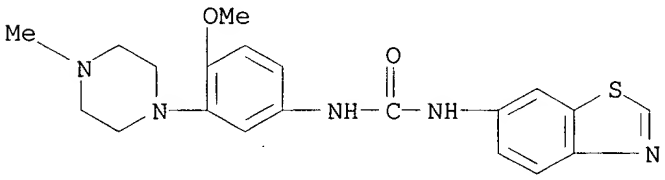
RN 215162-66-6 HCAPLUS
CN Urea, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-(2-methyl-6-quinolinyl)- (9CI) (CA INDEX NAME)



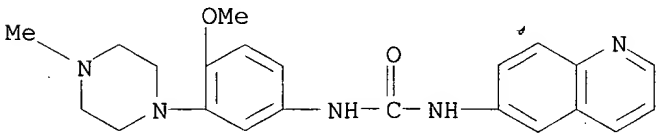
RN 215162-67-7 HCAPLUS
CN Urea, N-5-isoquinolinyl-N'-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-
(9CI) (CA INDEX NAME)



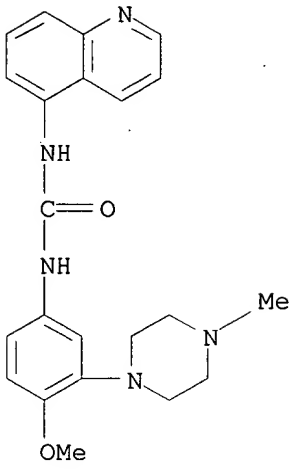
RN 215162-68-8 HCAPLUS
CN Urea, N-6-benzothiazolyl-N'-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-
(9CI) (CA INDEX NAME)



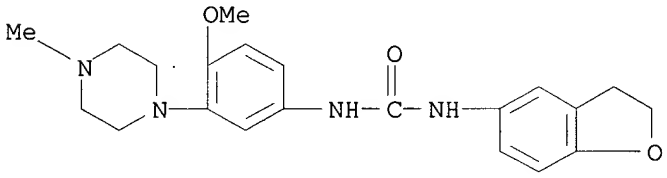
RN 215162-70-2 HCAPLUS
CN Urea, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-6-quinolinyl-
(9CI) (CA INDEX NAME)



RN 215162-71-3 HCAPLUS
CN Urea, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-5-quinolinyl-
(9CI) (CA INDEX NAME)

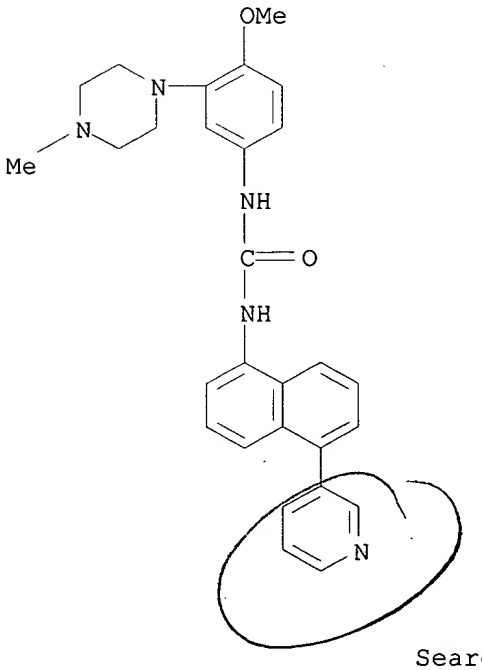


RN 215162-72-4 HCAPLUS
CN Urea, N-(2,3-dihydro-5-benzofuranyl)-N'-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

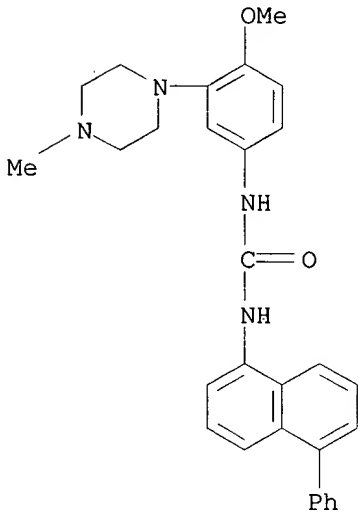


4

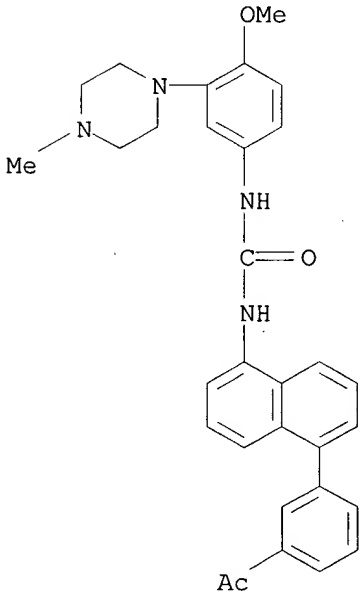
RN 215162-74-6 HCAPLUS
CN Urea, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-[5-(3-pyridinyl)-1-naphthalenyl]- (9CI) (CA INDEX NAME)



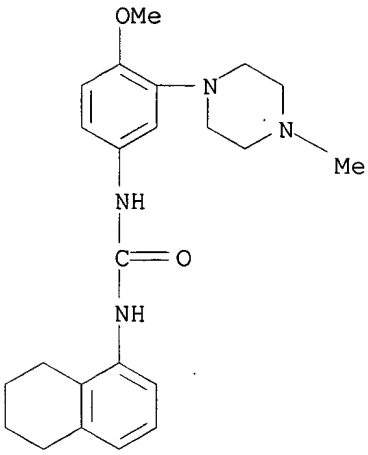
RN 215162-78-0 HCAPLUS
CN Urea, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-(5-phenyl-1-naphthalenyl)- (9CI) (CA INDEX NAME)



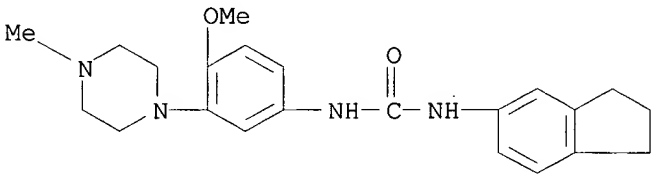
RN 215162-85-9 HCAPLUS
CN Urea, N-[5-(3-acetylphenyl)-1-naphthalenyl]-N'-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 215162-89-3 HCAPLUS
CN Urea, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)



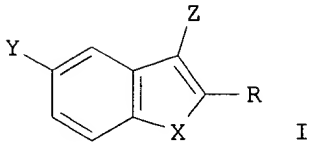
RN 215162-90-6 HCAPLUS
CN Urea, N-(2,3-dihydro-1H-inden-5-yl)-N'-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)



L20 ANSWER 7 OF 22 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:542759 HCAPLUS
DOCUMENT NUMBER: 129:175548
TITLE: Preparation of benzofurans and benzothienes as serotonin 5-HT1f agonists
INVENTOR(S): Fritz, James E.; Kaldor, Stephen W.; Liang, Sidney Xi; Singh, Upinder; Xu, Yao-chang
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: U.S., 30 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5792763	A	19980811	US 1997-938739	19970926

OTHER SOURCE(S): MARPAT 129:175548
GI



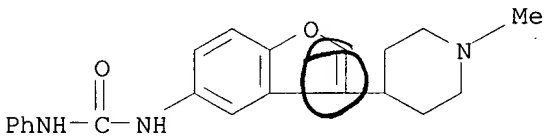
I

AB The title compds. [I; X = O, S; Y = R4C(O)NH, R5R6NC(Q)NH, R7OC(O)NH, R8SO2NH; Z = N-(un)substituted piperidin-4-yl, (un)substituted 2-aminoethyl; R, R1 = H, C1-4 alkyl; R2 = C1-4 alkyl, C3-8 cycloalkyl, etc.; R3 = H, C1-4 alkyl; R4 = C1-4 alkyl, C3-7 cycloalkyl, (un)substituted Ph, etc.; R5, R6 = H, C1-6 alkyl, C3-6 alkenyl, etc.; R5R6N = pyrrolidine, piperidine, piperazine, etc.; R7 = C1-6 alkyl, C3-6 alkenyl, (un)substituted Ph, etc.; R8 = C1-4 alkyl, (un)substituted Ph, di(C1-4 alkyl)amino; Q = S, O], useful for the prevention and treatment of migraine and assocd. disorders, were prepd. and formulated. Thus, reaction of 5-amino-3-(N',N'-dimethyl-2-aminoethyl)benzothiophene with 4-fluorobenzoyl chloride in the presence of pyridine in CH2Cl2 afforded 44% I oxalate [R = H; Z = CH2CH2NMe2; 4-FC6H4CONH]. Representative compds. I were found to have an affinity at the 5-HT1F receptor of Ki < 1.5 .mu.M.

IT **206062-76-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzofurans and benzothienes as serotonin 5-HT1f agonists)

RN 206062-76-2 HCAPLUS

CN Urea, N-[3-(1-methyl-4-piperidinyl)-5-benzofuranyl]-N'-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L20 ANSWER 8 OF 22 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:	1998:239216 HCAPLUS
DOCUMENT NUMBER:	128:294693
TITLE:	Preparation of benzothienyl- and benzofurylamides as serotonin 5-HT1F agonists for treatment of migraine.
INVENTOR(S):	Fritz, James E.; Kaldor, Stephen W.; Liang, Stephen X.; Singh, Upinder; Xu, Yao-Chang
PATENT ASSIGNEE(S):	Eli Lilly and Company, USA; Fritz, James E.; Kaldor, Stephen W.; Liang, Stephen X.; Singh, Upinder; Xu, Yao-Chang
SOURCE:	PCT Int. Appl., 97 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

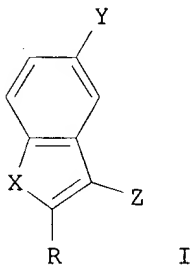
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9815545	A1	19980416	WO 1997-US17293	19970926
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9746526	A1	19980505	AU 1997-46526	19970926
JP 2001501946	T2	20010213	JP 1998-517564	19970926
EP 835869	A2	19980415	EP 1997-307883	19971007
EP 835869	A3	19980506		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1996-27424 P 19961008
WO 1997-US17293 W 19970926

OTHER SOURCE(S): MARPAT 128:294693

GI



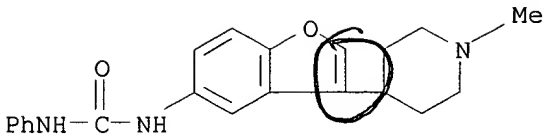
I

AB Title compds. [I; Q, X = O, S; Y = R4CONH, R5R6NCQNH, R7OCONH, R8SO2NH; Z = CH2CH2NR2R3, (N-alkyl)-4-piperidinyl; R = H, alkyl; R2 = alkyl, cycloalkyl, cycloalkylalkyl, aralkyl, heteroarylalkyl; R3 = H, alkyl; R4 = alkyl, alkoxyalkyl, cycloalkyl, (substituted) Ph, biphenylyl, naphthyl, heterocyclyl; R5, R6 = H, alkyl, alkenyl, cycloalkyl, (substituted) Ph, phenylalkyl, alkylphenyl, alkoxycarbonylalkyl; R7 = alkyl, alkenyl, (substituted) Ph, cycloalkyl, alkoxyalkyl; R8 = alkyl, (substituted) Ph, dialkylamino], were prepd. as serotonin 5-HT1F agonists for treatment of migraine (no data). Thus, 5-amino-3-(2-dimethylaminoethyl)benzothiophene, 4-fluorobenzoyl chloride, and pyridine were stirred in CH2Cl2 to give N-[3-(2-dimethylaminoethyl)benzothien-5-yl]-4-fluorobenzamide.

IT **206062-76-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzothienyl- and benzofurylamides as serotonin 5-HT1F agonists for treatment of migraine)

RN 206062-76-2 HCAPLUS

CN Urea, N-[3-(1-methyl-4-piperidinyl)-5-benzofuranyl]-N'-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

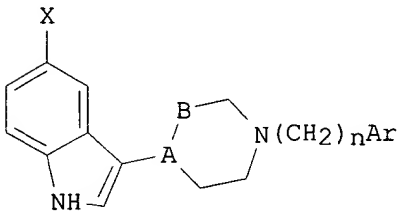


● HCl

L20 ANSWER 9 OF 22 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:197401 HCAPLUS
DOCUMENT NUMBER: 128:257330
TITLE: Preparation of piperidinylindoles and related compounds as serotonin 5-HT1F agonists
INVENTOR(S): Johnson, Kirk W.; Phebus, Lee A.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Johnson, Kirk W.; Phebus, Lee A.
SOURCE: PCT Int. Appl., 217 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9811895	A1	19980326	WO 1997-US14576	19970815
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9740748	A1	19980414	AU 1997-40748	19970815
EP 832650	A2	19980401	EP 1997-307202	19970917
EP 832650	A3	19980902		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1996-25271 19960918
WO 1997-US14576 19970815
OTHER SOURCE(S): MARPAT 128:257330
GI



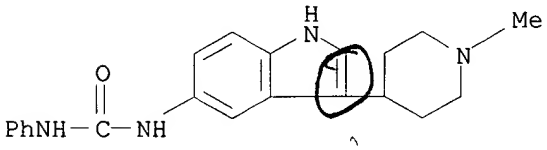
I

AB Piperidinylindoles I (A-B = CHCH2, C:CH; X = H, halo, alkoxy, OH, etc.; n = 1-4; Ar = pyridinyl, pyrrolyl, pyrazolyl deriv.) were prepd. as serotonin 5-HT1F agonists, useful for the prevention of migraine. E.g., reaction of 5-benzyloxyindole and 4-piperidone hydrochloride gave 97.6% 5-benzyloxy-3-[1,2,5,6-tetrahydro-4-pyridinyl]-1H-indole. Hydrogenation/hydrogenolysis of the latter gave 5-hydroxy-3-(4-piperidinyl)-1H-indole oxalate. Also prepd. were tetrahydrocarbazoles and cyclohepta[7,6-b]indoles.

IT **182562-63-6P 182562-71-6P 182562-72-7P**
182562-73-8P 182562-75-0P 182562-76-1P
182562-77-2P 182562-78-3P 182562-79-4P
182562-80-7P 182562-81-8P 182562-82-9P
182562-89-6P 186708-24-7P 201857-34-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of piperidinylindoles and related compds. as serotonin 5-HT1F agonists)

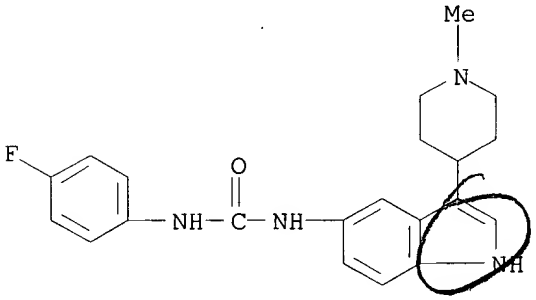
RN 182562-63-6 HCAPLUS

CN Urea, N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N'-phenyl- (9CI) (CA INDEX NAME)



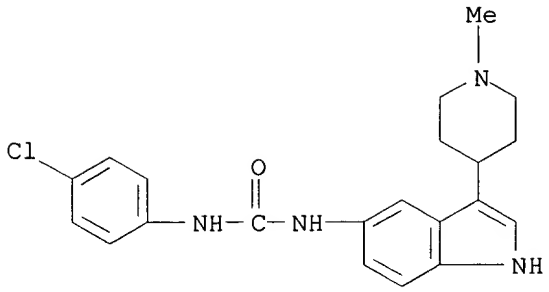
RN 182562-71-6 HCAPLUS

CN Urea, N-(4-fluorophenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

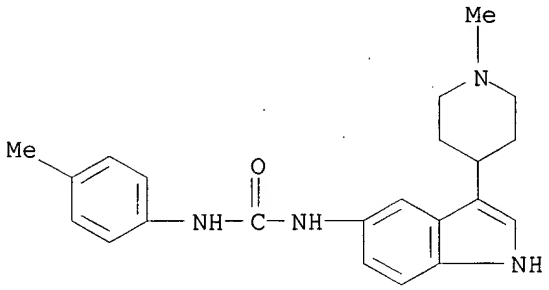


RN 182562-72-7 HCAPLUS

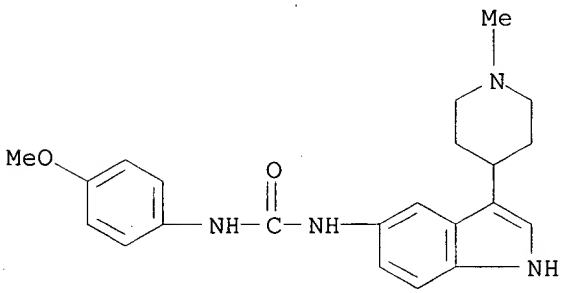
CN Urea, N-(4-chlorophenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



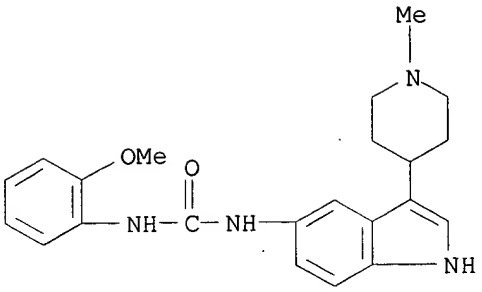
RN 182562-73-8 HCAPLUS
CN Urea, N-(4-methylphenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-
(9CI) (CA INDEX NAME)



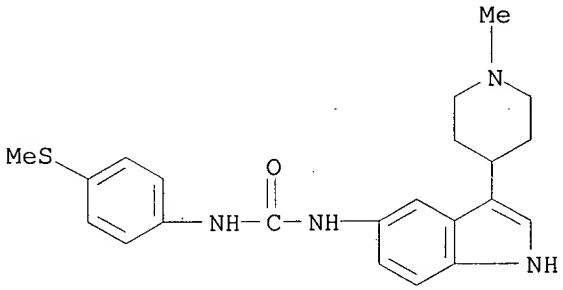
RN 182562-75-0 HCAPLUS
CN Urea, N-(4-methoxyphenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-
(9CI) (CA INDEX NAME)



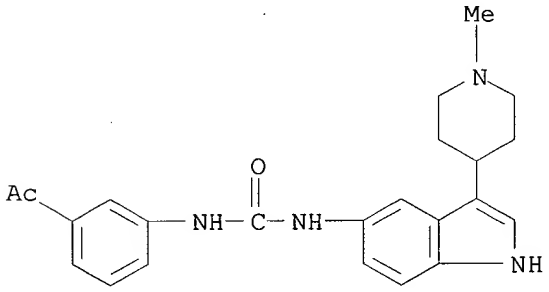
RN 182562-76-1 HCAPLUS
CN Urea, N-(2-methoxyphenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-
(9CI) (CA INDEX NAME)



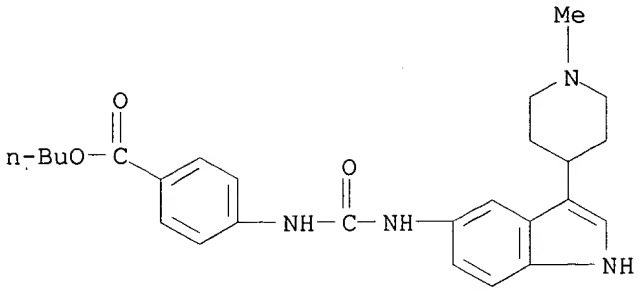
RN 182562-77-2 HCAPLUS
CN Urea, N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N'-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



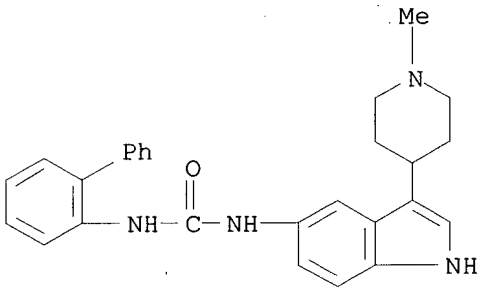
RN 182562-78-3 HCAPLUS
CN Urea, N-(3-acetylphenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



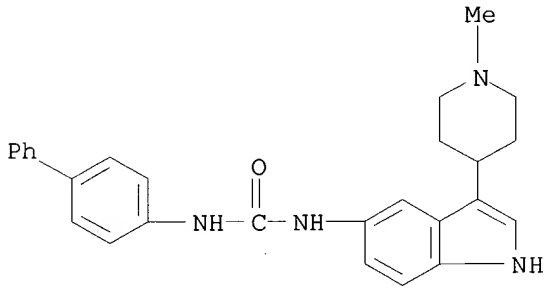
RN 182562-79-4 HCAPLUS
CN Benzoic acid, 4-[[[[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]amino]carbonyl]amino]-, butyl ester (9CI) (CA INDEX NAME)



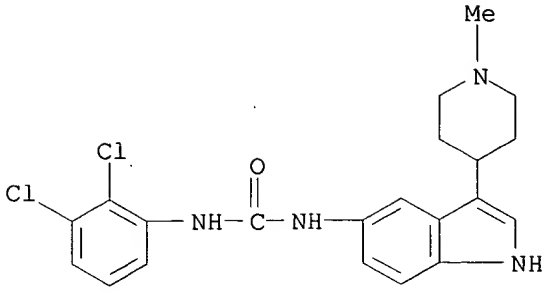
RN 182562-80-7 HCAPLUS
CN Urea, N-[1,1'-biphenyl]-2-yl-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



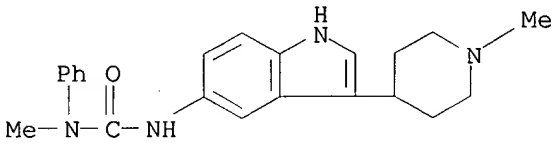
RN 182562-81-8 HCAPLUS
CN Urea, N-[1,1'-biphenyl]-4-yl-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



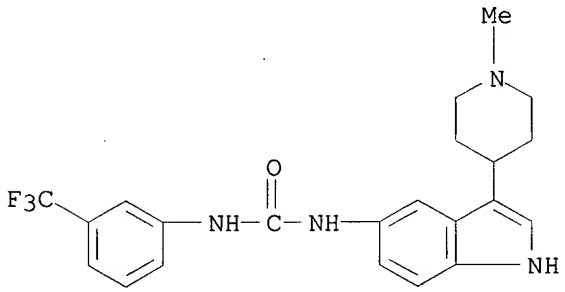
RN 182562-82-9 HCAPLUS
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



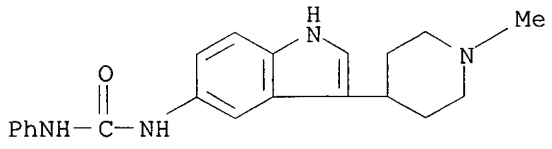
RN 182562-89-6 HCAPLUS
CN Urea, N-methyl-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N-phenyl- (9CI) (CA INDEX NAME)



RN 186708-24-7 HCAPLUS
CN Urea, N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N'-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 201857-34-3 HCAPLUS
CN Urea, N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N'-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L20 ANSWER 10 OF 22 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:124013 HCAPLUS
DOCUMENT NUMBER: 128:192544
TITLE: Preparation of indole and carbazole derivatives as serotonin agonists
INVENTOR(S): Johnson, Kirk W.; Phebus, Lee A.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Johnson, Kirk W.; Phebus, Lee A.
SOURCE: PCT Int. Appl., 271 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9806402	A1	19980219	WO 1997-US14097	19970812
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5962473	A	19991005	US 1997-906770	19970805
EP 824917	A2	19980225	EP 1997-306130	19970812
EP 824917	A3	20000830		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 9740615	A1	19980306	AU 1997-40615	19970812
AU 716904	B2	20000309		
BR 9711147	A	19990817	BR 1997-11147	19970812
CN 1233180	A	19991027	CN 1997-198718	19970812
JP 2000516233	T2	20001205	JP 1998-509943	19970812
NO 9900701	A	19990416	NO 1999-701	19990215

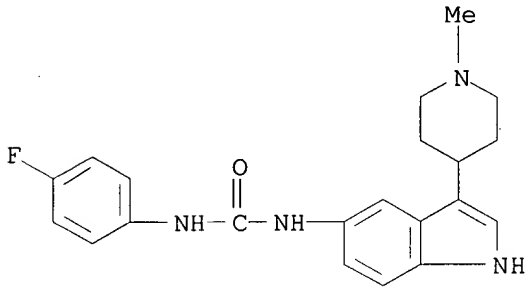
PRIORITY APPLN. INFO.: US 1996-24096 P 19960816
WO 1997-US14097 W 19970812
OTHER SOURCE(S): MARPAT 128:192544
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

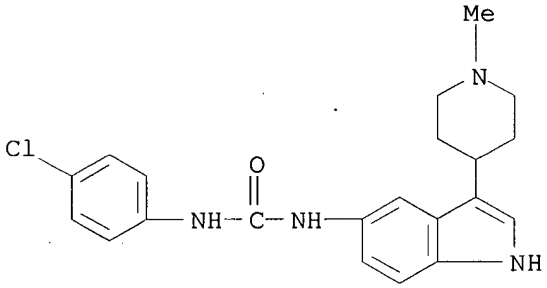
AB Title compds. I (A-B = CHCH2, C:CH; Ar = pyridinyl, pyrrolyl, (un)substituted pyrazolyl; X = H, halo, alkoxy, OH, benzyloxy, carboxamido, alkyl, alkylthio; p = 1-4), II (R = H, alkyl, naphthylalkyl, naphthylthioalkyl, phenylthioalkyl, etc.; R1 = H, alkyl; X = alkylthio, alkylcarbonyl, alkylsulfonylamido, etc.), III (R2 = H, alkyl, arylethyl; R3 = H, alkyl, arylethyl; X = OH, alkylcarbonylamino, alkylcarbonyl, etc.; m = 0-1; n = 1-2), IV (R2 = alky; R3 = alkyl, cycloalkyl, etc.; R4 = alkyl, phenyl; R5 = alkyl, cycloalkyl,(un)substituted Ph, naphthyl, etc.), and pharmaceutically acceptable acid salts were prepd. and methods for the treatment or amelioration of the symptoms of the common cold or allergic rhinitis which comprises administering the title compds. and salts to human as serotonin 5-HT agonists in both injectable and oral compns. were tested. N-(4-fluorobenzoly)-5-amino-3-(1-methylpiperidin-4-yl)-indole is the most preferred compd.
IT **182562-71-6P 182562-72-7P 182562-73-8P**

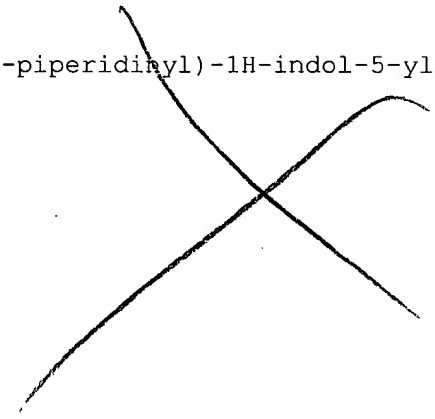
182562-75-0P 182562-76-1P 182562-77-2P
182562-78-3P 182562-80-7P 182562-81-8P
182562-82-9P 182562-89-6P 201857-34-3P
203710-00-3P 203710-01-4P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indole and carbazole derivs. as 5-HT agonists)

RN 182562-71-6 HCAPLUS
CN Urea, N-(4-fluorophenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-(9CI) (CA INDEX NAME)

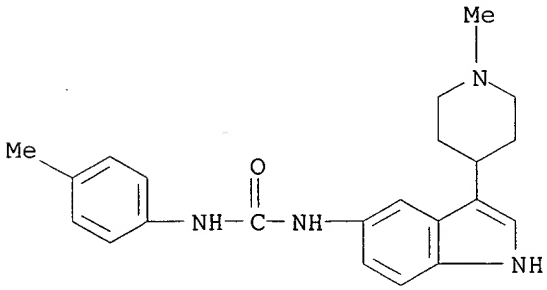


RN 182562-72-7 HCAPLUS
CN Urea, N-(4-chlorophenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-(9CI) (CA INDEX NAME)



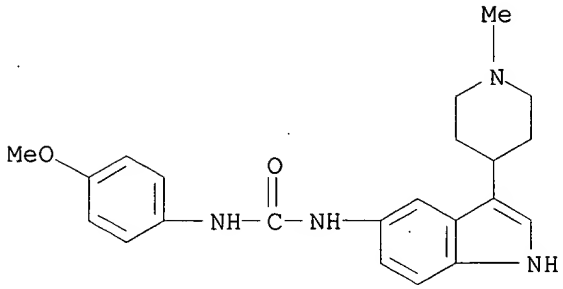


RN 182562-73-8 HCAPLUS
CN Urea, N-(4-methylphenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-(9CI) (CA INDEX NAME)

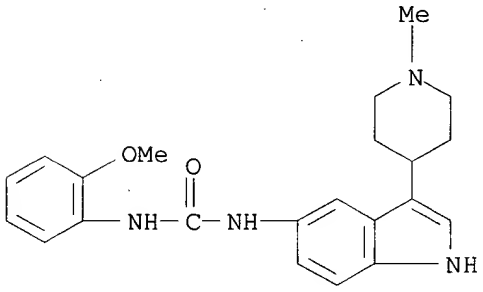


RN 182562-75-0 HCAPLUS

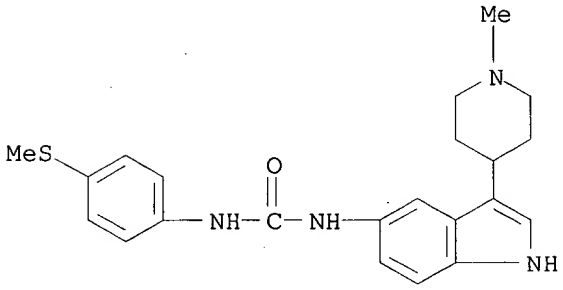
CN Urea, N-(4-methoxyphenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



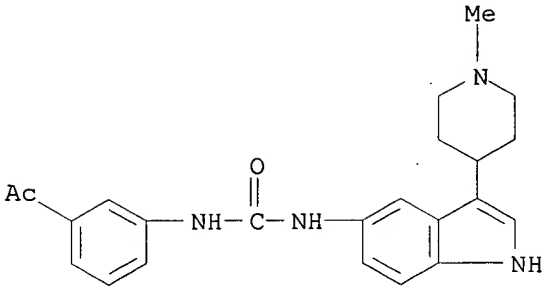
RN 182562-76-1 HCAPLUS
CN Urea, N-(2-methoxyphenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



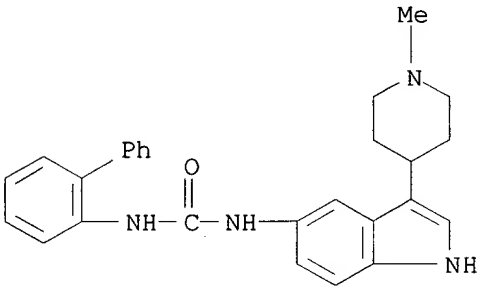
RN 182562-77-2 HCAPLUS
CN Urea, N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N'-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



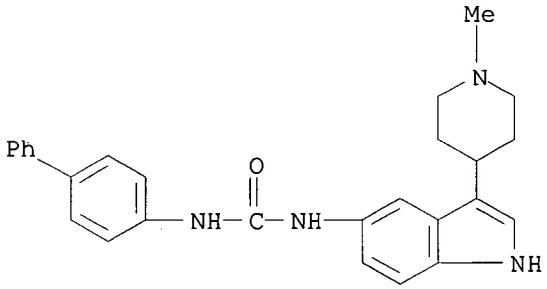
RN 182562-78-3 HCAPLUS
CN Urea, N-(3-acetylphenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



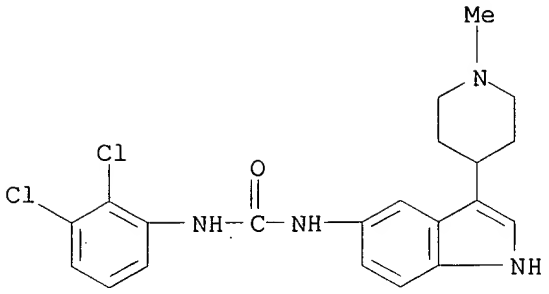
RN 182562-80-7 HCAPLUS
CN Urea, N-[1,1'-biphenyl]-2-yl-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



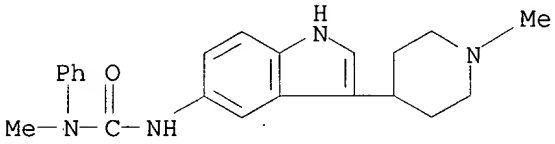
RN 182562-81-8 HCAPLUS
CN Urea, N-[1,1'-biphenyl]-4-yl-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



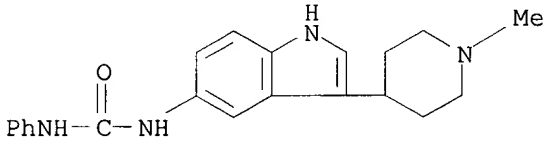
RN 182562-82-9 HCAPLUS
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



RN 182562-89-6 HCAPLUS
CN Urea, N-methyl-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N-phenyl- (9CI) (CA INDEX NAME)

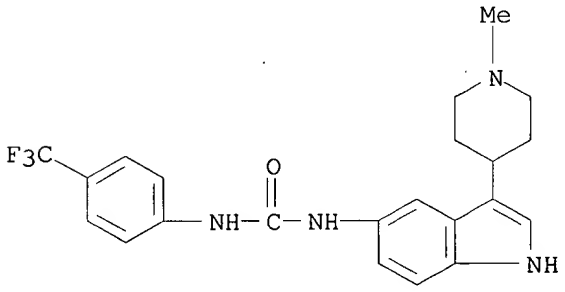


RN 201857-34-3 HCAPLUS
CN Urea, N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N'-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



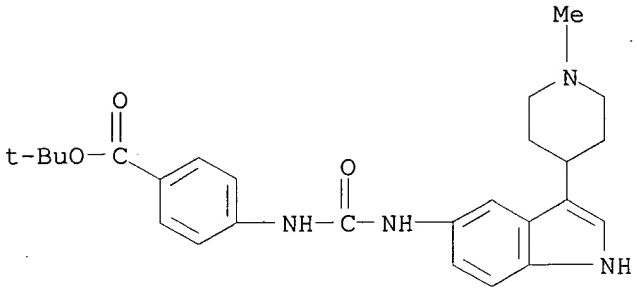
● HCl

RN 203710-00-3 HCAPLUS
CN Urea, N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N'-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 203710-01-4 HCAPLUS
CN Benzoic acid, 4-[[[[3-(1-methyl-4-piperidinyl)-1H-indol-5-

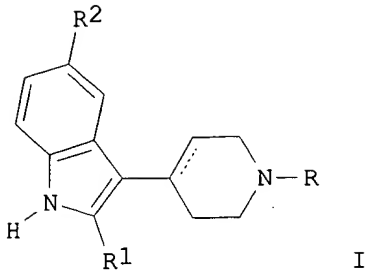
yl]amino]carbonyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L20 ANSWER 11 OF 22 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:55467 HCAPLUS
DOCUMENT NUMBER: 128:127937
TITLE: Preparation of 3-(4-piperidinyl)indoles as 5-HT1F agonists
INVENTOR(S): Audia, James Edmund; Dressman, Bruce Anthony; Droste, James Joseph; Fritz, James Erwin; Kaldor, Stephen Warren; Koch, Daniel James; Krushinski, Joseph Herman, Jr.; Nissen, Jeffrey Scott; Rocco, Vincent Patrick; Schaus, John Mehnert; Thompson, Dennis Charles
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: U.S., 49 pp. Cont.-in-part of U.S. Ser. No. 407,553, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5708008	A	19980113	US 1996-619783	19960320
CA 2215322	AA	19960926	CA 1996-2215322	19960315
WO 9629075	A1	19960926	WO 1996-US3500	19960315
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9653112	A1	19961008	AU 1996-53112	19960315
AU 702322	B2	19990218		
CN 1184425	A	19980610	CN 1996-193881	19960315
JP 11502816	T2	19990309	JP 1996-528501	19960315
AT 198332	E	20010115	AT 1996-301845	19960319
ES 2153078	T3	20010216	ES 1996-301845	19960319
BR 9601061	A	19980106	BR 1996-1061	19960320
NO 9704220	A	19971104	NO 1997-4220	19970912
US 5962474	A	19991005	US 1997-977526	19971124

PRIORITY APPLN. INFO.: US 1995-407553 B2 19950320
WO 1996-US3500 W 19960315
US 1996-619783 A3 19960320
OTHER SOURCE(S): MARPAT 128:127937
GI



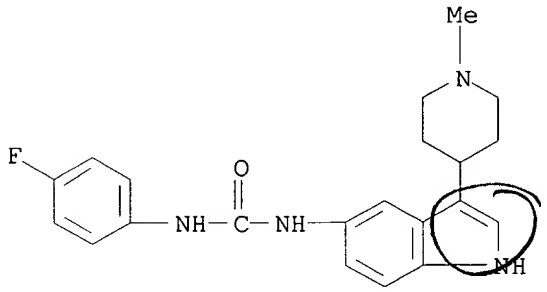
I

AB Title compds. (I; R,R1 = H or alkyl; R2 = PhS, alkanoyl, COPh, heteroarylcarbonyl, -carbamoyl, etc.; dashed line = optional bond) were prepd. Thus, 5-bromoindole was aminated by 1-methyl-4-piperidone and the product condensed with (MeONMe)2CO to give I (R = Me, R1 = H)(II; R2 = MeONMeCO) which was treated with 4-(MeO)C6H4Br/BuLi to give II [R2 = COC6H4(OMe)-4]. Data for biol. activity of I were given.

IT **182562-71-6P 182562-72-7P 182562-73-8P**
182562-75-0P 182562-76-1P 182562-77-2P
182562-78-3P 182562-79-4P 182562-80-7P
182562-81-8P 182562-82-9P 182562-89-6P
186708-24-7P 201857-34-3P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-(4-piperidinyl)indoles as 5-HT1F agonists)

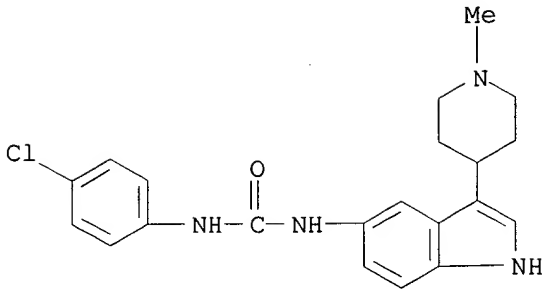
RN 182562-71-6 HCAPLUS

CN Urea, N-(4-fluorophenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-(9CI) (CA INDEX NAME)

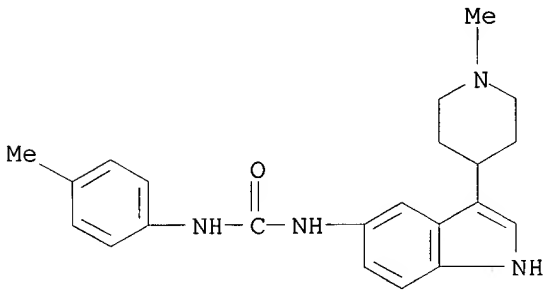


RN 182562-72-7 HCAPLUS

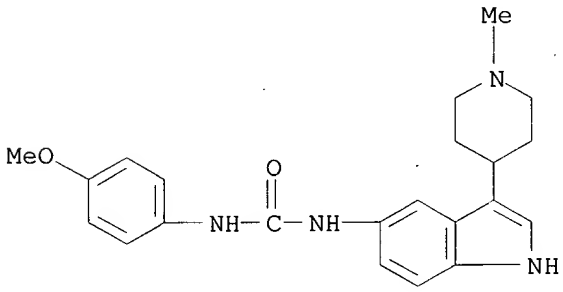
CN Urea, N-(4-chlorophenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-(9CI) (CA INDEX NAME)



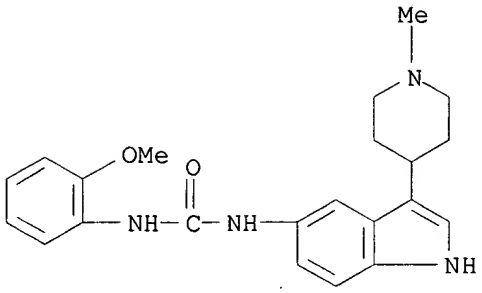
RN 182562-73-8 HCAPLUS
CN Urea, N-(4-methylphenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-
(9CI) (CA INDEX NAME)



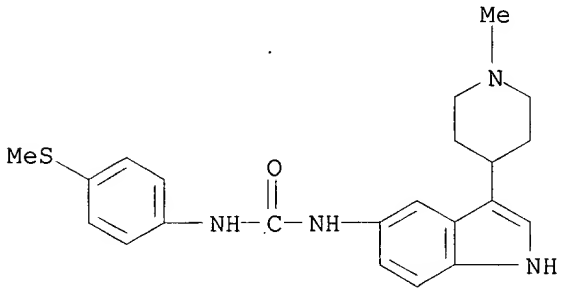
RN 182562-75-0 HCAPLUS
CN Urea, N-(4-methoxyphenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-
(9CI) (CA INDEX NAME)



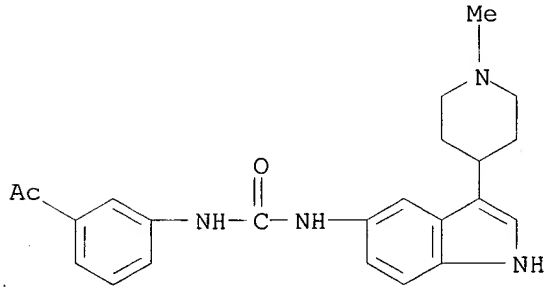
RN 182562-76-1 HCAPLUS
CN Urea, N-(2-methoxyphenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-
(9CI) (CA INDEX NAME)



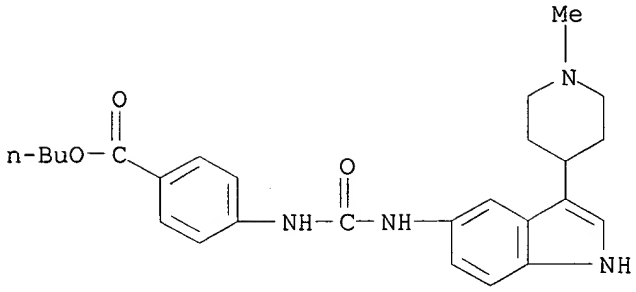
RN 182562-77-2 HCAPLUS
CN Urea, N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N'-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



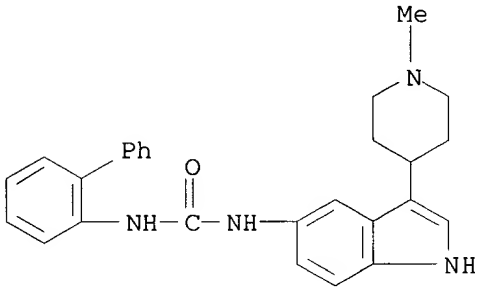
RN 182562-78-3 HCAPLUS
CN Urea, N-(3-acetylphenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-(9CI) (CA INDEX NAME)



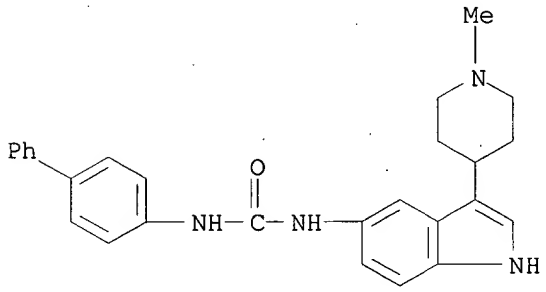
RN 182562-79-4 HCAPLUS
CN Benzoic acid, 4-[[[[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]amino]carbonyl]amino]-, butyl ester (9CI) (CA INDEX NAME)



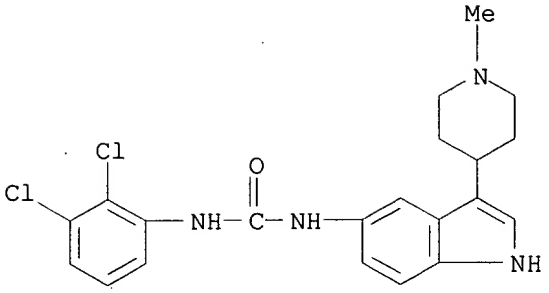
RN 182562-80-7 HCAPLUS
CN Urea, N-[1,1'-biphenyl]-2-yl-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



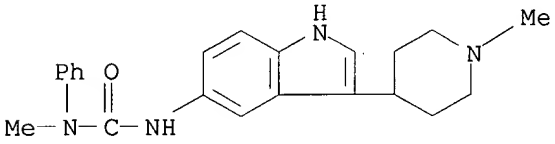
RN 182562-81-8 HCAPLUS
CN Urea, N-[1,1'-biphenyl]-4-yl-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



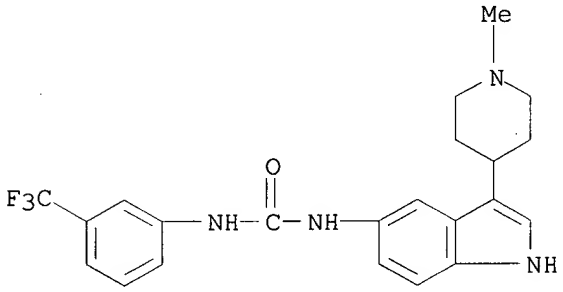
RN 182562-82-9 HCAPLUS
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



RN 182562-89-6 HCAPLUS
CN Urea, N-methyl-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N-phenyl- (9CI) (CA INDEX NAME)



RN 186708-24-7 HCAPLUS
CN Urea, N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N'-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 201857-34-3 HCAPLUS
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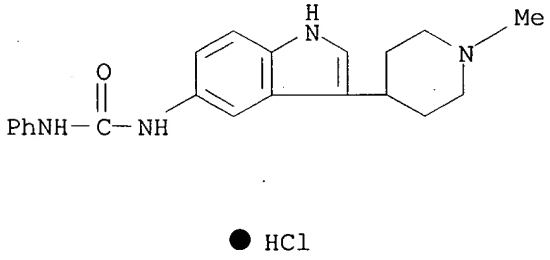


● HCl

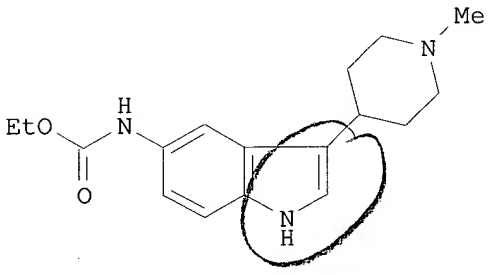
L20 ANSWER 12 OF 22 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:145241 HCAPLUS
DOCUMENT NUMBER: 126:157395
TITLE: Process for parallel synthesis of a non-peptide library
INVENTOR(S): Fritz, James E.; Kaldor, Stephen W.
PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA; Fritz, James E.; Kaldor, Stephen W.
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9700244	A1	19970103	WO 1996-US10454	19960617
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM				
AU 9663861	A1	19970115	AU 1996-63861	19960617

PRIORITY APPLN. INFO.: US 1995-310 P 19950619
US 1995-492277 A2 19950619
WO 1996-US10454 W 19960617

OTHER SOURCE(S): CASREACT 126:157395; MARPAT 126:157395
GI



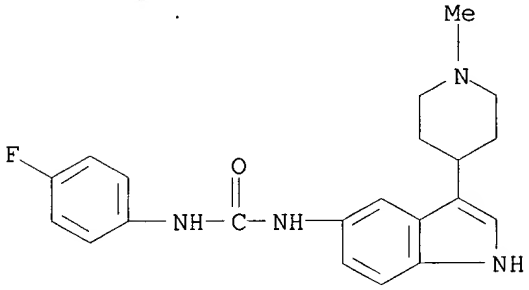
II

AB A process for the sequential prepn. of a library of compds. having pharmaceutical usage is claimed. The process is specifically applicable to indole derivs. R2N(A)XR1 [I; wherein A = indole analog; X = bond, CO, CS; R1 = H, alkyl, aryl, cycloalkyl, heterocyclyl, NR3R4, or OR5; R2, R3, R4 = H, alkyl, aryl, cycloalkyl, heterocyclyl, or their substituted analogs; R1 .noteq. R2 when X = bond; R5 = H, alkyl, aryl, cycloalkyl, or their substituted analogs]. The process involves the sequential mixing of soln. phase reagents, followed by scavenging of excess unreacted reagents with solid phase scavenging agents. The process is highly iterative and applicable to prodn. of various ureas, thioureas, amides, carbonates and tertiary amines. For example, 5-amino-3-(1-methylpiperidin-4-yl)-1H-indole reacted with ClCOEt in CH2Cl2 in the presence of polyvinylpyridine at room temp. for 2 days. The mixt. was treated with aminomethylated polystyrene for 18 h and evapd. to give 84% title compd. II. Over 50 compds. I were prepd. In selectivity tests against 4 serotonin receptor subtypes, II had a Ki value of 2.8 nM at 5-HT1F receptors, vs. 6.1 nM at 5-HT1A, 38.3 nM at 5-HT1D.alpha., and 182.8 nM at 5-HT1D.beta. receptors.

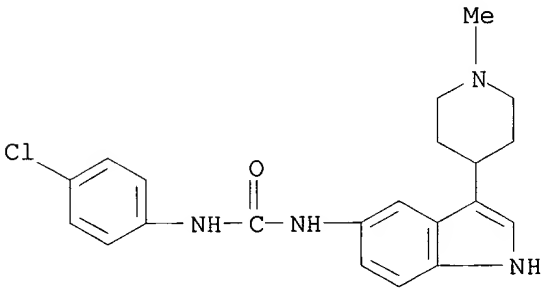
A study of sumatriptan succinate and 4 other compds. at 4 receptor subtypes is also described, with the binding at 5-HT1F receptors showing a 0.94 correlation factor to inhibition of protein extravasation.

IT **182562-71-6P 182562-72-7P 182562-73-8P**
182562-75-0P 182562-76-1P 182562-77-2P
182562-78-3P 182562-79-4P 182562-80-7P
182562-81-8P 182562-82-9P 182562-89-6P
186708-24-7P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(parallel synthesis of indole deriv. library as 5-HT1F agonists)

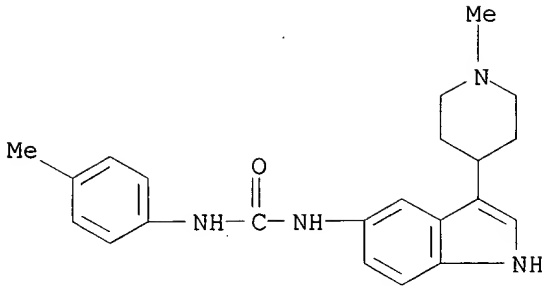
RN 182562-71-6 HCAPLUS
CN Urea, N-(4-fluorophenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-(9CI) (CA INDEX NAME)



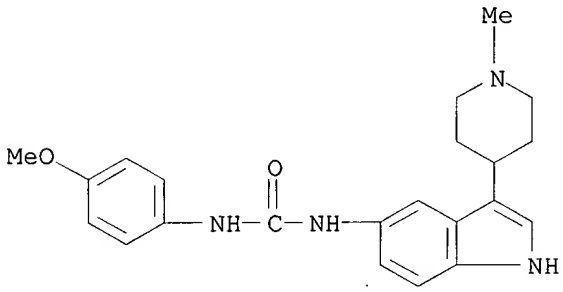
RN 182562-72-7 HCAPLUS
CN Urea, N-(4-chlorophenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-(9CI) (CA INDEX NAME)



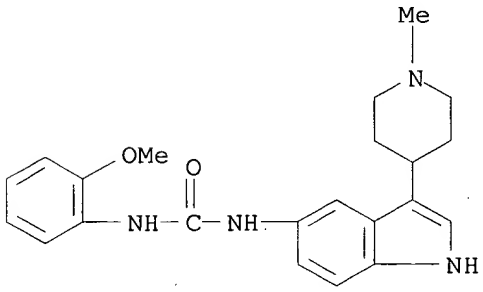
RN 182562-73-8 HCAPLUS
CN Urea, N-(4-methylphenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-(9CI) (CA INDEX NAME)



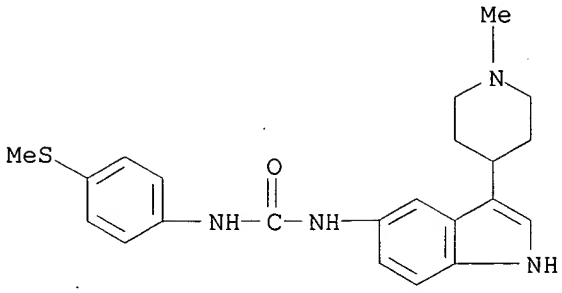
RN 182562-75-0 HCAPLUS
CN Urea, N-(4-methoxyphenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-
(9CI) (CA INDEX NAME)



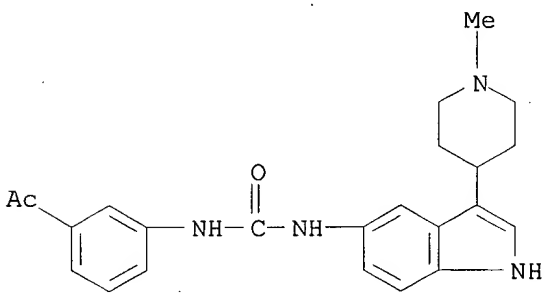
RN 182562-76-1 HCAPLUS
CN Urea, N-(2-methoxyphenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-
(9CI) (CA INDEX NAME)



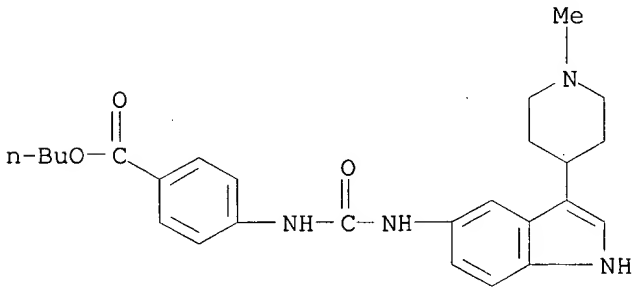
RN 182562-77-2 HCAPLUS
CN Urea, N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N'-[4-
(methylthio)phenyl]- (9CI) (CA INDEX NAME)



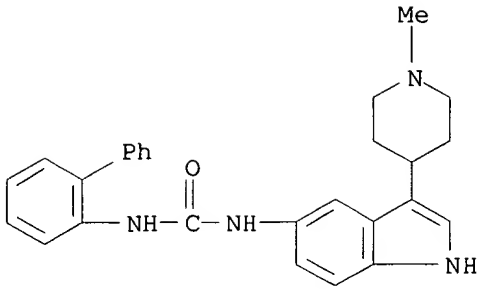
RN 182562-78-3 HCAPLUS
CN Urea, N-(3-acetylphenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-
(9CI) (CA INDEX NAME)



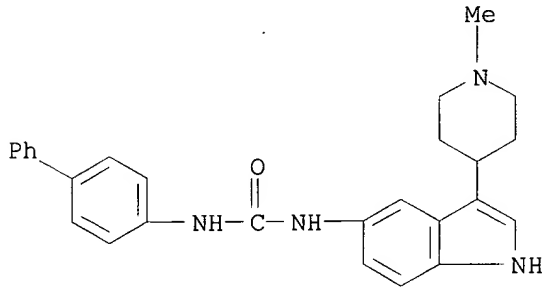
RN 182562-79-4 HCAPLUS
CN Benzoic acid, 4-[[[[3-(1-methyl-4-piperidinyl)-1H-indol-5-
yl]amino]carbonyl]amino]-, butyl ester (9CI) (CA INDEX NAME)



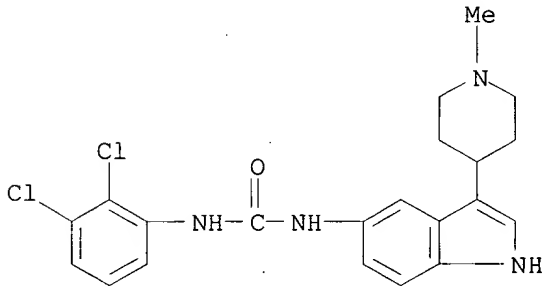
RN 182562-80-7 HCAPLUS
CN Urea, N-[1,1'-biphenyl]-2-yl-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-
(9CI) (CA INDEX NAME)



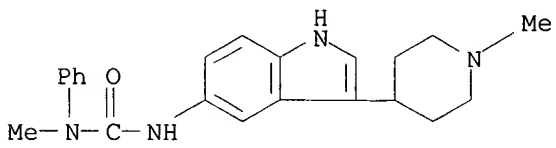
RN 182562-81-8 HCAPLUS
CN Urea, N-[1,1'-biphenyl]-4-yl-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-
(9CI) (CA INDEX NAME)



RN 182562-82-9 HCAPLUS
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-
(9CI) (CA INDEX NAME)

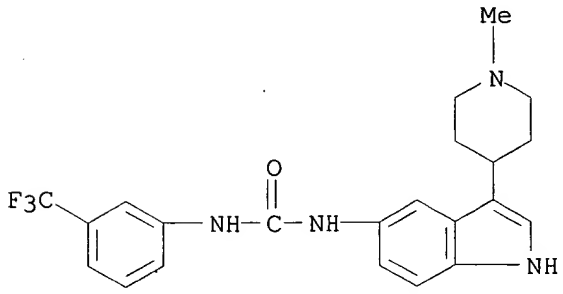


RN 182562-89-6 HCAPLUS
CN Urea, N-methyl-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N-phenyl-
(9CI) (CA INDEX NAME)



RN 186708-24-7 HCAPLUS

CN Urea, N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N'-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L20 ANSWER 13 OF 22 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:646482 HCAPLUS
DOCUMENT NUMBER: 125:275668
TITLE: Preparation of 3-(4-piperidyl)indoles and analogs as 5-HT1F agonists
INVENTOR(S): Audia, James Edmund; Dressmann, Bruce Anthony; Droste, James Joseph; Fritz, James Erwin; Kaldor, Stephen Warren; Koch, Daniel James; Krushinski, Joseph Herman Jr; Thompson, Dennis Charles; Nissen, Jeffrey Scott; et al.
PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA
SOURCE: Eur. Pat. Appl., 82 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 733628	A1	19960925	EP 1996-301845	19960319
EP 733628	B1	20001227		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2215322	AA	19960926	CA 1996-2215322	19960315
WO 9629075	A1	19960926	WO 1996-US3500	19960315
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9653112	A1	19961008	AU 1996-53112	19960315
AU 702322	B2	19990218		
CN 1184425	A	19980610	CN 1996-193881	19960315
JP 11502816	T2	19990309	JP 1996-528501	19960315
AT 198332	E	20010115	AT 1996-301845	19960319
ES 2153078	T3	20010216	ES 1996-301845	19960319
BR 9601061	A	19980106	BR 1996-1061	19960320
NO 9704220	A	19971104	NO 1997-4220	19970912

PRIORITY APPLN. INFO.: US 1995-407553 A 19950320
WO 1996-US3500 W 19960315

OTHER SOURCE(S): MARPAT 125:275668
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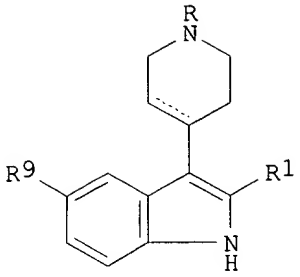

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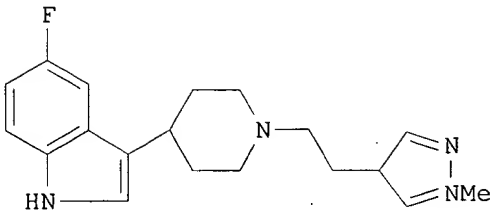


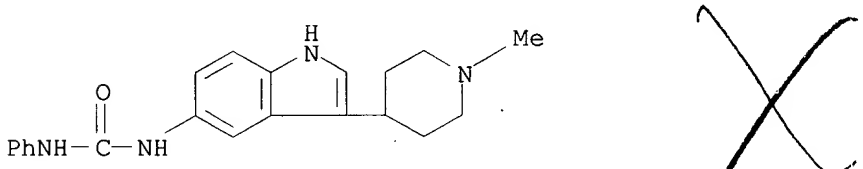
II

AB Title compds. [I; R,R1 = H or alkyl; R9 = SR2, COR3, CONHR4, etc.; R2 = phenyl(alkyl), pyridyl, etc.; R3 = alkyl, phenyl(alkyl), heteroaryl, etc.; R4 = (un)substituted heteroaryl(alkyl), etc.; dashed line = optional bond] were prepd. Thus, 5-fluoro-3-(4-piperidinyl)-1H-indole was condensed with 1-methyl-4-(2-methanesulfonyloxyethyl)pyrazole (prepn. each given) to give title compd. II. Data for biol. activity of selected I were given.

IT **182562-63-6P 182562-71-6P 182562-72-7P**
182562-73-8P 182562-74-9P 182562-75-0P
182562-76-1P 182562-77-2P 182562-78-3P
182562-79-4P 182562-80-7P 182562-81-8P
182562-82-9P 182562-89-6P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-(4-piperidyl)indoles and analogs as 5-HT1F agonists)

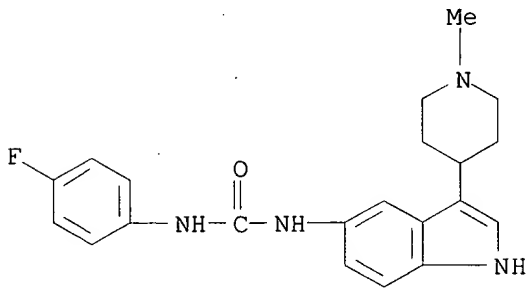
RN 182562-63-6 HCAPLUS

CN Urea, N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

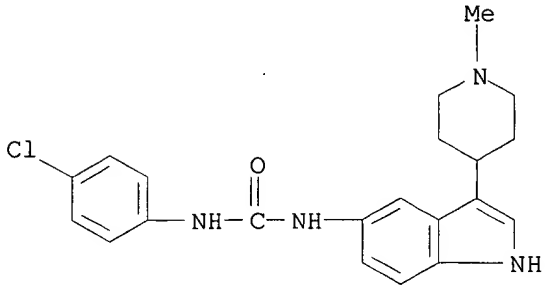


RN 182562-71-6 HCAPLUS

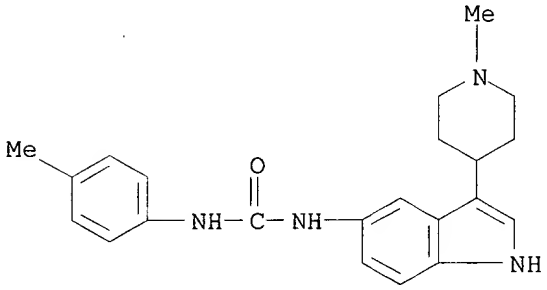
CN Urea, N-(4-fluorophenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



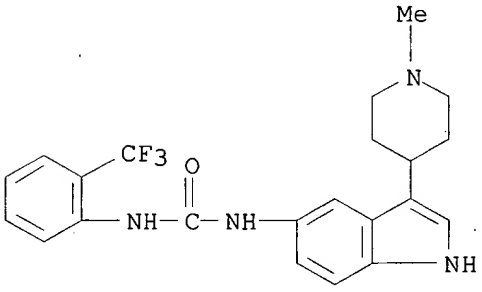
RN 182562-72-7 HCAPLUS
CN Urea, N-(4-chlorophenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



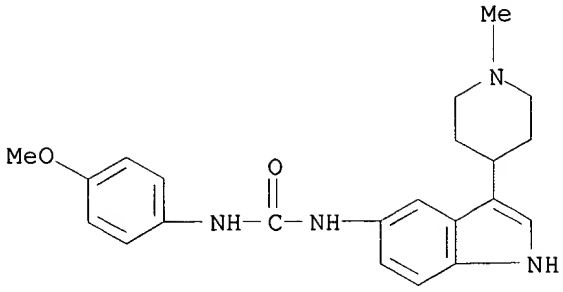
RN 182562-73-8 HCAPLUS
CN Urea, N-(4-methylphenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



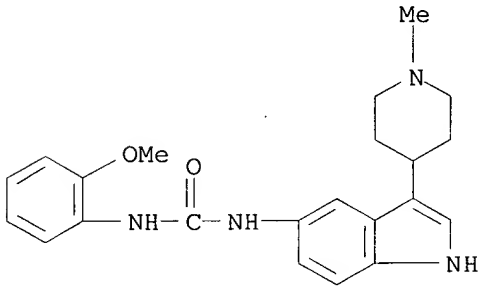
RN 182562-74-9 HCAPLUS
CN Urea, N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N'-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



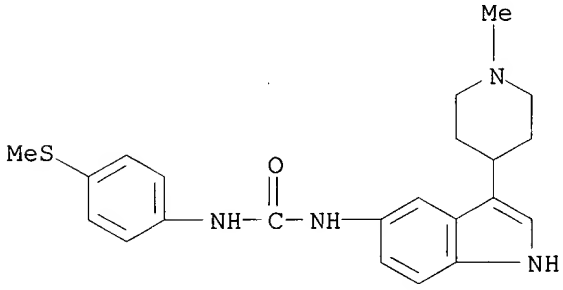
RN 182562-75-0 HCAPLUS
CN Urea, N-(4-methoxyphenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



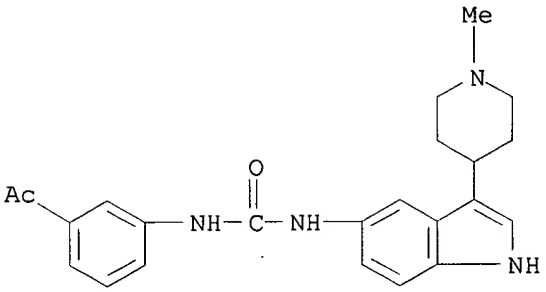
RN 182562-76-1 HCAPLUS
CN Urea, N-(2-methoxyphenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-
(9CI) (CA INDEX NAME)



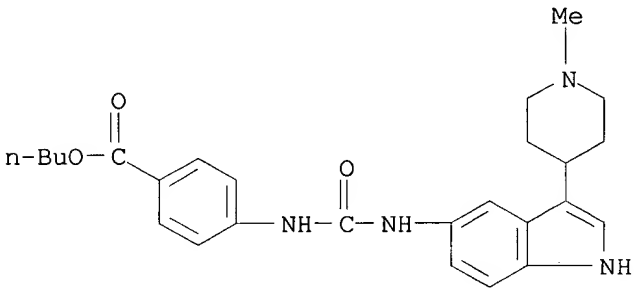
RN 182562-77-2 HCAPLUS
CN Urea, N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N'-[4-
(methylthio)phenyl]- (9CI) (CA INDEX NAME)



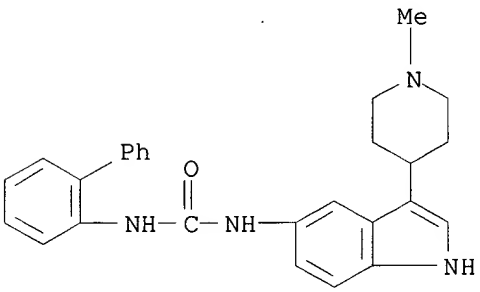
RN 182562-78-3 HCAPLUS
CN Urea, N-(3-acetylphenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-
(9CI) (CA INDEX NAME)



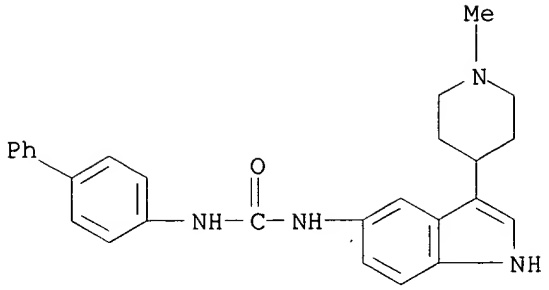
RN 182562-79-4 HCAPLUS
CN Benzoic acid, 4-[[[[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]amino]carbonyl]amino]-, butyl ester (9CI) (CA INDEX NAME)



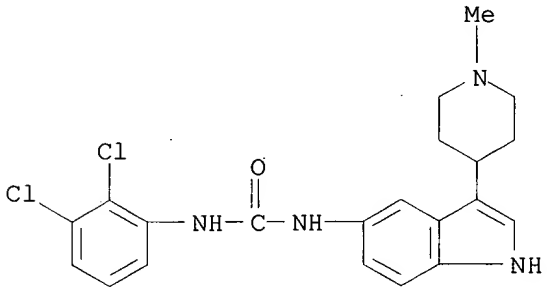
RN 182562-80-7 HCAPLUS
CN Urea, N-[1,1'-biphenyl]-2-yl-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



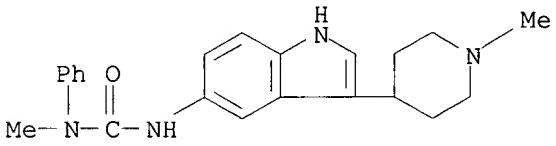
RN 182562-81-8 HCAPLUS
CN Urea, N-[1,1'-biphenyl]-4-yl-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



RN 182562-82-9 HCAPLUS
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



RN 182562-89-6 HCAPLUS
CN Urea, N-methyl-N'-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N-phenyl- (9CI) (CA INDEX NAME)



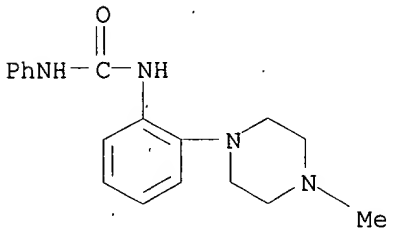
L20 ANSWER 14 OF 22 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:385402 HCAPLUS
DOCUMENT NUMBER: 125:166898
TITLE: A simple one-pot preparation of N,N'-unsymmetrical ureas from N-Boc protected primary anilines and amines
AUTHOR(S): Lamothe, Marie; Perez, Michel; Colovary-Gotteland, Veronique; Halazy, Serge
CORPORATE SOURCE: Medicinal Chem. Div., Cent. Recherche Pierre Fabre, Castres, 81106, Fr.
SOURCE: Synlett (1996), (6), 507-508
CODEN: SYNLES; ISSN: 0936-5214
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:166898
AB N-Boc protected primary amines and anilines can be converted efficiently into N,N'-unsym. substituted ureas RNHCONR'R" (R = Ph, 7-methoxy-1-naphthyl, PhCH2CH2, etc.) by sequential deprotonation and

condensation with amines HNR'R" at 65.degree..

IT **180605-37-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of unsym. ureas from urethane-protected amines and anilines)

RN 180605-37-2 HCAPLUS

CN Urea, N-[2-(4-methyl-1-piperazinyl)phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



CvM

L20 ANSWER 15 OF 22 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:307338 HCAPLUS

DOCUMENT NUMBER: 124:343334

TITLE: Novel compositions containing sertraline and a 5-HT1D receptor agonist or antagonist

INVENTOR(S): Chenard, Bertrand L.; Howard, Harry R.; Macor, John E.; Schulz, David W.; Sprouse, Jeffrey S.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: Eur. Pat. Appl., 51 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

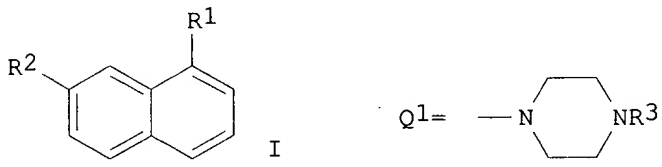
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 701819	A2	19960320	EP 1995-306249	19950907
EP 701819	A3	19990623		
EP 701819	B1	20000816		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5597826	A	19970128	US 1994-306230	19940914
AT 195429	E	20000915	AT 1995-306249	19950907
ES 2148445	T3	20001016	ES 1995-306249	19950907
CA 2158108	AA	19960315	CA 1995-2158108	19950912
CA 2158108	C	19990316		
JP 08109130	A2	19960430	JP 1995-236951	19950914

PRIORITY APPLN. INFO.: US 1994-306230 A 19940914

OTHER SOURCE(S): MARPAT 124:343334

GI



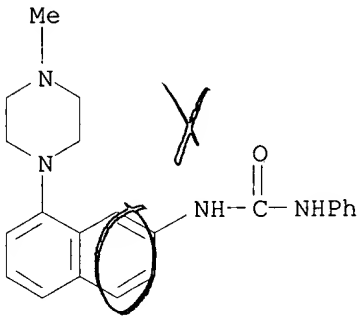
I

AB Claimed is a pharmaceutical compn. contg. a 5-HT re-uptake inhibitor, a pharmaceutically acceptable carrier, and a compd. I [R1 = Q1, etc.; R2 = R4, etc.; R4 = H, CF3, alkyl, alkylaryl, etc.; a proviso is given; R3 = H, alkyl, aryl, etc.]. Compds. I were assayed for 5-HT1A and 5-HT1D affinity and showed IC50 values of less than 0.6 .mu.M for at least one of said affinities. 7-Benzamido-1-(4-methyl-1-piperazinyl)naphthalene was prepd. in several steps from 7-amino-.alpha.-tetralone.

IT **163465-10-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperazinylnaphthalene derivs. as central nervous system agent for use in combination with sertraline)

RN 163465-10-9 HCAPLUS

CN Urea, N-[8-(4-methyl-1-piperazinyl)-2-naphthalenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



L20 ANSWER 16 OF 22 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:594280 HCAPLUS
DOCUMENT NUMBER: 123:9462
TITLE: Preparation of heterocyclylaryl amides and ureas as 5-HT1D receptor antagonists
INVENTOR(S): Duckworth, David Malcolm; Gaster, Laramie Mary; Jenkins, Sarah Margaret; Jennings, Andrew John; Mulholland, Keith Raymond
PATENT ASSIGNEE(S): SmithKline Beecham PLC, UK
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9506044	A1	19950302	WO 1994-EP2662	19940809
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 714389	A1	19960605	EP 1994-925446	19940809
EP 714389	B1	19980617		
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 09504004	T2	19970422	JP 1994-507309	19940809
US 5905080	A	19990518	US 1996-596223	19960215
PRIORITY APPLN. INFO.:			GB 1993-17328	19930820

GB 1993-17333	19930820
GB 1993-18186	19930902
GB 1993-22630	19931103
WO 1994-EP2662	19940809

OTHER SOURCE(S): MARPAT 123:9462

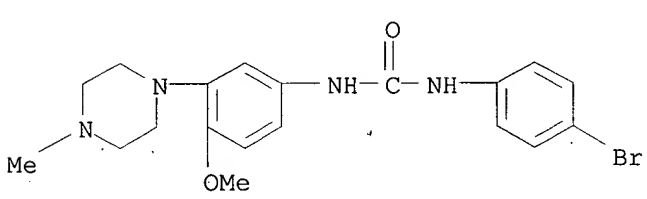
GI For diagram(s), see printed CA Issue.

AB Title compds. I (P = Ph, 5-7-membered heterocyclyl contg. 1-3 of O, N, S; R1 = H, halo, C1-6 alkyl, C3-6 cycloalkyl, C1-6 alkoxy, HO, NC, acyl, F3C, HS, H2N, etc.; R2 = H, halo, C1-6 alkyl, C1-6 alkoxy, acyl, O2N, etc.; R3 = H, halo, C1-6 alkyl, C1-6 alkoxy; R4 - H, C1-6 alkyl; A = HN, C1-6 acyclyl; n = 1,2) or a salt thereof useful as 5-HT1D antagonists (no data), are prepd. 4-Bromophenylacetic acid was converted to the acid chloride and treated with 4-methoxy-3-(4-methyl-1-piperazinyl)benzenamine to give I (P = C6H4, R1 = H, R2 = Br, R3 = p-MeO, R4 = Me, A = CH2, n = 1). Pharmaceutical compns. contg. I are claimed.

IT **163620-39-1P 163620-40-4P 163620-41-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclylaryl amides and ureas as 5-HT1D receptor antagonists)

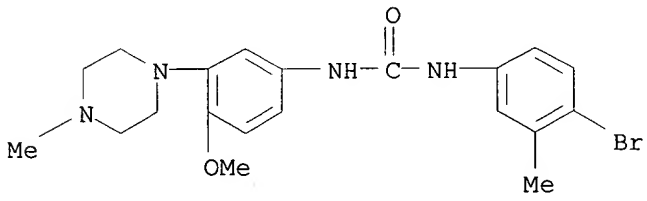
RN 163620-39-1 HCAPLUS

CN Urea, N-(4-bromophenyl)-N'-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)



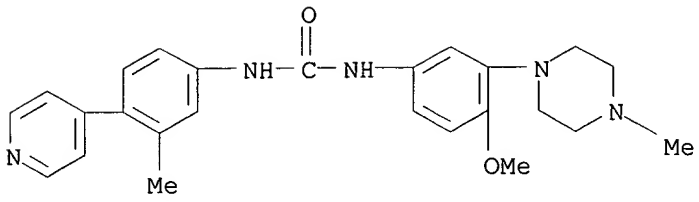
RN 163620-40-4 HCAPLUS

CN Urea, N-(4-bromo-3-methylphenyl)-N'-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 163620-41-5 HCAPLUS

CN Urea, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-[3-methyl-4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

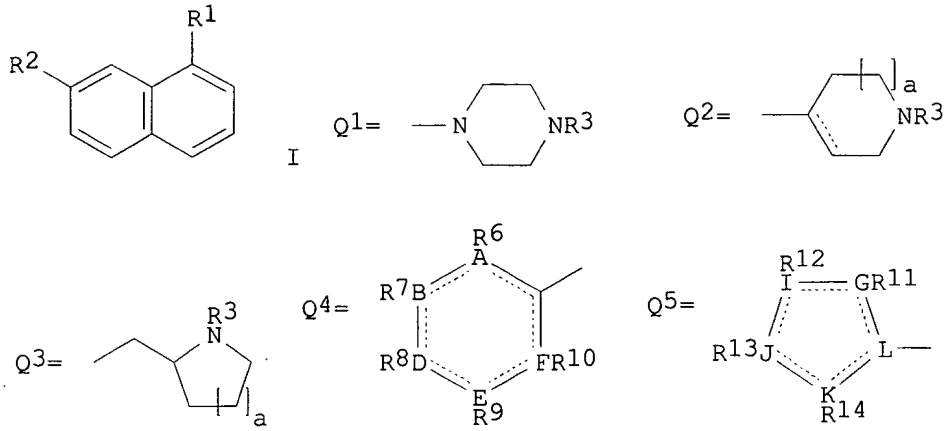


L20 ANSWER 17 OF 22 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:580492 HCAPLUS
DOCUMENT NUMBER: 122:314570
TITLE: Preparation of heterocyclylnaphthalene derivatives as serotonin 5-HT1 agonists and antagonists.
INVENTOR(S): Chenard, Bertrand L.; Macor, John E.; Segelstein, Barbara E.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9421619	A1	19940929	WO 1994-US1206	19940215
W: AU, BR, CA, CN, CZ, JP, KR, NO, NZ, PL, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9463918	A1	19941011	AU 1994-63918	19940215
EP 689536	A1	19960103	EP 1994-911377	19940215
EP 689536	B1	20010523		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08503228	T2	19960409	JP 1994-521019	19940215
ES 2157256	T3	20010816	ES 1994-911377	19940215
HU 67312	A2	19950328	HU 1994-760	19940312
FI 9401213	A	19940917	FI 1994-1213	19940315
CA 2158457	AA	19940929	CA 1994-2158457	19940315
ZA 9401806	A	19950915	ZA 1994-1806	19940315
US 2001004669	A1	20010621	US 2001-758074	20010110

PRIORITY APPLN. INFO.:			
US 1993-32042	A	19930316	
WO 1994-US1206	W	19940215	
US 1995-522349	B1	19950915	

OTHER SOURCE(S): MARPAT 122:314570
GI

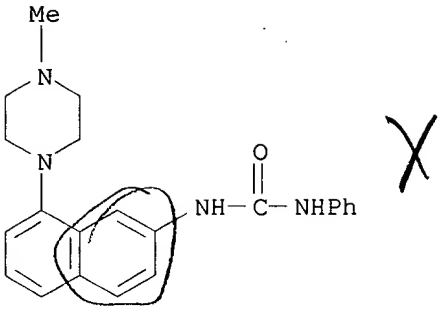


AB Title compds. [I; R1 = Q1-Q3, etc.; R2 = R4, OR4, OS(O)2R4, NR4R5, R4(CH2)bNH(C:X)(CH2)c, R4(CH2)bO(C:O)NH(CH2)c(C:O)NH, R4(C:O)NH(C:O)NH, (CH2)bNH(C:X)(CH2)bO(C:O)(CH2)cR4, NH(C:X)NHR4, R4O(C:O)O, O(C:O)NHR4, R4O(C:O)NH, (CH2)b(C:O)(CH2)cR4, NHS(O)2R4, C(OH)R4R5, CH(OH)R4, (C:O)NR4R5, CN, NO2, substituted alkyl, (substituted) alkenyl, alkynyl; R3 = H, alkyl, alkylaryl, aryl; R4, R5 = Q4, Q5, H, CF3, alkyl, alkylaryl, etc.; R6-R14 = H, halo, CF3, CN, NO2, aryl, alkylaryl, alkyl, alkenyl, alkynyl, OR20, COR20, NR20R21, etc.; adjacent pairs of R6-R14 = atoms to form 5-7 membered rings; R20, R21 = H, alkyl, aryl, alkylaryl; R20R21 = atoms to form 4-7 membered rings; A, B, D, E, F, L = C, N; G, I, J, K = C, N, O, S, C:O; X = O, S; a = 0-2; b, c = 0-6; dotted line = optional double bond; with provisos], were prepd. These compds. are useful psychotherapeutics and are potent serotonin (5-HT1) agonists and antagonists and may be used in the treatment of depression, anxiety, eating disorders, obesity, drug abuse, cluster headache, migraine, pain and chronic paroxysmal hemicrania and headache assocd. with vascular disorders, and other disorders arising from deficient serotonergic neurotransmission. The compds. can also be used as centrally acting antihypertensives and vasodilators. Thus, 7-amino-.alpha.-tetralone was stirred with PhCOCl/Et3N in THF to give 85% 7-benzamido-.alpha.-tetralone. This in THF at -78.degree. was treated with N-methylpiperazine and TiCl4 to give 83% 7-benzamido-1-(4-methyl-1-piperazinyl)-3,4-dihydronaphthalene. The latter was refluxed with Pd/C in xylene to give title compd. 7-benzamido-1-(4-methyl-1-piperazinyl)naphthalene and 7-benzamido-1-(4-methyl-1-piperazinyl)-1,2,3,4-tetrahydronaphthalene. I showed IC50 <0.60 nM for 5-HT1A and/or 5-HT1D affinity.

IT **163465-10-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclylnaphthalene derivs. as serotonin 5-HT1 agonists and antagonists)

RN 163465-10-9 HCAPLUS

CN Urea, N-[8-(4-methyl-1-piperazinyl)-2-naphthalenyl]-N'-phenyl- (9CI) (CA INDEX NAME)

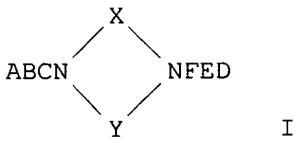


L20 ANSWER 18 OF 22 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:289966 HCAPLUS
DOCUMENT NUMBER: 122:81372
TITLE: Preparation of cyclic urea derivatives as drugs
INVENTOR(S): Himmelsbach, Frank; Austel, Volkhard; Linz, Guenter; Pieper, Helmut; Guth, Brian; Mueller, Thomas; Weisenberger, Johannes
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 125 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 587134	A2	19940316	EP 1993-114401	19930908
EP 587134	A3	19940706		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 4230470	A1	19940414	DE 1992-4230470	19920911
DE 4302052	A1	19940728	DE 1993-4302052	19930126
DE 4309213	A1	19940929	DE 1993-4309213	19930322
FI 9303942	A	19940312	FI 1993-3942	19930909
CA 2105934	AA	19940312	CA 1993-2105934	19930910
NO 9303248	A	19940314	NO 1993-3248	19930910
AU 9346249	A1	19940324	AU 1993-46249	19930910
ZA 9306689	A	19950310	ZA 1993-6689	19930910
HU 71496	A2	19951128	HU 1993-2577	19930910
US 5681841	A	19971028	US 1993-120008	19930910
CN 1092769	A	19940928	CN 1993-114711	19930911
JP 06263740	A2	19940920	JP 1993-226864	19930913
US 5880284	A	19990309	US 1997-864528	19970528

PRIORITY APPLN. INFO.: DE 1992-4230470 19920911
DE 1993-4302052 19930126
DE 1993-4309213 19930322
US 1993-120008 19930910

OTHER SOURCE(S): MARPAT 122:81372
GI



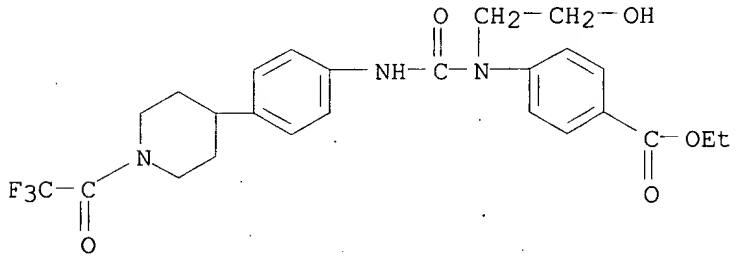
I

AB Title compds. [I; A = e.g., acylamidino, etc.; B = e.g., 1,4-azacycloheptylene, 1,4- piperidinylene, 1,4-piperazinylene, etc.; C = e.g., 1,4- piperidinylene, 1,2,3,4-tetrahydro-2,6-naphthylene, 1,4-bicyclo[2.2.2]octanylene, etc.; D = alkylene, 1,3-phenylene, 1,4-cyclohexylene, etc.; E = bond, CH:CH, alkylene, etc.; F = CO2H, alkoxycarbonyl, etc.; X = e.g., N-cyanocarbimino, etc.; Y = e.g., 1,2-cyclohexylene] were prepd. as cell aggregation inhibitors. Thus, 2-(4-amidinophenyl)-4-[4-[2-(cyclohexyloxycarbonyl)ethyl]phenyl]-5-methyl-4H-1,2,4-triazol-3-one hydrochloride inhibited ex vivo thrombocyte aggregation in blood from rhesus monkeys after oral administration of 1mg/kg.

IT **160132-62-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of cell aggregation inhibitor)

RN 160132-62-7 HCAPLUS

CN Benzoic acid, 4-[(2-hydroxyethyl)[[[4-[1-(trifluoroacetyl)-4-piperidinyl]phenyl]amino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L20 ANSWER 19 OF 22 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1989:497163 HCAPLUS

DOCUMENT NUMBER: 111:97163

TITLE: Synthesis of 1'-substituted 2-aminospiro[4H-3,1-benzoxazine-4,4'-piperidine] derivatives

AUTHOR(S): Takai, Haruki; Obase, Hiroyuki; Teranishi, Masayuki

CORPORATE SOURCE: Tokyo Res. Lab., Kyowa Hakko Kogyo Co., Ltd., Tokyo, 194, Japan

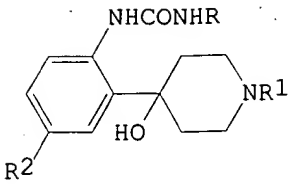
SOURCE: Chem. Pharm. Bull. (1988), 36(12), 4671-7
CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 111:97163

GI



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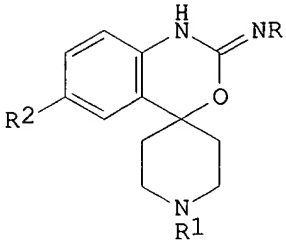


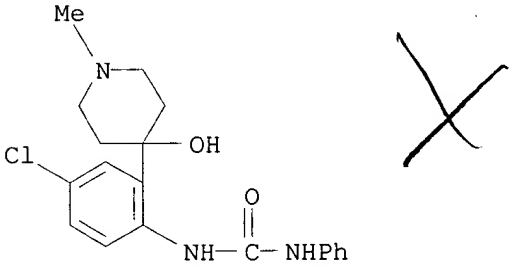
II

AB In the cyclization reaction of 4-hydroxy-4-[2-(N-substituted carbamoyl)aminophenyl]piperidine derivs. I (R = Me, R1 = CH2Ph, R2 = H, Cl; R = R1 = Me, R2 = Cl; R = Et, Ph, R1 = Me, R2 = Cl) by treatment with acid, 2-aminospiro[4H-3,1-benzoxazine-4,4'-piperidine] derivs. II (same R's) were obtained. One of the products, 2-methylaminospiro[4H-3,1-benzoxazine-4,4'-piperidine] II (R = Me, R1 = R2 = H) was converted to 1-(2-hydroxy-2-phenethyl)-2-methylaminospiro[4H-3,1-benzoxazine-4,4'-piperidine] derivs. II [R = Me, R1 = CH2CH(OH)C6H4R3, R2 = H, R3 = 4-Cl, 3,4-(OMe)2], which are our target compds. for pharmacol. screening tests on antihypertensive activity. However, these compds. did not show any remarkable antihypertensive activity.

IT **85732-65-6P 121060-58-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acid-catalyzed cyclization of)

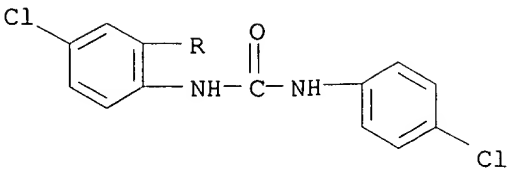
RN 85732-65-6 HCAPLUS

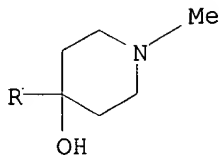
CN Urea, N-[4-chloro-2-(4-hydroxy-1-methyl-4-piperidinyl)phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



RN 121060-58-0 HCAPLUS

CN Urea, N-[4-chloro-2-(4-hydroxy-1-methyl-4-piperidinyl)phenyl]-N'-(4-chlorophenyl)- (9CI) (CA INDEX NAME)





L20 ANSWER 20 OF 22 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1985:132069 HCAPLUS
DOCUMENT NUMBER: 102:132069
TITLE: [[4-[4-(4-Phenyl-1-piperazinyl)phenoxymethyl]-1,3-dioxolan-2-yl]methyl]-1H-imidazoles and 1H-1,2,4-triazoles
INVENTOR(S): Heeres, Jan; Stokbroekx, Raymond A.; Backx, Leo J. J.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: Eur. Pat. Appl., 113 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 118138	A1	19840912	EP 1984-200092	19840124
EP 118138	B1	19890614		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4619931	A	19861028	US 1984-569122	19840109
AT 44030	E	19890615	AT 1984-200092	19840124
CA 1271194	A1	19900703	CA 1984-447194	19840210
JP 59172486	A2	19840929	JP 1984-32768	19840224
JP 07042285	B4	19950510		
DK 8401070	A	19840829	DK 1984-1070	19840227
DK 164454	B	19920629		
DK 164454	C	19921109		
FI 8400781	A	19840829	FI 1984-781	19840227
FI 82043	B	19900928		
FI 82043	C	19910110		
NO 8400735	A	19840829	NO 1984-735	19840227
NO 160138	B	19881205		
NO 160138	C	19890315		
AU 8425097	A1	19840906	AU 1984-25097	19840227
AU 559461	B2	19870312		
ZA 8401449	A	19851030	ZA 1984-1449	19840227
IL 71066	A1	19871220	IL 1984-71066	19840227
ES 530138	A1	19850516	ES 1984-530138	19840228
ES 530140	A1	19850601	ES 1984-530140	19840228
ES 530139	A1	19850901	ES 1984-530139	19840228
US 4735942	A	19880405	US 1986-869537	19860602

NO 8702221	A	19840829	NO 1987-2221	19870527
NO 163817	B	19900417		
NO 163817	C	19900725		
US 4861879	A	19890829	US 1988-154173	19880209
CA 1309412	A2	19921027	CA 1989-615528	19891025
FI 84058	B	19910628	FI 1989-5089	19891026
FI 84058	C	19911010		
NO 9000396	A	19840829	NO 1990-396	19900129
NO 173866	B	19931108		
NO 173866	C	19940216		
JP 05246999	A2	19930924	JP 1991-24132	19910124
JP 07064823	B4	19950712		
DK 9100783	A	19910429	DK 1991-783	19910429
DK 9101088	A	19910607	DK 1991-1088	19910607
DK 166673	B1	19930628		

PRIORITY APPLN. INFO.:

US 1983-470405	19830228
US 1984-569122	19840109
EP 1984-200092	19840124
CA 1984-447194	19840210
FI 1984-781	19840227
NO 1984-735	19840227
US 1986-869537	19860602

OTHER SOURCE(S): CASREACT 102:132069

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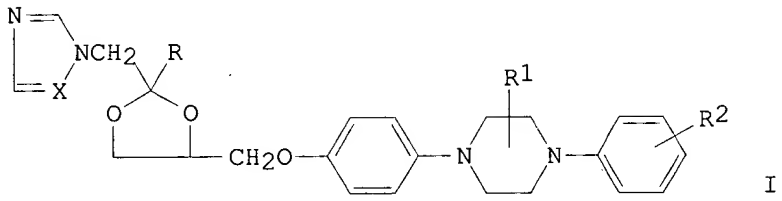

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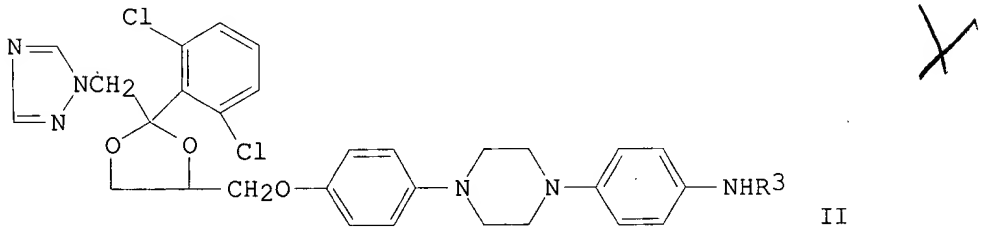


II

AB Over 300 title compds. I [R = (un)substituted Ph; R1 = H, alkyl; R2 = urea, thiourea, amido, 5-membered N-contg. heterocycle; X = N, CH] and their intermediates, useful as pharmaceutical fungicides, were prepd. Thus, aniline deriv. II (R3 = H) was treated with ClCO2Ph to give II (R3 = CO2Ph). At 2.5 mg/kg orally, daily for 3 days in rats, II (R3 = CO2Ph) controlled Candida albicans at the 14th day after infection.

IT **95116-56-6P 95116-57-7P 95116-61-3P**
95116-62-4P 95116-76-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and pharmaceutical fungicidal activity of)

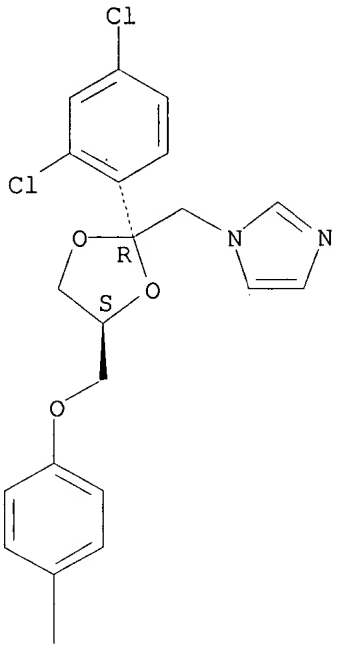
RN 95116-56-6 HCAPLUS

CN Urea, N-[4-[4-[4-[[2-(2,4-dichlorophenyl)-2-(1H-imidazol-1-ylmethyl)-1,3-

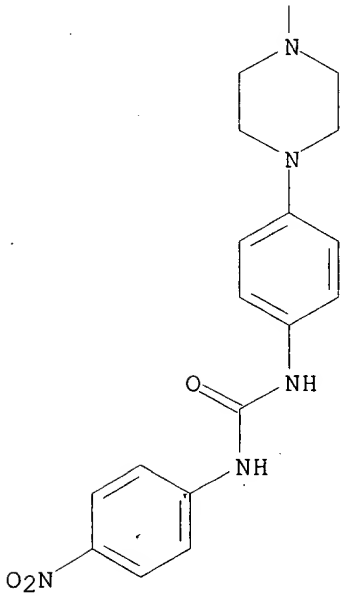
dioxolan-4-yl]methoxy]phenyl]-1-piperazinyl]phenyl]-N'-(4-nitrophenyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



PAGE 2-A

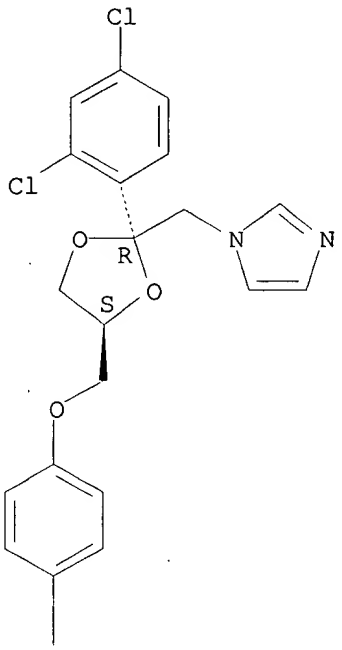


RN 95116-57-7 HCAPLUS

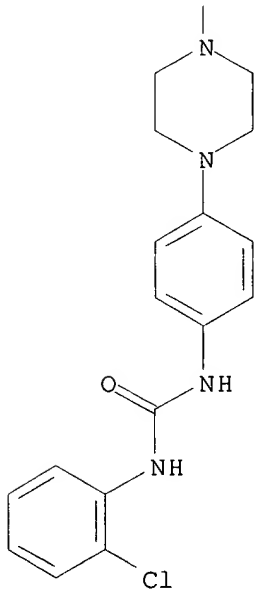
CN Urea, N-(2-chlorophenyl)-N'-[4-[4-[4-[[2-(2,4-dichlorophenyl)-2-(1H-imidazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]-1-piperazinyl]phenyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



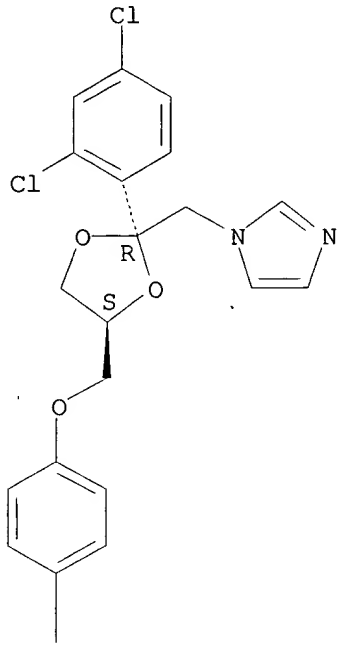
PAGE 2-A



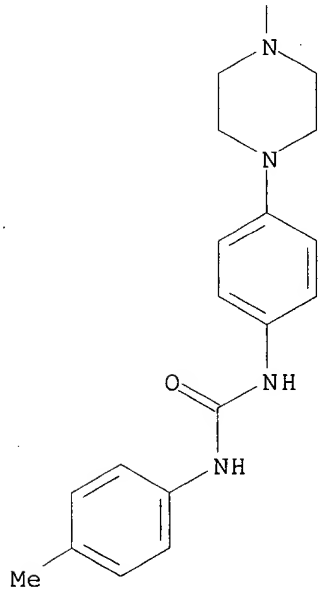
RN 95116-61-3 HCAPLUS
CN Urea, N-[4-[4-[4-[[2-(2,4-dichlorophenyl)-2-(1H-imidazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]-1-piperazinyl]phenyl]-N'-(4-methylphenyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A

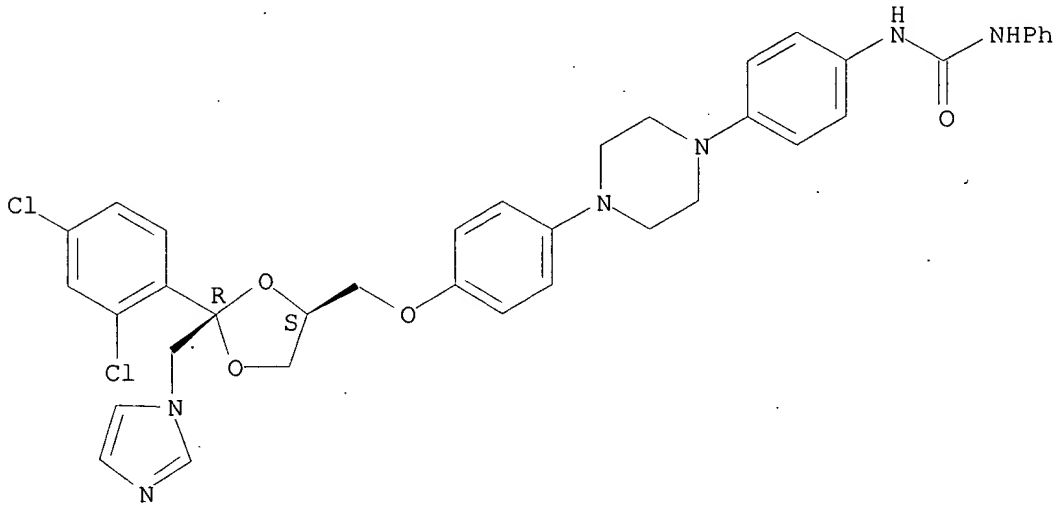


PAGE 2-A



RN 95116-62-4 HCAPLUS
CN Urea, N-[4-[4-[4-[[2-(2,4-dichlorophenyl)-2-(1H-imidazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]-1-piperazinyl]phenyl]-N'-phenyl-, cis- (9CI) (CA INDEX NAME)

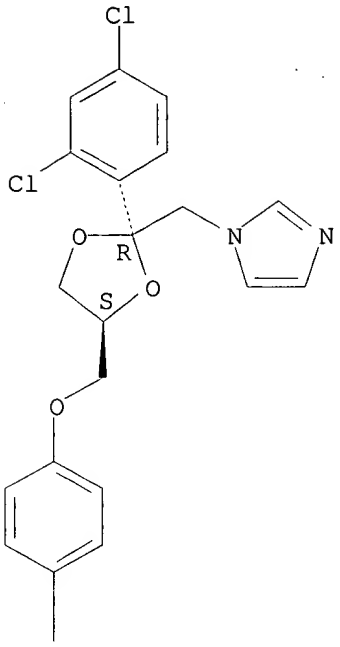
Relative stereochemistry.



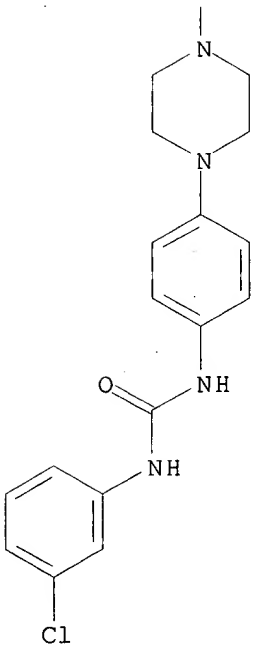
RN 95116-76-0 HCAPLUS
CN Urea, N-(3-chlorophenyl)-N'-[4-[4-[4-[[2-(2,4-dichlorophenyl)-2-(1H-imidazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]-1-piperazinyl]phenyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



PAGE 2-A



L20 ANSWER 21 OF 22 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1983:470751 HCAPLUS

DOCUMENT NUMBER: 99:70751
TITLE: Piperidine derivatives and pharmaceutical compositions containing them
INVENTOR(S): Teranishi, Masayuki; Obase, Hiroyuki; Takai, Haruki; Shuto, Katsuichi; Karasawa, Akira; Kasuya, Yutaka
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd. , Japan
SOURCE: Eur. Pat. Appl., 79 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 70171	A1	19830119	EP 1982-303623	19820709
EP 70171	B1	19861015		
R: DE, FR, GB, IT				
JP 58015979	A2	19830129	JP 1981-108668	19810711

PRIORITY APPLN. INFO.: JP 1981-108668 19810711
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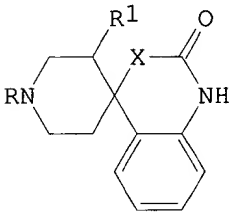

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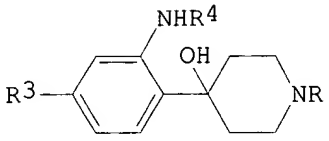

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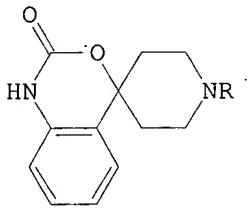
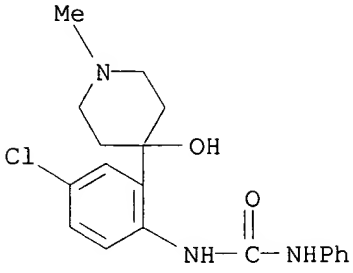


III

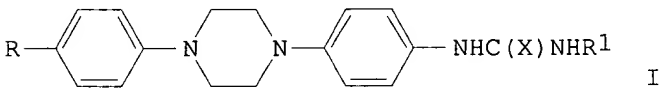
AB Spiropiperidines I [R = H, (un)substituted alkyl; R1 = H, alkyl, halogen, OH, alkanoyloxy; the benzene ring may be substituted; X = O, NR2; R2 = H, alkyl, (un)substituted Ph] were prepd. Thus 4-ClC6H4NHCOCMe3 was treated with BuLi and 1-benzyl-4-piperidine to give piperidine II (R3 = Cl, R4 = COCMe3, R = CH2Ph) which was hydrogenated on Pd-C and hydrolyzed to form II (R = CH2Ph, R3 = R4 = H). The latter compd. was cyclized with carbonyldiimidazole to yield the spirobenzoxazinepiperidine III (R = CH2Ph) which gave III (R = H) on hydrogenolysis. Treatment of III (R = H) with 3,4-(MeO)2C6H3COCH2Br formed III [R = CH2COC6H3(OMe)2-3,4] which was reduced to yield III [R = CH2CH(OH)C6H3(OMe)2-3,4; IV]. IV reduced blood pressure by 95 mmHg in rats at 30 mg/kg orally.

IT **85732-65-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclization of)
RN 85732-65-6 HCAPLUS
CN Urea, N-[4-chloro-2-(4-hydroxy-1-methyl-4-piperidinyl)phenyl]-N'-phenyl-

(9CI) (CA INDEX NAME)



L20 ANSWER 22 OF 22 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1980:550210 HCAPLUS
DOCUMENT NUMBER: 93:150210
TITLE: Search for potent anthelmintics. Part XI. N1-p-[4-(Phenyl/p-tolyl)-1-piperazino]phenyl-N3-alkyl/aryl ureas and thioureas
AUTHOR(S): Husain, M. Imtiaz; Shukla, M. K.; Singh, S. P.
CORPORATE SOURCE: Dep. Chem, Lucknow Univ., Lucknow, 226 007, India
SOURCE: J. Indian Chem. Soc. (1979), 56(9), 919-20
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

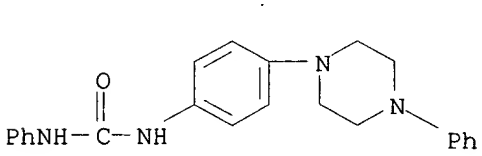


I

AB Twenty new piperazinophenylureas I (R = H, Me; R1 = alkyl, aryl; X = O, S) were prepd. by the condensation of the phenyl(aminophenyl)piperazine with various isocyanates or isothiocyanates in dry benzene. Out of the six compds. screened against H. nana infections in mice, one reduced the worm population by 40%.

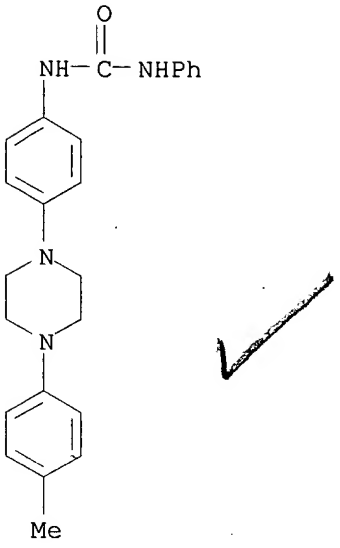
IT **74840-28-1P 74840-29-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 74840-28-1 HCAPLUS
CN Urea, N-phenyl-N'-[4-(4-phenyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)



✓ 102 Cem

RN 74840-29-2 HCAPLUS
CN Urea, N-[4-[4-(4-methylphenyl)-1-piperazinyl]phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)


O
NH— C— NHPh
N
N
Me